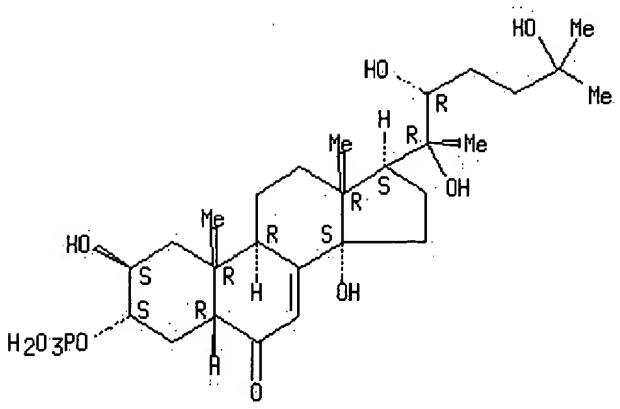


L6 ANSWER 31 OF 70 CAPLUS COPYRIGHT 2001 ACS

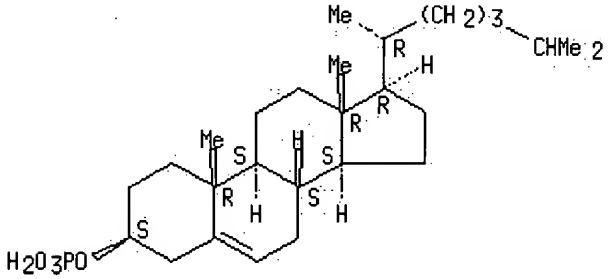
Full Text | Citing References

ACCESSION NUMBER: 1987:459102 CAPLUS
DOCUMENT NUMBER: 107:59102
TITLE: Synthesis of alkyl dihydrogen phosphates by the reaction of alcohols and silyl polyphosphate
AUTHOR(S): Okamoto, Yoshiki
CORPORATE SOURCE: Inst. Sci. Ind. Res., Osaka Univ., Osaka, 567, Japan
SOURCE: Bull. Chem. Soc. Jpn. (1985), 58(11), 3393-4
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:59102

AB Treating Me(CH2)nCH2OH (n = 6, 8, 10, 12, 14), PhCH2OH, borneol, or cholesterol with trimethylsilyl polyphosphate or with phosphorylated silica gel gave good yields of the alkyl dihydrogen phosphates.

IT **4358-16-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

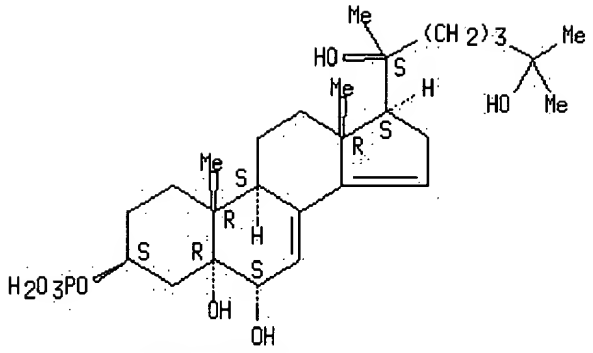
Absolute stereochemistry.



L6 ANSWER 32 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1987:173274 CAPLUS
DOCUMENT NUMBER: 106:173274
TITLE: Ecdysone metabolism in Pieris brassicae during the feeding last larval instar
AUTHOR(S): Beydon, Philippe; Girault, Jean Pierre; Lafont, Rene
CORPORATE SOURCE: Lab. Zool., Ec. Norm. Super., Paris, F-75230/05, Fr.
SOURCE: Arch. Insect Biochem. Physiol. (1987), 4(2), 139-49
CODEN: AIBPEA; ISSN: 0739-4462



L6 ANSWER 22 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1989:218826 CAPLUS
DOCUMENT NUMBER: 110:218826
TITLE: Cosmetic skin preparations containing cholesterols
INVENTOR(S): Masaki, Hitoshi; Mori, Rikuro
PATENT ASSIGNEE(S): Noevir Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

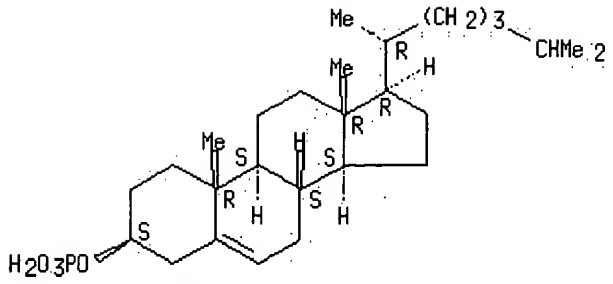
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63238010	A2	19881004	JP 1987-72725	19870325

AB Cosmetic skin prepns. contain ≥1 compd. chosen from cholesterol glycolipids and cholesteryl phosphate salts. The prepns. improve H2O-holding properties of the skin and maintain healthy conditions. A cream comprising stearic acid 2.0, stearyl alc. 1.0, reduced lanolin 1.8, squalane 10.0, octyldodecanol 6.0, cholesterol glucoside 10.0, poly(oxyethylene) sorbitan stearate 3.0, glycerin monostearate 2.0, flavor 0.3, antiseptic agent 0.2, glycerin 5.0, and H2O 58.7% by wt. inhibited water loss on the skin and showed smoothing effect.

IT **65242-47-9**
RL: BIOL (Biological study)
(cosmetic skin prepns. contg.)

RN 65242-47-9 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, monosodium salt, (3β,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 35 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1986:627002 CAPLUS
DOCUMENT NUMBER: 105:227002
TITLE: Phosphoric acid monoesters
INVENTOR(S): Okamoto, Yoshiki; Watanabe, Masatake
PATENT ASSIGNEE(S): Rasa Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

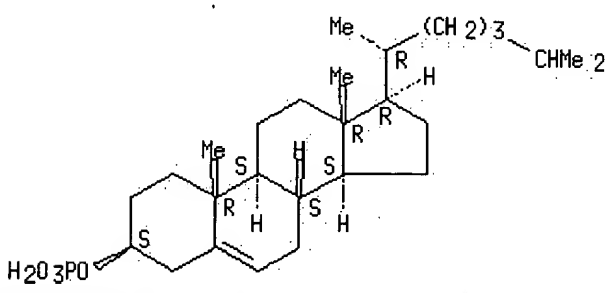
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61126090	A2	19860613	JP 1984-246424	19841121
JP 02042837	B4	19900926		

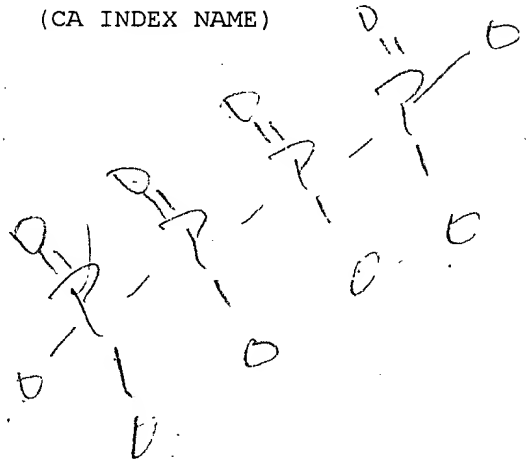
OTHER SOURCE(S): CASREACT 105:227002

AB The title esters are prepd. by reaction of P4O10 with 2.5-6.0 molar equiv hexaalkyldisiloxane and phosphorylation of org. hydroxy compds. using the resultant phosphorylating agents. Thus, 71 parts P4O10 was refluxed with 4 molar equiv Me3SiOSiMe3 in C6H6, the mixt. cooled to room temp., 158 parts dodecanol added, and the mixt. refluxed to give 76% ROP(O)(OH)2 (R = dodecyl), vs. 66% monoester and 22% diester with 2 molar equiv Me3SiOSiMe3.

IT **4358-16-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L6 ANSWER 36 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1984:527629 CAPLUS
DOCUMENT NUMBER: 101:127629

⑲日本国特許庁（JP）　⑪特許出願公開

⑫公開特許公報（A）　昭61－126090

⑤1Int.Cl.⁴	識別記号	庁内整理番号	⑬公開　昭和61年(1986)6月13日
C 07 F 9/09		7009－4H	
// C 07 F 9/15		7009－4H	

審査請求　未請求　発明の数 1　（全5頁）

⑤4発明の名称　リン酸モノエステルの製造方法

⑳特　願　昭59－246424

㉒出　願　昭59(1984)11月21日

特許法第30条第1項適用　昭和59年9月20日　日本油化学協会発行の「第23回油化学討論会・油化学研究発表会講演要旨集」において発表

⑦2発明者　岡本　能樹　大阪市旭区大宮4－11－2－301

⑦2発明者　渡辺　昌武　豊中市北桜塚3－7－30－405

⑦1出願人　ラサ工業株式会社　東京都中央区京橋1丁目1番1号

⑦4代理人　弁理士　尾股　行雄　外1名

明　細　書

1．発明の名称

リン酸モノエステルの製造方法

2．特許請求の範囲

1．無水リン酸（P_4O_{10}）に対するヘキサアルキルジシロキサンの添加モル比を2.5以上，6未満として両者を反応させて得られる反応物をリン酸化剤として有機ヒドロキシ化合物をリン酸化することを特徴とするリン酸モノエステルの製造方法。

3．発明の詳細な説明

〈産業上の利用分野〉

本発明は、有機ヒドロキシ化合物をリン酸化してリン酸モノエステルを製造する方法に関し、さらに詳しくは、リン酸モノエステルを選択的に効率よく製造することができる新規かつ改良された方法に関するものである。

〈従来の技術〉

有機ヒドロキシ化合物の酸性リン酸エステルは繊維処理剤，乳化剤，染色助剤，防錆剤等に広く使用されている。現在、この主な製造法は無水リン酸をヒドロキシ化合物に直接反応せしめる方法である。ただし、この方法による生成物はリン酸モノエステル（以下、「モノエステル」と略記する）とリン酸ジエステル（以下、「ジエステル」と略記する）の等モルに近い混合物である。しかしながら、モノエステルとジエステルの物性はかなりの差異を有する。例えばモノエステルは水溶性，起泡力，洗浄力，帯電防止能に優れ、皮膚刺激性が少ない等の特徴がある。一方、ジエステルは、水溶液からの金属抽出剤等に使用されるように、水に対する溶解性，起泡性に乏しく、混合物のまま使用するときはモノエステルとしての機能を阻害することが多く、その用途先が制限を受けることが多い。そのため下記のようなモノエステルを選択的に製造する種々の方法が提案されている。(1)縮合リン酸によってモノエステルを選択的に製造する方法（特公昭43-26492号，B．Clake, et al., J．Am.Chem.Soc., 88, 4401（

1966））。(2)塩化ホスホリルによってモノアルキルホスホロジクロリデートを合成し、後、加水分解によってリン酸モノエステルとする方法（特開昭50-64226号）。(3)無水リン酸でリン酸化する際、リン酸または水を併用する方法（特公昭41-14416号、特公昭42-6730号）。

〈発明が解決しようとする問題点〉

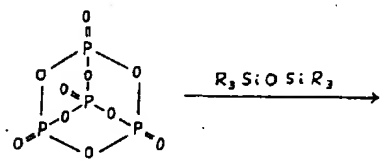
しかしながら、前述した従来技術の方法はいずれも下記のような欠点を有し、工業的には殆んど使われていない。すなわち(1)の方法は、反応の進行に伴って無機オルトリン酸が生成し、その生成量は縮合リン酸の平均縮合度の逆数にほぼ一致するため、オルトリン酸の生成量を少なくするには、縮合度の非常に高い縮合リン酸を使用しなければならない。しかし、このような高縮合度の縮合リン酸は常温では極端に粘度が高く、作業を容易にするために加温しなければならないが、加温時に容器の腐食等の問題を惹起する。また、かような高縮合度の縮合リン酸を工業的に製造するのは、製造釜の材質等の制約から極めて困難である。(2)の方法は、原料の塩化スルホリルの毒性および刺激性が強く、取扱いが難しいこと、さらに、副生する塩化水素が多いため、その処理およびそれによる装置の腐食が激しい等の問題を含む。(3)の方法では、水またはリン酸の添加量が多くなれば、モノエステルとジエステルとの比率だけからみればモノエステルの比率が高くなるが、これと同時に無機オルトリン酸の生成量が著しく増大し、リンの反応率が低下すると共に多量の無機オルトリン酸の生成物への混入は、生成物の製品価値を著しく低下させる。

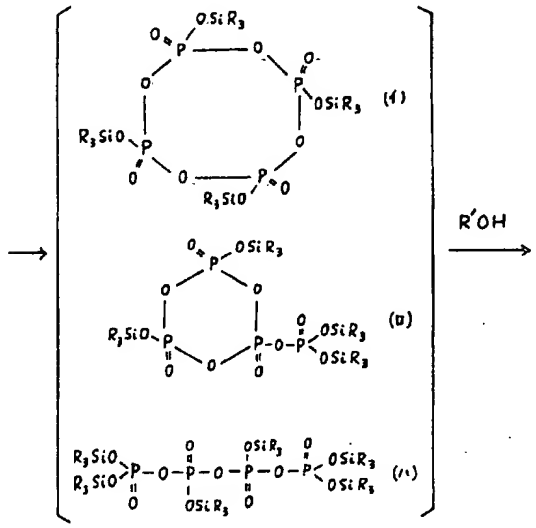
そこで本発明は、従来技術の方法における上記の欠点をなくし、モノエステルを選択的にかつ効率よく製造しうる方法を提供することを目的としてなされたものである。

〈問題点を解決するための手段〉

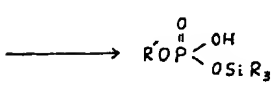
本発明者等は、従来の無水リン酸を直接有機ヒドロキシ化合物と反応せしめる方法を改め、無水リン酸をヘキサアルキルジシロキサンと反応せしめて反応性をおさえた特定構造の縮合リン酸エステルとした後、これをさらに有機ヒドロキシ化合物と反応せしめることによって、有機ヒドロキシ化合物のリン酸モノエステルが選択的に効率よく製造できることを見出し、本発明を完成させたものである。

すなわち本発明によるリン酸モノエステルの製造方法は、下記反応式（I）に示したように、無水リン酸（P_4O_{10}）を一定の割合でヘキサアルキルジシロキサンと反応せしめて得られるポリリン酸トリアルキルシリルエステルをリン酸化剤として有機ヒドロキシ化合物をリン酸化することを特徴とするものである。





ポリリン酸トリアルキルシリルエステル



(I)

（式中、Rはアルキル基を示し、R′は有機ヒドロキシ化合物残基を示す。）

以下に、ヘキサアルキルジシロキサンとしてヘキサメチルジシロキサンを用いた場合について本発明をさらに詳述する。近年、無水リン酸とヘキサメチルジシロキサンとの反応生成物、すなわちポリリン酸トリメチルシリルエステルはいろいろの有機化合物の縮合，脱水および転位反応の試薬として用いられている（T．Imamoto，et al．，J．Org．Chem．，49，1105（1984））。この場合のポリリン酸トリメチルシリルエステルの合成に際しては、無水リン酸（P_4O_{10}）に対するヘキサメチルジシロキサンの添加モル比を2前後としている。これに対して本発明においてはこの添加モル比を2.5以上、6未満、好ましくは3～5とする。添加モル比を2.5未満とした場合には、リン酸化に際してジエステルの副生率が高くなり、一方、添加モル比を6以上とした場合にはジエステルの副生は抑えられるがモノエステルの収率が低下するので実用的ではない。この理由は次のように考えられる。すなわち、反応せしめるヘキサメチルジシロキサンの無水リン酸に対する割合が少ない場合は、縮合度が大きくかつトリメチルシリル基の置換度が低いため反応性の高いポリリン酸トリメチルシリルエステルとなり、これが有機ヒドロキシ化合物と反応すればモノエステルのみならずジエステルも副生する。逆にヘキサメチルジシロキサンの無水リン酸に対する割合を増すと、縮合度が小さくかつトリメチルシリル基の置換度の高い、従って反応性の低いポリリン酸トリメチルシリルエステルとなるため、これが有機ヒドロキシ化合物と反応すればモノエステルを選択的に生成するものと推測される。

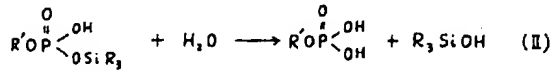
無水リン酸とヘキサメチルジシロキサンとの反応によって得られるこのポリリン酸トリメチルシリルエステルは単一構造を有するものではなく、式（I）に示すごとくいくつかのタイプの縮合体の混合物であり、上記両者の反応比によって各タイプの割合やそれぞれのタイプの縮合度が変化するものと考えられる。本発明で用いるような特定の反応比で調製されたポリリン酸トリメチルシリルエステルは、^{31}P NMRで分析した結果、ジエステル生成の原因となる3個のリン酸基に囲まれた分岐したリン酸基を有するもの（タイプ：ロ）の含量が少なく、直鎖状あるいは環状4量体（タイプ：イまたはハ）を主成分とする縮合リン酸となることが明らかとなった。

また、この反応生成物は無水リン酸および他の縮合リン酸と異なり、有機溶媒に任意の割合で溶解し、均一相で反応を行なうことができる。そのため反応は温和な条件ですみやかに進行し、モノエステルが好収率で得られる。さらに、無水リン酸を直接反応させる従来の方法では脱水反応，分解反応等が起ってリン酸化ができなかった有機ヒドロキシ化合物をもリン酸化できる。

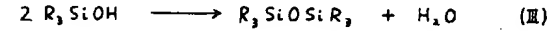
本発明で用いられるヘキサアルキルジシロキサン中のアルキル基としては、炭素数4以下の低級アルキル基が用いられる。また、有機ヒドロキシ化合物としては、炭素数5～30の脂肪族または芳香族アルコール、あるいはこれらのアルキレンオキシド付加物が使用でき、例えばオクタノール，デカノール，ドデカノール，テトラデカノール，ヘキサデカノール，ベンジルアルコール，ボルネオール，コレステロール等が挙げられる。

本発明におけるポリリン酸トリアルキルシリルエステルの合成の手順は既知の方法を用いることができる。具体的に示すと、無水リン酸をベンゼンあるいは塩化メチレン等の不活性な溶媒に分散させてヘキサアルキルジシロキサンを添加し、40～150℃で無水リン酸が消えるまで撹拌または還流して反応させる。または逆に無水リン酸をヘキサアルキルジシロキサンに添加し反応を行なってもよい。この反応は略100％の収率で得られる。つぎに、その反応混合物に無水リン酸（P_4O_{10}）の4倍モル以下の有機ヒドロキシ化合物を添加して、20～150℃で0.5～5時間撹拌または還流を行なってリン酸化させる（反応式I）。この結果、有機ヒドロキシ化合物にトリアルキルシロキシモノホスホ

リル基$R_3SiOP(O)O^-$が導入される。ホスホリル基に結合するトリアルキルシリル基は非常に加水分解を受けやすいため、上記反応生成物に適量の水を加えるとトリアルキルシリル基のみが選択的に加水分解されてモノエステルが得られる（反応式Ⅱ）。また、加水分解を受けたトリアルキルシリル基はトリアルキルシラノールを経て、直ちにヘキサアルキルジシロキサンとなり（反応式Ⅲ）、これは溶媒とともに減圧蒸留によって回収され、再利用出来る。



(Ⅱ)



(Ⅲ)

〈実施例〉

つぎに、この発明の実施の態様を実施例及び比較例に基づいて説明するが、本発明は、これら実施例のみに限定されるものではない。なお、下記の各例中の部および％はそれぞれ重量部および重量％を示す。

実施例１．

窒素ガスを満たしたフラスコに無水リン酸７１部を仕込み、ベンゼン 160部とヘキサメチルジシロキサン 162部（無水リン酸に対するモル比 4.0）を加え、無水リン酸が消失するまで還流する。これを室温に戻してドデカノール 158部を滴下して２時間還流する。冷却後、水４０部を加え、よくかきまぜた後、溶媒および生成したヘキサメチルジシロキサンを減圧蒸留で回収すると 250部の生成物を得る。必要な場合は更につぎのように精製する。すなわち、これをエーテル 500部に溶かし、水５０部を加え、よく混ぜ、水層を分離しリン酸を除去する。その後、１規定の水酸化ナトリウム水溶液で酸性リン酸エステルを抽出する。さらに、このアルカリ溶液を１規定塩酸水溶液で酸性に戻して、エーテル抽出し、硫酸ナトリウムで脱水し、エーテルを留去し精製物 180部を得る（収率７６％）。

生成物の純度は電位差滴定法および元素分析によって測定した。

実施例２．

無水リン酸７１部に対するヘキサメチルジシロキサンの添加モル比を変えた他は、実施例１と同様にして反応を行なった。それらの結果を第１表に示す。

第１表：無水リン酸に対するヘキサメチルジシロキサンの添加モル比のリン酸化に及ぼす影響

	収　率　（％）		組成比　（％）＊＊	
添加モル比＊	モノエステル	ジエステル	モノエステル	ジエステル
2.0	66	22	75	25
2.5	79	12	87	13
3.0	74	6.4	92	8
4.0	76	0	100	0
5.0	67	0	100	0
6.0	60	0	100	0

註）＊　無水リン酸（P_4O_{10}）に対するヘキサメチルジシロキサンの添加モル比。

＊＊　モノエステルとジエステルの組成比は電位差滴定法で求めた。

実施例３．

実施例１におけるドデカノールに代えて第２表に示す各種有機ヒドロキシ化合物を使用した他は、実施例１と同様にして反応を行なった。それらの結果を第２表に示す。いずれも元素分析の結果、純度はほぼ100 ％であった。

第２表：リン酸モノエステルの収率

有機ヒドロキシ化合物	収率（％）	融点（℃）
オクタノール	78	129 - 130 ＊
デカノール	75	45 - 47
テトラデカノール	77	67 - 69
ヘキサデカノール	78	75 - 77
ベンジルアルコール	62	152 - 154 ＊
ボルネオール	68	195 - 197 ＊
コレステロール	85	185 - 187 ＊

註）＊　これらはアニリン塩としての融点である。

比較例（従来法）

デカノール 158部をステンレス容器に取り、反応温度を２０～４０℃に保ち、撹拌をしながら無水リン酸７１部をゆっくり添加する。無水

リン酸添加後、温度を８０℃に上げ、更に５時間、撹拌を続ける。これに水９部を加え、同温度で５時間加水分解して、そのまま生成物とした。生成物の組成はモノエステル５５％およびジエステル４５％（モル比）であった。

〈発明の効果〉

以上の説明からわかるように、本発明の方法によれば、効率よく純度の高いモノエステルを選択的に製造することができる。特に、本発明においてリン酸化剤として用いるポリリン酸トリアルキルシリルエステルは、有機溶媒に対する溶解性が極めて良いため、均一相で反応を行なうことができる。そのため反応は温和な条件ですみやかに進行し、モノエステルが好収率で得られることになる。さらに本発明で使用するヘキサアルキルジシロキサンは、最終的には回収可能であるため、循環再使用することができる利点もある。

手続補正書（自発差出）

昭和59年12月24日

特許庁長官 志賀 学 殿

1．事件の表示

昭和59年 特 許 願 第246424号

2．発明の名称

リン酸モノエステルの製造方法

3．補正をする者

事件との関係 特許出願人

住所 東京都中央区京橋一丁目1番1号

名称 ラサ工業株式会社

4．代 理 人 〒104

住所 東京都中央区銀座8丁目12番15号

全国燃料会館 709号室

氏名 （6704）弁理士 尾股行雄 （ほか1名）

電話 東京 03(543)0036番（代表）

5．補正の対象

明細書の発明の詳細な説明の欄

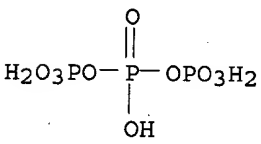
6．補正の内容

(1) 明細書９頁１８行目～１０頁５行目の「また、有機ヒドロキシ化合物としては、……等が挙げられる。」を次のように補正する：

『 また、有機ヒドロキシ化合物としては直鎖および又は分枝を有する飽和もしくは不飽和の脂肪族アルコール（例えば、アミルアルコール，２－エチルヘキサノール，オクタノール，デカノール，ドデカノール，ヘキサデカノール，オレイルアルコールなど）、脂環式アルコール（例えばシクロヘキサノール，シクロペンタノールなど）、芳香族アルコール（ベンジルアルコールなど）、フェノール類（フェノール，アルキルフェノールなど）およびこれらのポリアルキレングリコールエーテル類（いわゆる非イオン界面活性剤）、ポリアルキレングリコール（ポリエチレングリコール，ポリプロピレングリコールなど）、ポリオール（エチレングリコール，グリセリン類など）、テルペンアルコール（ボルネオール，メントールなど）、コレステロール類、糖類（グルコース，ソルビトールなど）、ならびにその他カルボニル，アルデヒド，アクリル，アミノ，アリール基等を有する有機ヒドロキシ化合物が使用できる。』

以上

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS
RN 10380-08-2 REGISTRY
CN Triphosphoric acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Polyphosphoric acid (H5P3O10)**
CN Triphosphoric acid (H5P3O10)
CN Tripolyphosphoric acid
CN Tripyrophosphoric acid
FS 3D CONCORD
MF H5 O10 P3
CI COM
LC STN Files: ANABSTR, BIOSIS, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CHEMINFORMRX, CHEMLIST, DETHERM*, GMELIN*, IFICDB, IFIPAT, IFIUDB, MEDLINE, NIOSHTIC, PROMT, TOXCENTER, USPAT2, USPATFULL, VTB
(*File contains numerically searchable property data)
Other Sources: EINECS**, NDSL**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

413 REFERENCES IN FILE CA (1957 TO DATE)
124 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
414 REFERENCES IN FILE CAPLUS (1957 TO DATE)
25 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

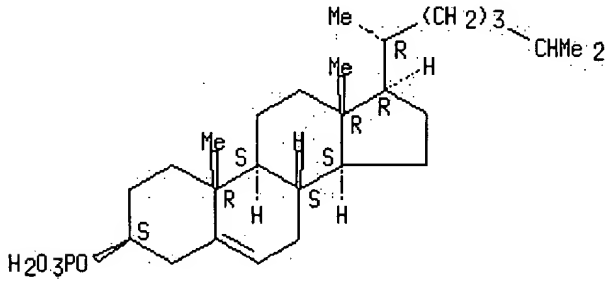
ACCESSION NUMBER: 1981:473973 CAPLUS
DOCUMENT NUMBER: 95:73973
TITLE: Effects of 22S-hydroxycholesterol and other hydroxylated sterols on the ACTH-stimulated steroid production in rat adrenal cells
AUTHOR(S): Huijmans, J. G. M.; Degenhart, H. J.; Kortleve, D. J.; Visser, H. K. A.
CORPORATE SOURCE: Dep. Pediatrics, Erasmus Univ., Neth.
SOURCE: Acta Endocrinol. (Copenhagen) (1981), 97(2), 243-50
CODEN: ACENA7; ISSN: 0001-5598
DOCUMENT TYPE: Journal
LANGUAGE: English

AB When studying cholesterol (I) [57-88-5] metab. in rat adrenal cells, an inhibitory action of some sterols on the ACTH [9002-60-2]-stimulated corticosterone (II) [50-22-6] prodn. was obsd. The effects of one sterol, 22(S)-hydroxycholesterol (III) [22348-64-7] prodn. were investigated. III had no effect on the ACTH-stimulated cAMP [60-92-4] prodn., suggesting an intact receptor-adenylate cyclase complex and cellular membrane. In the presence of ACTH and III particularly the free I concn. was elevated; III therefore may exert an inhibitory effect at a step located after hydrolysis of the I esters. III had no effect on the conversion of exogenous pregnenolone [145-13-1] into II. Apparently, the inhibitory effect of III on the ACTH-stimulated II prodn. is situated at the level of the I side-chain cleavage.

IT **4358-16-1**
RL: BIOL (Biological study)
(corticosterone formation stimulation by ACTH response to)

RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



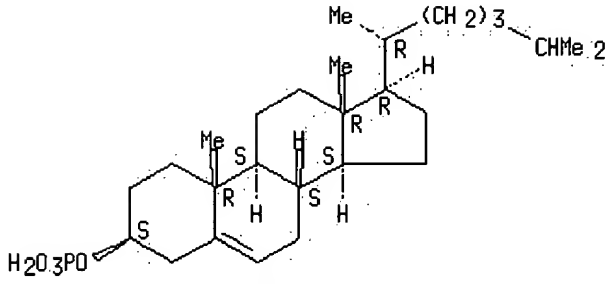
L6 ANSWER 49 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1981:4161 CAPLUS
DOCUMENT NUMBER: 94:4161
TITLE: Phosphorus esters of derivatives of 3-hydroxy-20-oxopregnane
AUTHOR(S): Sorokina, N. P.; Grinenko, G. S.; Terekhina, A. I.; Gritsina, G. I.; Gorenburgova, E. I.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khim.-Farm. Zh. (1980), 14(7), 36-8
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Steroidal phosphates I and II (R = H, Na; R1 = H, HO; R2 = H, Me; R1R2 = OCMe2O) were prepd. by treating the corresponding sterols with Cl2P(O)OP(O)Cl2 and hydrolyzing the resulting product. I and II possessed a variety of hormonal activities and weak thymolytic and antiinflammatory activities.

IT **75867-22-0P** **75867-24-2P** **75867-26-4P**



L6 ANSWER 42 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

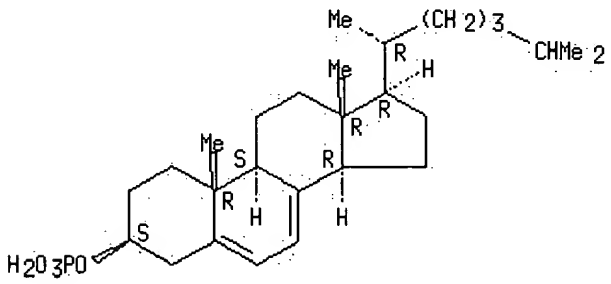
ACCESSION NUMBER: 1983:72546 CAPLUS
DOCUMENT NUMBER: 98:72546
TITLE: Steroid phosphates and polyphosphates. Part III. Synthesis and structure of 7-dehydrocholesterol and vitamin D 3-phosphoric esters and their salts and dimethyl phosphates
AUTHOR(S): Rapi, Gianfranco; Ginanneschi, Mauro; Chelli, Mario; Selva, Antonio; Traldi, Pietro; Vanni, Paolo; Pinzauti, Giancarlo
CORPORATE SOURCE: Cattedra Chim. Propedeut. Biochim., Fac. Med. Chir., Florence, I-50121, Italy
SOURCE: J. Chem. Res., Synop. (1982), (9), 236-7
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The syntheses are given in detail of the phosphorodichloridate, dihydrogen phosphate, disodium phosphate, barium phosphate, and di-Me phosphate derivs. of 7-dehydrocholesterol, vitamin D2, and vitamin D3. Monomeric structures were assigned to the compds. in accordance with their elemental anal. and their IR, UV, 1H and 31P NMR, and mass spectra. The phosphate salts of vitamins D2 and D3 are good substrates for intestinal alk. phosphatase.

IT **84284-80-0P 84284-81-1P 84284-88-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and structure of)

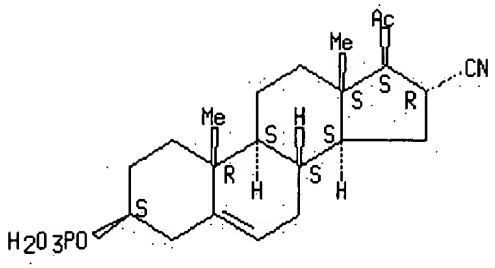
RN 84284-80-0 CAPLUS
CN Cholesta-5,7-dien-3-ol, dihydrogen phosphate, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 84284-81-1 CAPLUS
CN Cholesta-5,7-dien-3-ol, dihydrogen phosphate, disodium salt, (3β)- (9CI) (CA INDEX NAME)

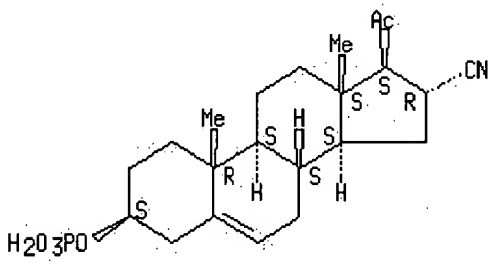
Absolute stereochemistry.



Na

RN 50303-99-6 CAPLUS
CN Pregn-5-ene-16-carbonitrile, 20-oxo-3-(phosphonooxy)-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 67 OF 70 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1971:420750 CAPLUS
DOCUMENT NUMBER: 75:20750
TITLE: Organophosphorochloridates. II. Reactions of steroid phosphorodichloridates
AUTHOR(S): Cremlyn, R. J. W.; Olsson, N. A.
CORPORATE SOURCE: Dep. Chem. Sci., Hatfield Polytech., Hatfield/Hertfordshire, Engl.
SOURCE: J. Chem. Soc. C (1971), (11), 2023-7
CODEN: JSOOAX
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reaction of cholestanol, epicholestanol, epicholesterol, Me 3.alpha.-hydroxy-5.beta.-cholanate, and ergosta-8(14)-en-3.beta.-ol with Cl2OPOPOCl2 gave the corresponding phosphorodichloridates. Attempted phosphorylation of 3,5-cyclocholestan-6.beta.-ol, and 6.beta.-□ hydroxycholest-4-en-3-one gave cholesteryl phosphorodichloridate (I) and cholestane-3,6-dione, resp. The hydrolysis of I in aq. dioxane-pyridine, aq. dioxane-2,4-dimethylpyridine, dioxane-HCl, and aq. THF and the reaction of the phosphorodichloridates with MeOH were studied. Reaction of I with alcs. gave the corresponding cholesteryl ethers. Solvolysis of the phosphorodichloridates in dry pyridine gave the N-steroid pyridinium chlorides.

IT **24352-57-6P 32277-63-7P 32277-64-8P 32329-90-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 24352-57-6 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

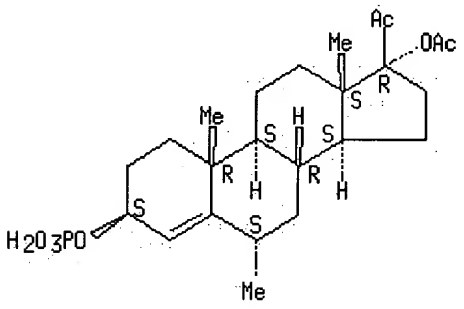
SOURCE: Brit., 6 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1159334		19690723		
PRIORITY APPLN. INFO.:			US	19660422

AB Phosphate esters (I) are prepd. by phosphorylation of 3β-hydroxy Δ4-unsatd. (U.S. 3,209,000) steroids with NEt3, orthophosphoric acid, and trichloroacetonitrile (II). Thus, a soln. of 5 g H3PO4 in 50 ml MeCN contg. 0.5 ml H2O at 60° was treated with 13.4 ml NEt3, 19.4 g 3β-hydroxy-17α-acetoxy-6α-met hylpregn-4-en-20-one and 20 ml II and kept at room temp. 4 hr, dild. with H2O and extd. with Et2O. The aq. soln., after concn. in vacuo, was treated with 5 ml cyclohexylamine to give 8.7 g bis(cyclohexylamine salt) which in H2O contg. equimolar NaOH liberated cyclohexylamine to give disodium 3β-phosphato-17α-acetoxy-6α-methylpregn-4-en-20-one.

IT **24701-21-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 24701-21-1 CAPLUS
CN Pregn-4-en-20-one, 17-(acetyloxy)-6-methyl-3-(phosphonooxy)-, disodium salt, (3β,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



2 Na

L6 ANSWER 69 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1970:3631 CAPLUS
DOCUMENT NUMBER: 72:3631
TITLE: Steroid phosphates and related compounds
AUTHOR(S): Cremlyn, Richard J. W. C.; Olsson, N. A.
CORPORATE SOURCE: Dep. Chem. Sci., Hatfield Polytech., Hatfield, Engl.
SOURCE: J. Chem. Soc. C (1969), (17), 2305-10
CODEN: JSOOAX
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The prepn. of cholesteryl dihydrogen phosphate via cholesteryl phosphorodichloridate is described; although the reaction was successful for the prepn. of ergosteryl and lanosteryl phosphorodichloridates, it failed with cholestanol and thiocholesterol. Dicholesteryl phosphorochloridate was prepd. but not diergosteryl or dilanosteryl

. . pH 8.6. The aqueous phase was then separated off and washed again with diethyl ether, and the disodium salt of 6α-methylprednisolone-21-**phosphoric** acid was obtained as a colorless powder by freeze-drying.

DETD (6) 7.5 g of 6α-methylprednisolone-21-**phosphoric** acid bis-4-nitrophenylethyl ester according to Example 4 were dissolved at room temperature in 500 ml of diazabicycloundecene in pyridine (0.5. . .

CLM What is claimed is:

1. A process for the preparation of corticosteroid-21-**phosphoric** acids of the general formula III ##STR9## and of pharmaceutically active salts thereof, in which formula III U denotes H. . . in which U, V, W and Y have the meaning indicated and X represents OH or halogen, with an organic **phosphoric** acid ester of the formula IVa or IVb ##STR11## in which Z is C-8 -alkyl which is unsubstituted or substituted. . . . . and wherein the compound of the formula I is reacted with a (C -C)-alkylammonium or aralkylammonium salt of a (C -C)-**dialkylphosphoric** acid.

L2 ANSWER 9 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER:	82:50766 USPATFULL		
TITLE:	Phosphonothioate immunogens		
INVENTOR(S):	Hoskinson, Ronald M., Normanhurst, Australia Cox, Ronald I., Beecroft, Australia Wong, Michael S. F., North Epping, Australia		
PATENT ASSIGNEE(S):	Commonwealth Scientific and Industrial Research Organization, Campbell, Australia (non-U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4354977		19821019
APPLICATION INFO.:	US 1980-129450		19800311 (6)
	NUMBER		DATE
PRIORITY INFORMATION:	AU 1979-8046		19790315
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Roberts, Elbert L.		
LEGAL REPRESENTATIVE:	Millen & White		
NUMBER OF CLAIMS:	14		
EXEMPLARY CLAIM:	1		
LINE COUNT:	387		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel phosphonothioate compounds of the general formula ##STR1## wherein R is lower alkyl

R is a steroid residue which is linked to the rest of the molecule through any carbon atom which is not one of the carbon atoms forming a ring junction, or through a side chain carbon atom, and

n is 1 to 4,

are disclosed as well as the use of these compounds as immunogenic haptens.

Immunogenic hapten-protein complexes and conjugates of these phosphonothioate compounds and of **steroid phosphates** are also disclosed.

AB Immunogenic hapten-protein complexes and conjugates of these phosphonothioate compounds and of **steroid phosphates** are also disclosed.

tetrachloride in the presence of an organic solvent which does not react with the starting materials at a temperature of. . .
2. A process according to claim 1, wherein the reaction with the **pyrophosphoryl** tetrachloride is carried out at ambient temperature.

3. A process according to claim 1, wherein the reaction with the **pyrophosphoryl** tetrachloride is carried out at a temperature below about -10°C.

12. A process according to claim 1, wherein **pyrophosphoryl** tetrachloride is employed in the amount of one to two moles against one mole of the corticoid.

L2 ANSWER 13 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER: 75:40079 USPATFULL
TITLE: Corticosteroid phosphate salts/neomycin sulfate ophthalmic
INVENTOR(S): McGinity, James William, North Brunswick, NJ, United States
PATENT ASSIGNEE(S): E. R. Squibb & Sons, Inc., Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 3898330		19750805	
APPLICATION INFO.:	US 1973-384551		19730801	(5)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Roberts, Elbert L.
LEGAL REPRESENTATIVE: Levinson, Lawrence S., Smith, Merle J., Barrack, Donald J.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 200
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Ophthalmic solutions comprising a corticosteroid phosphate salt and neomycin sulfate are formulated using phosphate ions to overcome the incompatability of the anionic steroid salt and the cationic antibiotic.

SUMM . . . the form of an aqueous solution. U.S. Pat. No. 2,970,944 to Charnicki et al. states that "Although aqueous solutions of **steroid phosphate** salts are colorless and free from insoluble matter when freshly made, these solutions, upon standing at room temperature or at. . .

DETD . . . formation could be accomplished, in the case of dibasic sodium phosphate, by the use of sodium phosphate (Na PO) and **phosphoric** acid (H PO).

=>

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9324106	A1	19931209	WO 1992-FR475	19920527

W: CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE

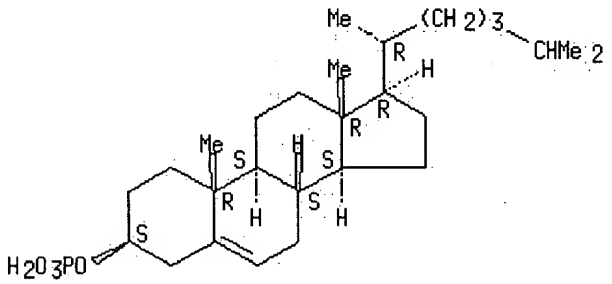
AB Cosmetic or pharmaceutical compns. for protecting mucosa, skin or hair from the oxidizing effect of free radicals are prepd. from proanthocyanidin oligomer (Markush structure given) encapsulated in liposomes. Encapsulation of the oligomer reduces tissue staining and improves the active agent's stability. A cream contained polyglycerol cetyl alc. 2.375, cholesterol 2.375, Na stearyl glutamate 0.25, proanthocyanidin oligomer from rains' seed 1.00, preservatives 0.2, and water q.s. 100 g.

IT **4358-16-1D**, Cholesterol phosphate, alk. salts
RL: BIOL (Biological study)
(liposome manuf. from, contg. proanthocyanidin oligomers, in cosmetic and pharmaceutical compns.)

RN 4358-16-1 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 9 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1993:656274 CAPLUS
DOCUMENT NUMBER: 119:256274
TITLE: Preparation of betaine-containing vesicles for cosmetic or pharmaceutical applications
INVENTOR(S): Pourchet, Sylvie; Chevalier, Yves; Le Percher, Pierre; Vanderberghe, Guy
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: Fr. Demande, 30 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2687313	A1	19930820	FR 1992-1743	19920217
FR 2687313	B1	19950602		

AB Aq. vesicle dispersions are disclosed in which the vesicles contain ≥1 betaine (R1)(R2)N+[(CH2CH2O)nH][(CH2)mY-] [R1, R2 = C12-18 hydrocarbyl; n = 2-5; Y- = COO-, SO3-; m = 1-4 (m ≠ 2 when Y- = COO-)] (I). The dispersions of the invention are useful for cosmetic or pharmaceutical compns. Prepn. of I (R1 = R2 = C14H29; n = 2; m = 1; Y- = COO-) (II) and I (R1 = R2 = C12H25; n = 2; m = 1; Y- = COO-) is described, as is a macadamia oil cosmetic compn. using vesicles contg. II,

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available
NEWS 20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 22	Nov 29	COPPERLIT now available on STN
NEWS 23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 24	Nov 30	Files VETU and VETB to have open access
NEWS 25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 26	Dec 10	DGENE BLAST Homology Search

NEWS EXPRESS	August 15 CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 12:03:38 ON 12 DEC 2001

=> **d his**

(FILE 'HOME' ENTERED AT 12:03:38 ON 12 DEC 2001)

=> **file reg**
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	1.26	1.26

FILE 'REGISTRY' ENTERED AT 12:07:02 ON 12 DEC 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 10 DEC 2001 HIGHEST RN 374668-20-9
DICTIONARY FILE UPDATES: 10 DEC 2001 HIGHEST RN 374668-20-9

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

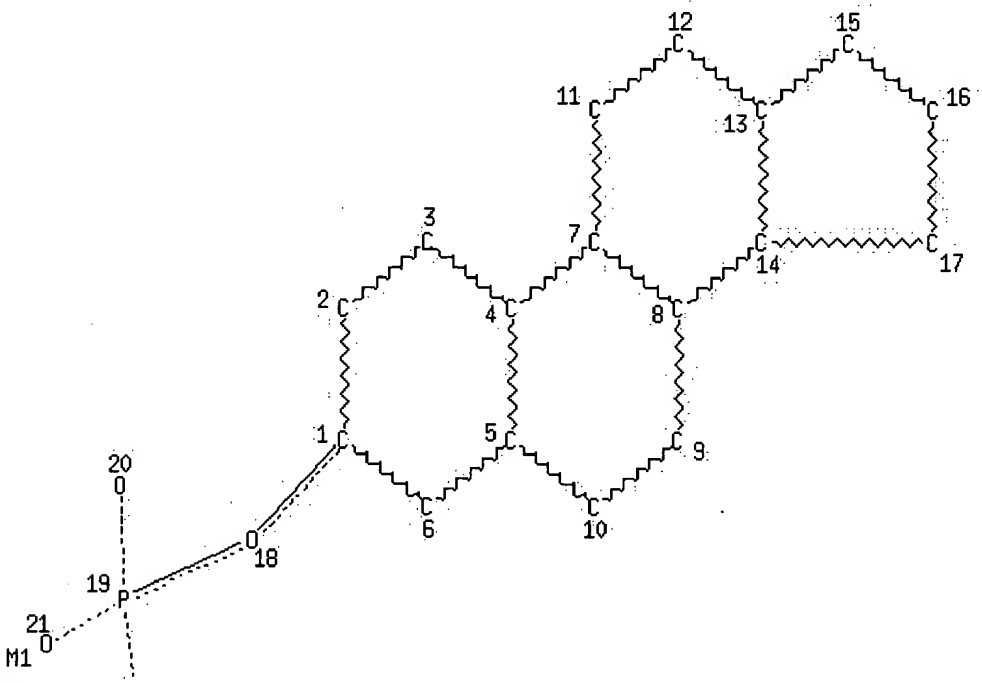
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

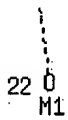
Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
L1 STRUCTURE UPLOADED

=> **d l1**
L1 HAS NO ANSWERS
L1 STR



Page 1-A



Page 2-A
NODE ATTRIBUTES:
HCOUNT IS M1 AT 21
HCOUNT IS M1 AT 22

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NSPEC   IS R      AT   1
NSPEC   IS R      AT   2
NSPEC   IS R      AT   3
NSPEC   IS R      AT   4
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NSPEC   IS C      AT  22
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MLEVEL  IS CLASS  AT  18 19 20 21 22
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  22

STEREO ATTRIBUTES: NONE


=>
L3      STRUCTURE UPLOADED

=> s l3 full
FULL SEARCH INITIATED 12:09:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1489 TO ITERATE

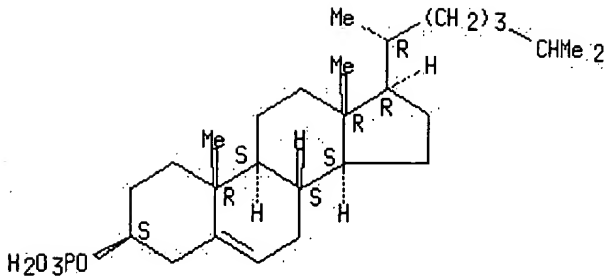
100.0% PROCESSED    1489 ITERATIONS                                98 ANSWERS
SEARCH TIME: 00.00.01

L4           98 SEA SSS FUL L3

=> d scan

L4   98 ANSWERS   REGISTRY  COPYRIGHT 2001 ACS
IN   Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, magnesium salt (9CI)
MF   C27 H47 O4 P . x Mg

Absolute stereochemistry.
```



x Mg

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1)**0**

=> **file caplus**

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	134.73	135.99

FILE 'CAPLUS' ENTERED AT 12:09:35 ON 12 DEC 2001
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FILE COVERS 1947 - 12 Dec 2001 VOL 135 ISS 25
FILE LAST UPDATED: 10 Dec 2001 (20011210/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

=> **s l4**
L5 116 L4

=> s l5 not py>=1997
4182199 PY>=1997
L6 70 L5 NOT PY>=1997

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9006775	A1	19900628	WO 1989-US5525	19891206
W: AU, DK, FI, JP, NO				
RW: AT, BE, CH, DE, ES, FR, GB, IT, LU, NL, SE				
US 4906476	A	19900306	US 1988-284158	19881214
US 5043165	A	19910827	US 1988-284216	19881214

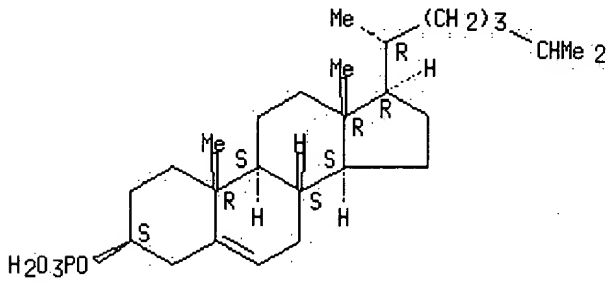
PRIORITY APPLN. INFO.: US 1988-284158 19881214
US 1988-284216 19881214

AB A nonconventional liposome compn. consisting of nonphospholipid lipids, esp. cholesterol and cholesterol ester salts, are used for encapsulation of drugs. They are useful for sustained release of steroids, and are suitable for treatment of inflammatory, arthritic, rheumatoid diseases, etc., esp. as aerosols for interstitial lung disease. Beclomethasone dipropionate (I) 10 was incorporated into liposomes prepd. with Na cholesterol sulfate 50 and cholesterol 40 mol %. Sustained release of I was obsd. in rats following intratracheal administration, in contrast to liposomes formulated with phosphatidylcholine and cholesterol.

IT **24352-55-4 107745-49-3 107745-53-9**
133352-85-9 133352-86-0
RL: BIOL (Biological study)
(pharmaceutical liposomes contg. cholesterol and)

RN 24352-55-4 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, dihydrogen phosphate, dilithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

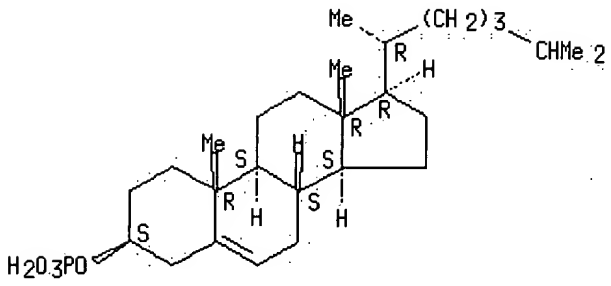


2 Li

RN 107745-49-3 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, dihydrogen phosphate, sodium salt (9CI) (CA INDEX NAME)

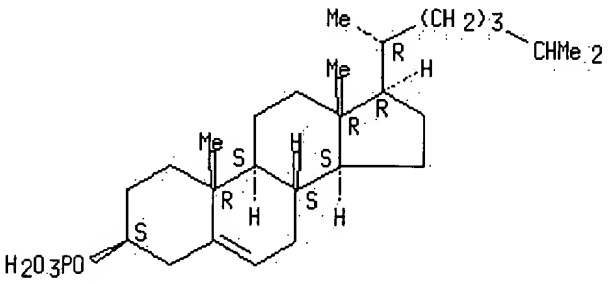
Absolute stereochemistry.



x Na

RN 107745-53-9 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, dihydrogen phosphate, potassium salt (9CI) (CA INDEX NAME)□

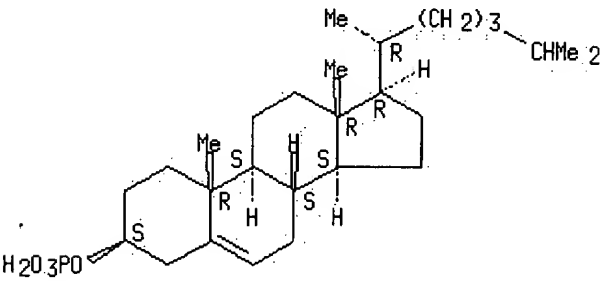
Absolute stereochemistry.



x K

RN 133352-85-9 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, dihydrogen phosphate, magnesium salt (9CI) (CA INDEX NAME)□

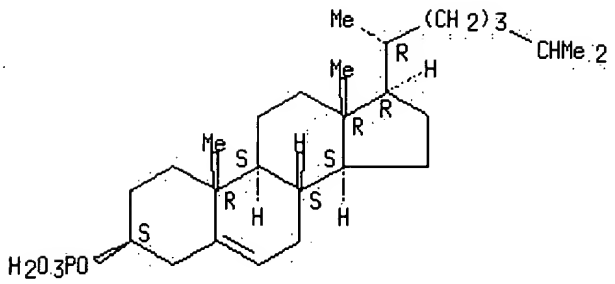
Absolute stereochemistry.



x Mg

RN 133352-86-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, dihydrogen phosphate, calcium salt (9CI) (CA INDEX NAME)□

Absolute stereochemistry.



x Ca

L10 ANSWER 24 OF 118 CAPLUS COPYRIGHT 2001 ACS

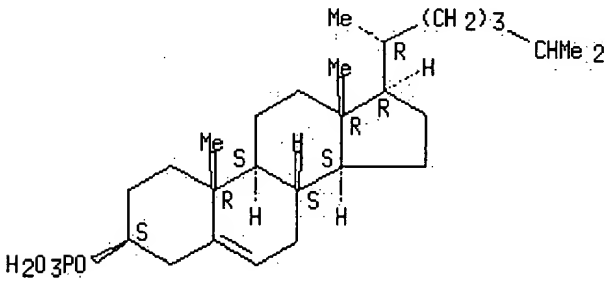
Full Text | Citing References

ACCESSION NUMBER: 1991:43293 CAPLUS
DOCUMENT NUMBER: 114:43293
TITLE: Phosphorylation of nonacosanol and cholesterol with tetra-n-butylammonium dihydrogen phosphate and trichloroacetonitrile
AUTHOR(S): Danilov, L. L.; Mal'tsev, S. D.; Shibaev, V. N.
CORPORATE SOURCE: N. D. Zelinskii Inst. Org. Chem., Moscow, USSR
SOURCE: Bioorg. Khim. (1990), 16(7), 1002-3
CODEN: BIKHD7; ISSN: 0132-3423
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 114:43293

AB Phosphorylation of 1-nonacosanol and cholesterol by Bu4N+H2PO4- and Cl3CCN gave 60 and 99% of the corresponding monophosphates.

IT **4358-16-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 25 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1991:21255 CAPLUS
DOCUMENT NUMBER: 114:21255
TITLE: P1 gene expression in Drosophila larval fat body: induction by various ecdysteroids
AUTHOR(S): Somme-Martin, Ghislaine; Colardeau, Jacqueline; Beydon, Philippe; Blais, Catherine; Lepesant, Jean Antoine; Lafont, Rene
CORPORATE SOURCE: Dep. Biol., Univ. Pierre et Marie Curie, Paris, 75230, Fr.

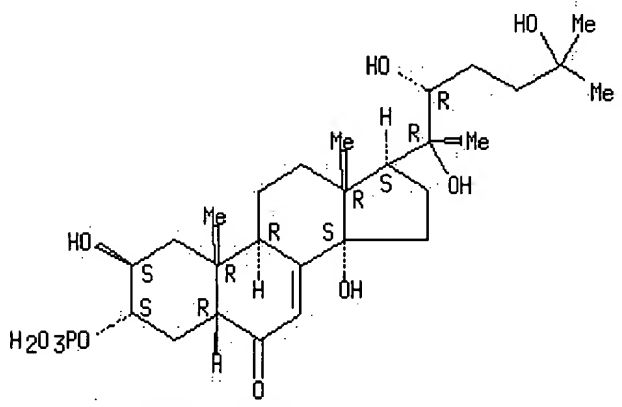
SOURCE: Arch. Insect Biochem. Physiol. (1990), 15(1), 43-56
CODEN: AIBPEA; ISSN: 0739-4462
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The biol. activity of 20-hydroxyecdysone (20E) and 20E metabolites 3-dehydro-20-hydroxyecdysone (3D20E), 3-epi-20-hydroxyecdysone, 3-epi-20-hydroxyecdysone-3-phosphate, 20,26-dihydroxyecdysone (20,26E), and 20-hydroxyecdysonoic acid (20Eoic) was tested in the developmental mutant ecd1 for the ability to induce the transcription of the steroid-inducible gene P1 in the Drosophila larval fat body. 3D20E was the most efficient ecdysteroid in the initiation of P1 gene transcription. Therefore the formation of 3D20E and the 3-epimer could not be regarded as an inactivation pathway in Drosophila larvae. Formation of 20,26E and 20Eoic may be an inactivation pathway in this biol. model.

IT **107802-73-3**
RL: BIOL (Biological study)
(gene P1 expression in larval fruit fly fat body induction by)

RN 107802-73-3 CAPLUS
CN Cholest-7-en-6-one, 2,14,20,22,25-pentahydroxy-3-(phosphonooxy)-, (2β,3α,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 26 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

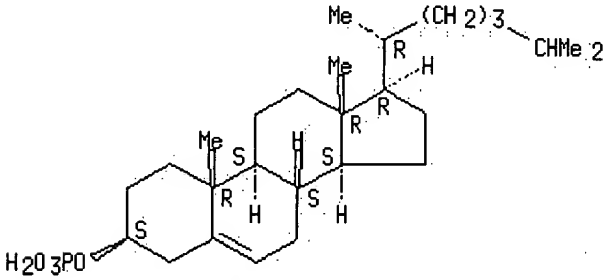
ACCESSION NUMBER: 1991:19750 CAPLUS
DOCUMENT NUMBER: 114:19750
TITLE: Carboxylic acid or primary amine titration at the lipid-water interface: on the role of electric charges and phospholipid acyl chain composition. A spin labeling experiment
AUTHOR(S): Bonnet, Pierre Antoine; Roman, Vincent; Fatome, Marc; Berleur, Francois
CORPORATE SOURCE: IRDI, Commis. Energ. At., Gif-sur-Yvette, 91191, Fr.
SOURCE: Chem. Phys. Lipids (1990), 55(2), 133-43
CODEN: CPLIA4; ISSN: 0009-3084
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The dissocn. equil. pH of a stearic acid spin probe and of the primary amine group of cysteamine was evaluated in the phospholipidic matrix of model membranes in gel phase (Lβ') and in liq.-cryst. phase (Lα). This study shows that the apparent pKa or pKb values depend on: (i) the thermodn. phase of the lipidic bilayers; (ii) the nature of the lipidic components including either the polar head region (choline, serine moieties or exogenous elec. charge-carrying cholesteryl esters) or the hydrophobic core (different phospholipid acyl chain length); (iii) the nature of the ionizable group, ΔpK (pKbilayer - pKwater) of

carboxylic acid or primary amine groups being opposite resp. (ΔpKa = =2.5 for stearic acid and ΔpKb = -4.9 for cysteamine, in dipalmitoylphosphatidylcholine in fluid phase). An interpretation of this pK shifting is given by an interaction model of the ionizable mol. with the phospholipid bilayer, showing that ΔpK can be modulated by 2 parameters: the partition coeff. ratio of both the nonionized and the ionized forms (KH/K-) of the interacting mol., and the surface charge d. (Ψ) at the lipid/water interface.

IT **4358-16-1**, Cholesteryl phosphate
RL: BIOL (Biological study)
(membrane contg., carboxylate or primary amine ionization in, acyl chain compn. in relation to)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 27 OF 118 CAPLUS COPYRIGHT 2001 ACS

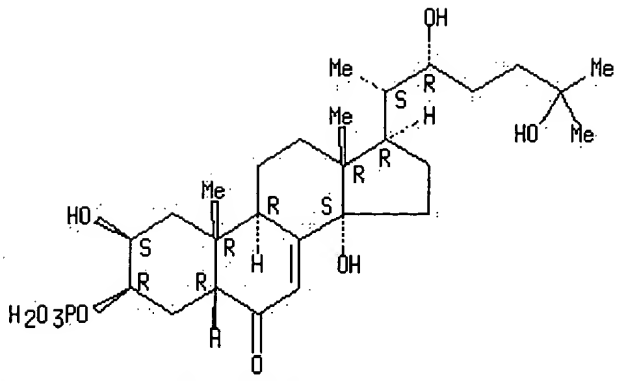
Full Text | Citing References

ACCESSION NUMBER: 1991:2956 CAPLUS
DOCUMENT NUMBER: 114:2956
TITLE: Computer simulation of ecdysone metabolism and of the HPLC analysis of the metabolites
AUTHOR(S): Kalasz, H.; Bathori, M.; Tarjanyi, Z.; Darvas, F.
CORPORATE SOURCE: Dep. Pharmacol. Cell Biophys., Univ. Cincinnati, Cincinnati, OH, 45267-0575, USA
SOURCE: Chromatographia (1990), 30(1-2), 95-8
CODEN: CHRGB7; ISSN: 0009-5893
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Computer simulation of ecdysone metab. in insects has been done by the software called HPLC-Metabolexpert, that served to generate the metabolic pathways of ecdysone in a retrospective manner. Some of the generated metabolites have already been detected, others are to be confirmed. Lists of the applied metabolic transformations, the predicted metabolites, and their HPLC elution times are also given.

IT **130690-29-8**
RL: ANT (Analyte); ANST (Analytical study)
(HPLC of)
RN 130690-29-8 CAPLUS
CN Cholest-7-en-6-one, 2,14,22,25-tetrahydroxy-3-(phosphonooxy)-, (2β,3β,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 28 OF 118 CAPLUS COPYRIGHT 2001 ACS

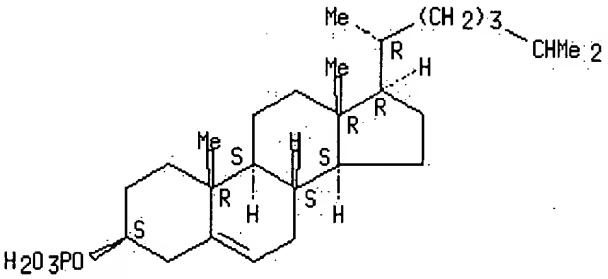
Full Text | Citing References

ACCESSION NUMBER: 1991:2430 CAPLUS
DOCUMENT NUMBER: 114:2430
TITLE: Cholesteryl phosphate and cholesteryl pyrophosphate inhibit formation of the hexagonal phase
AUTHOR(S): Epand, Richard M.; Bottega, Remo; Robinson, Kelli
CORPORATE SOURCE: Health Sci. Cent., McMaster Univ., Hamilton, ON, L8N 3Z5, Can.
SOURCE: Chem. Phys. Lipids (1990), 55(1), 49-53
CODEN: CPLIA4; ISSN: 0009-3084
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effects of cholesteryl phosphate and cholesteryl sulfate on the Lα-HII phase transition temp. of dielaidoylphosphatidylethanolamine were compared. Both compds. raise the Lα-HII transition temp. This effect is decreased with decreasing pH. Cholesteryl sulfate is a somewhat better bilayer stabilizer and the effect is obsd. to lower pH values. Cholesteryl pyrophosphate was synthesized. This compd. raises the Lα-HII transition temp. at pH 7.4 to the same extent as does cholesteryl sulfate. It is concluded that charged sterol amphiphiles are good bilayer stabilizers but that this effect is not very sensitive to the nature of the polar group.

IT **4358-16-1P**, Cholesteryl phosphate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with morpholine and phosphatidylethanolamine lamellar to hexagonal membrane phase transition response to)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 29 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

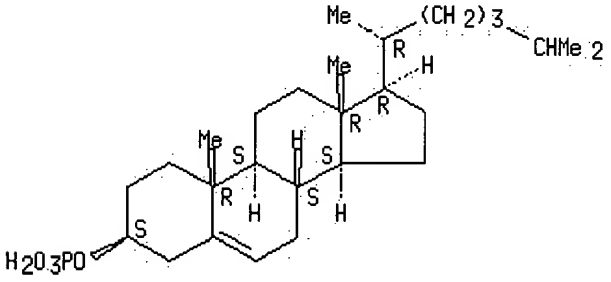
ACCESSION NUMBER: 1990:549811 CAPLUS

DOCUMENT NUMBER: 113:149811
TITLE: Cholesterol sulfate inhibits the fusion of Sendai virus to biological and model membranes
AUTHOR(S): Cheetham, James J.; Epand, Richard M.; Andrews, Marie; Flanagan, Thomas D.
CORPORATE SOURCE: Health Sci. Cent., McMaster Univ., Hamilton, ON, L8N 3Z5, Can.
SOURCE: J. Biol. Chem. (1990), 265(21), 12404-9
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cholesterol sulfate inhibits hypotonic erythrocyte hemolysis, while in sperm it can decrease fertilization efficiency. Cholesterol sulfate is a potent inhibitor of Sendai virus fusion to both human erythrocyte and liposomal membranes. Cholesterol sulfate also raises the bilayer to hexagonal phase transition temp. of dielaidoylphosphatidylethanolamine as demonstrated by differential scanning calorimetry and 31P-NMR spectrometry. Although hexagonal phase structures are not readily found in biol. membranes, there is a correlation between the effects of membrane additives on bilayer/non-bilayer equil. and membrane stabilization. The ability of cholesterol sulfate to alter the phys. properties of membranes may contribute to its stabilizing effects on biol. membranes and the inhibition of membrane fusion.

IT **4358-16-1**, Cholesterol phosphate
RL: BIOL (Biological study)
(erythrocyte membrane stability response to)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 30 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1990:520816 CAPLUS
DOCUMENT NUMBER: 113:120816
TITLE: Liposome composition for sustained release of steroidal drugs in lungs
INVENTOR(S): Radhakrishnan, Ramachandran
PATENT ASSIGNEE(S): Liposome Technology, Inc., USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4906476	A	19900306	US 1988-284158	19881214
US 5049389	A	19910917	US 1989-444738	19891201
WO 9006775	A1	19900628	WO 1989-US5525	19891206

W: AU, DK, FI, JP, NO
RW: AT, BE, CH, DE, ES, FR, GB, IT, LU, NL, SE

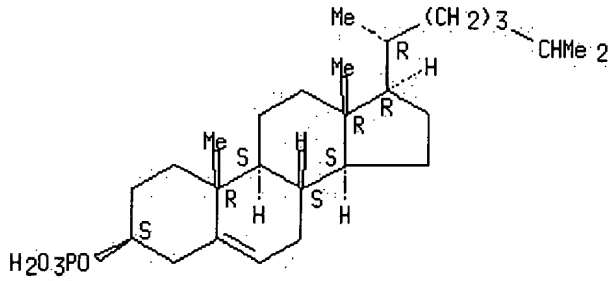
CA 2004865 AA 19900614 CA 1989-2004865 19891207
PRIORITY APPLN. INFO.: US 1988-284158 19881214
US 1988-284216 19881214

AB The title liposome compn. consists essentially of a nonphospholipid mixt. of cholesterol (CH) and a cholesterol salt (CHS) e.g. cholesterol sulfate (CHSO4), in a ratio of CHS 30-70, CH 20-50, and steroidal drug 0.01-20 mol%. The liposome compn. is delivered by inhalation for treatment of pulmonary disease. Thus, a lyophilized mixt. of beclomethasone dipropionate (BDP) 10, CHSO4 50, and CH 40 mol% was resuspended, sonicated, and extruded to form nonconventional liposomes. These liposomes had an encapsulation efficiency, inital drug/lipid ratio (% mol fraction drug used in the formulation), and final drug/lipid ratio (% mol from fraction of drug in liposomes after formulation and removal of free drug not assocd. with liposomes) of 100%, 0.100, and 0.100, resp. Very little, if any, steroid leaked out of the nonconventional liposomes after 3 days at ambient temp. Using light microscopy, nonconventional liposomes showed no crystals after 3 mo of storage at 4°. In in vivo inhalation studies with rats and using liposomes contg. 14C-labeled BDP, the absorption kinetics of nonconventional liposomal formulations differed significantly from those of free drug and a formulation contg. egg phosphatidylcholine and CHSO4. Significant amts. of radiolabel were detected in the lungs over the course of the study (2.5 h) for the CH/CHSO4 nonconventional formulations. In contrast, 98.8% of the 14C-labeled BDP in egg phosphatidylcholine/CHSO4 liposomes and left the lungs 30 min after administration.

IT **4358-16-1**, Cholesterol phosphate
RL: BIOL (Biological study)
(liposome contg. steroid and, for pulmonary disease treatment)

RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 31 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1989:420437 CAPLUS
DOCUMENT NUMBER: 111:20437
TITLE: Isolation and identification of major ecdysteroid conjugates from the ovaries of Bombyx mori
AUTHOR(S): Ohnishi, Eiji; Hiramoto, Masashi; Fujimoto, Yoshinori; Kakinuma, Katsumi; Ikekawa, Nobuo
CORPORATE SOURCE: Fac. Sci., Nagoya Univ., Nagoya, 464, Japan
SOURCE: Insect Biochem. (1989), 19(1), 95-101
CODEN: ISBCAN; ISSN: 0020-1790
DOCUMENT TYPE: Journal
LANGUAGE: English

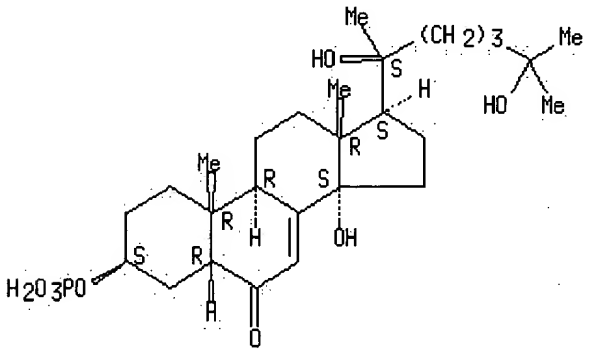
AB Six major ecdysteroid conjugates have been isolated from mature ovaries of B. mori by a procedure involving column chromatog. on Sephadex G15, silicic acid, and Sephadex LH-20, and high-performance liq. chromatog.

using a reverse-phase column. By analyses including UV absorption, enzymic hydrolysis, neg.-ion fast-atom-bombardment mass spectrometry, and proton and 31P NMR spectrometry, these conjugates were identified as the following: ecdysone-22-phosphate, 20-hydroxyecdysone-22-phosphate, 2-deoxyecdysone-22-phosphate, 2-deoxy-20-hydroxyecdysone-22-phosphate, 2,22-dideoxy-20-hydroxyecdysone-3-phosphate, and bombycosterol-3-phosphate.

IT **117176-37-1**, 2,22-Dideoxy-20-hydroxyecdysone-3-phosphate
117176-38-2, Bombycosterol-3-phosphate
RL: ANT (Analyte); ANST (Analytical study)
(detection of, in ovaries of Bombyx mori)

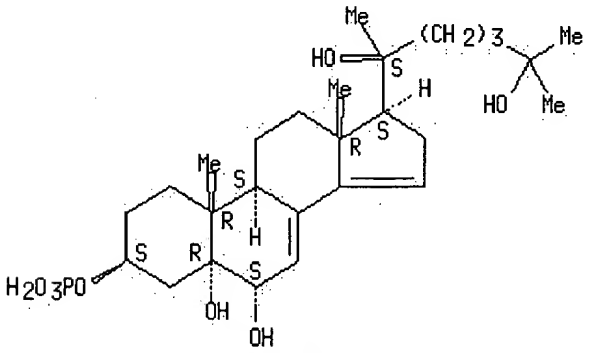
RN 117176-37-1 CAPLUS
CN Cholest-7-en-6-one, 14,20,25-trihydroxy-3-(phosphonooxy)-, (3β,5β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 117176-38-2 CAPLUS
CN Cholesta-7,14-diene-3,5,6,20,25-pentol, 3-(dihydrogen phosphate), (3β,5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 32 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER:	1989:218826 CAPLUS
DOCUMENT NUMBER:	110:218826
TITLE:	Cosmetic skin preparations containing cholesterols
INVENTOR(S):	Masaki, Hitoshi; Mori, Rikuro
PATENT ASSIGNEE(S):	Noevir Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63238010	A2	19881004	JP 1987-72725	19870325

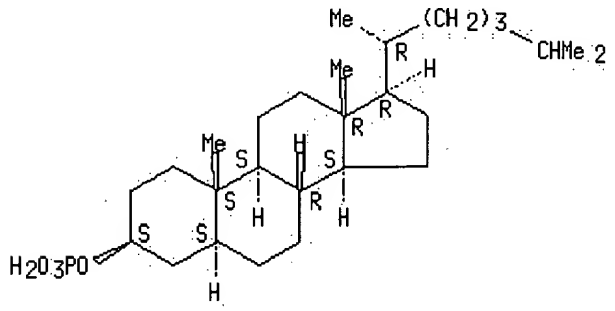
AB Cosmetic skin prepns. contain ≥1 compd. chosen from cholesterol glycolipids and cholesteryl phosphate salts. The prepns. improve H2O-holding properties of the skin and maintain healthy conditions. A cream comprising stearic acid 2.0, stearyl alc. 1.0, reduced lanolin 1.8, squalane 10.0, octyldodecanol 6.0, cholesterol glucoside 10.0, poly(oxyethylene) sorbitan stearate 3.0, glycerin monostearate 2.0, flavor 0.3, antiseptic agent 0.2, glycerin 5.0, and H2O 58.7% by wt. inhibited water loss on the skin and showed smoothing effect.

IT **65242-47-9**
RL: BIOL (Biological study)
(cosmetic skin prepns. contg.)

RN 65242-47-9 CAPLUS

CN Cholestan-3-ol, dihydrogen phosphate, monosodium salt, (3β,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Na

L10 ANSWER 33 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER:	1989:54721 CAPLUS
DOCUMENT NUMBER:	110:54721
TITLE:	Conversion of ecdysone and 20-hydroxyecdysone into 3-dehydroecdysteroids is a major pathway in third instar Drosophila melanogaster larvae
AUTHOR(S):	Somme-Martin, G.; Colardeau, J.; Lafont, R.
CORPORATE SOURCE:	Dep. Biol., ENS, Paris, 75230, Fr.
SOURCE:	Insect Biochem. (1988), 18(7), 729-34 CODEN: ISBCAN; ISSN: 0020-1790
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB Ecdysone and 20-hydroxyecdysone metab. was investigated in third instar Drosophila larvae both in vivo by injecting radiolabeled ecdysteroids and in vitro by incubating various tissues with labeled ecdysteroids. Ecdysone metab. proceeds through different pathways: (1) C-20 hydroxylation; (2) C-26 hydroxylation and C-26 oxidn. leading to the formation of 26-hydroxyecdysteroids (26-hydroxyecdysone and 20,26-dihydroxyecdysone) and acid compds. (ecdysonoic acid and 20-hydroxyecdysonic acid); and (3) C-3 oxidn. and C-3 epimerization then conjugation leading to the formation of 3-dehydrocompounds (3-dehydroecdysone and 3-dehydro-20-hydroxyecdysone), 3-epimers (3-epiecdysone and 3-epi-20-hydroxyecdysone) and conjugates (only one conjugate was tentatively characterized as 3-epi-20-hydroxyecdysone-3-phosphate). 3-Dehydrocompounds are the major metabolites formed in third

instar Drosophila larvae and C-3 oxidn. occurs in various tissues. Expts. using tritiated cholesterol provided evidence that 3-dehydroecdysone and 3-dehydro-20-hydroxyecdysone are true endogenous ecdysteroids in Drosophila larvae.

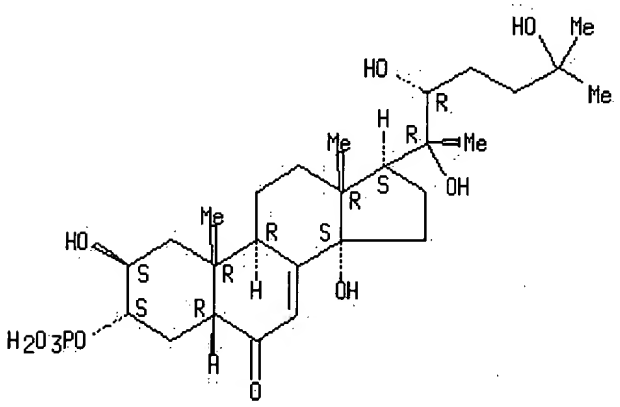
IT **107802-73-3**

RL: FORM (Formation, nonpreparative)
(formation of, by Drosophila melanogaster larva)

RN 107802-73-3 CAPLUS

CN Cholest-7-en-6-one, 2,14,20,22,25-pentahydroxy-3-(phosphonooxy)-, (2β,3α,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 34 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1988:631361 CAPLUS
DOCUMENT NUMBER: 109:231361
TITLE: Amino steroids useful for treating a variety of conditions, and a process for their preparation
INVENTOR(S): McCall, John M.; Ayer, Donald E.; Jacobsen, E. Jon; Van Doorick, Frederick J.; Palmer, John R.; Karnes, Harold A.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: Eur. Pat. Appl., 90 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 263213	A1	19880413	EP 1986-307808	19861009
EP 263213	B1	19950906		
R: AT, ES, GR				
ES 2078890	T3	19960101	ES 1986-307808	19861009

PRIORITY APPLN. INFO.: EP 1986-307808 19861009
OTHER SOURCE(S): CASREACT 109:231361; MARPAT 109:231361

AB Various amino-substituted steroids were prepd. for use in the treatment of a wide variety of conditions. Aminolysis of 21-iodo-16α-methylpregna-1,4,9(11)-triene-3,20-dione by 1-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)piperazine in MeCN contg. K2CO3 at 60°, followed by chromatog. and salification with MeSO3H, gave the amino steroid dimethanesulfonate I. In the in vivo mouse head injury test of Hall, 3 mg I/kg increases 1-h post-injury grip test scores by 134.5%.

IT **111640-92-7P 111640-93-8P 111766-19-9P 116895-07-9P**

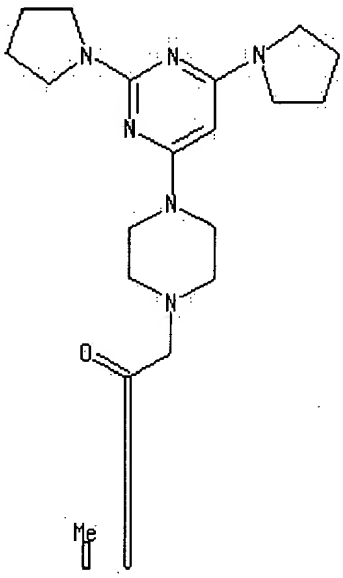
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

RN 111640-92-7 CAPLUS

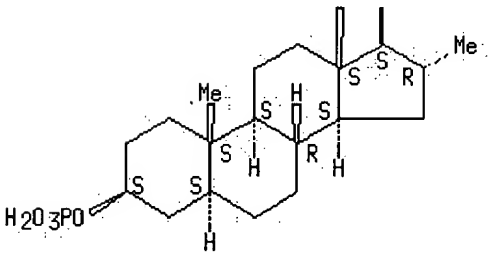
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3β,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

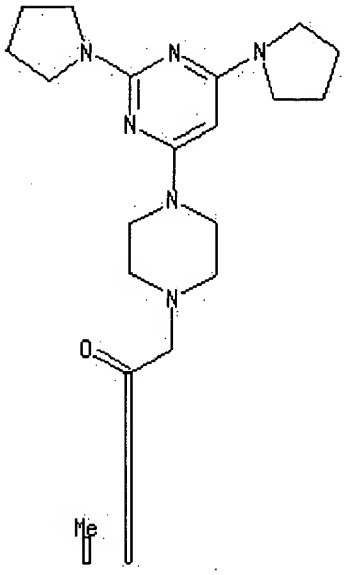


RN 111640-93-8 CAPLUS

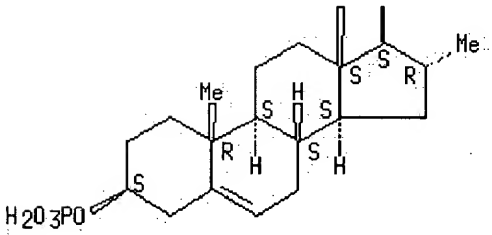
CN Pregn-5-en-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



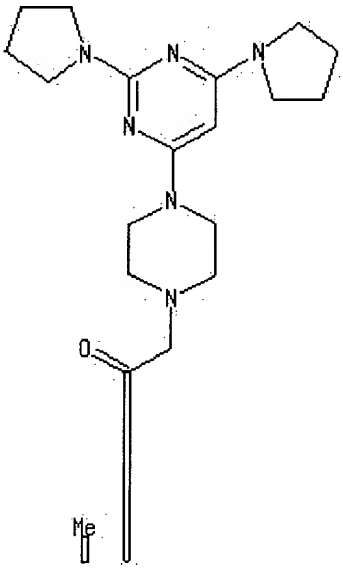
PAGE 2-A



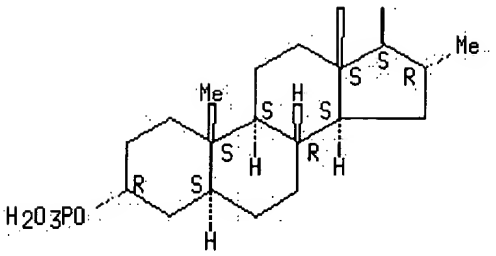
RN 111766-19-9 CAPLUS
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3α,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



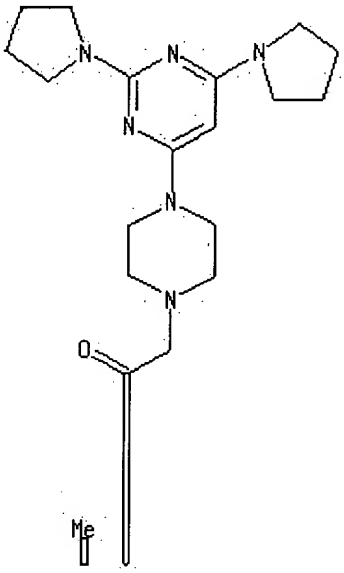
PAGE 2-A



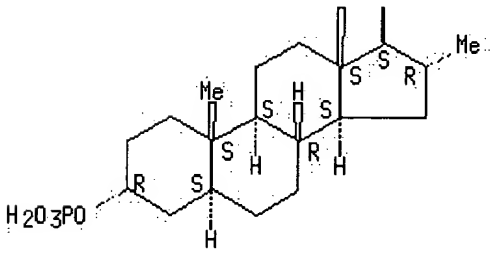
RN 116895-07-9 CAPLUS
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, dipotassium salt, (3α,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



#.2 K

L10 ANSWER 35 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

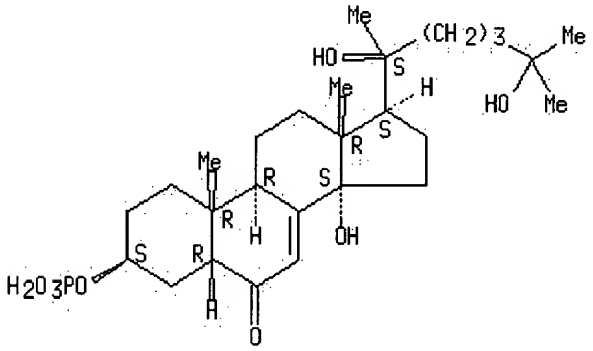
ACCESSION NUMBER: 1988:587601 CAPLUS
DOCUMENT NUMBER: 109:187601
TITLE: Ecdysteroid conjugates in the ovaries of the silkworm, Bombyx mori: 3-phosphates of 2,22-dideoxy-20-hydroxyecdysone and of bombycosterol
AUTHOR(S): Hiramoto, M.; Fujimoto, Y.; Kakinuma, K.; Ikekawa, N.; Ohnishi, E.
CORPORATE SOURCE: Dep. Chem., Tokyo Inst. Technol., Tokyo, 152, Japan
SOURCE: Experientia (1988), 44(7), 623-5
CODEN: EXPEAM; ISSN: 0014-4754
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two novel ecdysteroid conjugates, 2,22-dideoxy-20-hydroxyecdysone 3-phosphate (I) and bombycosterol 3-phosphate (II), as well as 4 known ecdysteroid 22-phosphate esters, were isolated and characterized from the ovaries of the silkworm, B. mori.

IT **117176-37-1** **117176-38-2**
RL: BIOL (Biological study)
(of ovary, of silkworm)

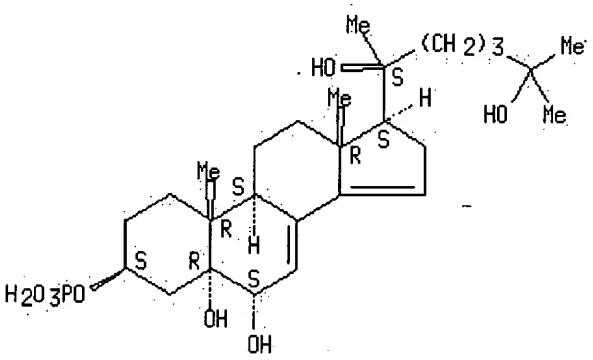
RN 117176-37-1 CAPLUS
CN Cholest-7-en-6-one, 14,20,25-trihydroxy-3-(phosphonooxy)-, (3β,5β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 117176-38-2 CAPLUS
CN Cholesta-7,14-diene-3,5,6,20,25-pentol, 3-(dihydrogen phosphate), (3β,5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



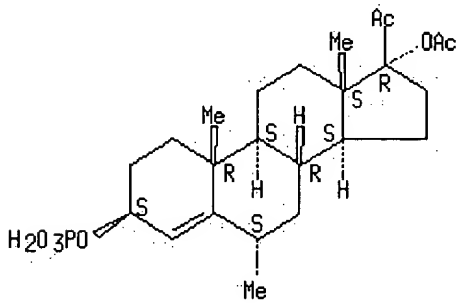
L10 ANSWER 36 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1988:486466 CAPLUS
DOCUMENT NUMBER: 109:86466
TITLE: Inhibition of granulocyte function by steroids is not

limited to corticoids. Studies with sex steroids
AUTHOR(S): Hammerschmidt, Dale E.; Knabe, Ann C.; Silberstein, Peter T.; Lamche, Herbert R.; Coppo, Patricia A.
CORPORATE SOURCE: Dep. Med., Univ. Hosp., Minneapolis, MN, 55455, USA
SOURCE: Inflammation (N. Y.) (1988), 12(3), 277-84
CODEN: INFLD4; ISSN: 0360-3997
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A nonspecific physicochem. effect of steroids on the cell membrane was tested by detg. the effects of 3 noncorticoid steroids on human granulocyte function. All 3 (conjugated equine estrogen, a synthetic progestogen, and a synthetic androgen) behaved in a manner analogous to corticoids at similar concns., inhibiting granulocyte aggregation, chemotaxis, and chemiluminescence, as well as binding to the granulocytes of the synthetic oligopeptide agonist formyl-Met-Leu-Phe. In addn. estrogen reduced granulocyte membrane fluidity as assessed by ESR. The unique effects of extremely high-dose corticosteroids are thus not mediated via the glucocorticoid receptor, but result rather from physicochem. effects of the drugs on the membranes of effector cells.
IT **24701-21-1**
RL: BIOL (Biological study)
(granulocyte function in humans inhibition by)
RN 24701-21-1 CAPLUS
CN Pregn-4-en-20-one, 17-(acetyloxy)-6-methyl-3-(phosphonooxy)-, disodium salt, (3β,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



#2 Na

L10 ANSWER 37 OF 118 CAPLUS COPYRIGHT 2001 ACS
Full Text | Citing References

ACCESSION NUMBER: 1988:148885 CAPLUS
DOCUMENT NUMBER: 108:148885
TITLE: Production of phosphate esters of steroids
INVENTOR(S): Sawada, Haruji; Watanuki, Masaaki; Mutai, Masahiko
PATENT ASSIGNEE(S): Yakult Honsha Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61280293	A2	19861210	JP 1985-121488	19850606

AB Esterification of steroids phosphate is catalyzed with Mortierella ramanniana. Thus, seed culture of M. ramanniana var. ramanniana Y2-1 was

inoculated to 6 L medium (pH 7-7.5) contg. glucose 50, peptone 5, yeast ext. 2, KH2PO4 1, K2HPO4 2, MgSO47H2O 0.5, and taurolithocholic acid 1 g, and CaCl2 10, FeSO4-7H2O 10, and thiamine-HCl 10 mg and cultured aerobically at 27° for 5 days. The culture broth was cooled to 5° and centrifuged. The supernatant was passed through a bed of Amberlite XAD-2 and the adsorbed material was eluted with MeOH. The ppt. was extd. with hot 70% MeOH, and the ext. was combined to the eluate. The combined ext. was concd. under vacuum and subjected to column chromatog. on Sephadex LH-20 and DEAE-Sephadex A-25 to yield 2.1 g cryst. Na taurolithocholic acid 3-phosphate.

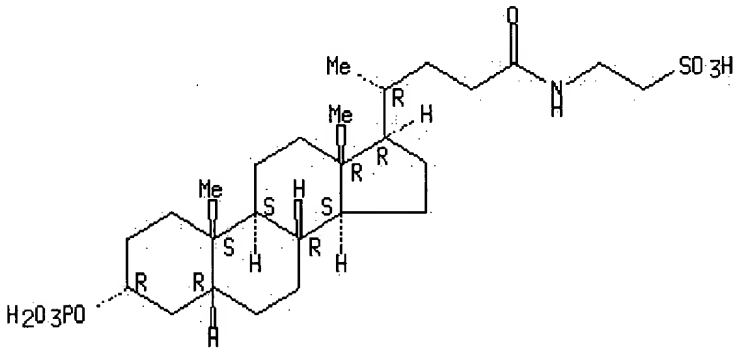
IT **113589-80-3P**

RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)
(manuf. of, from taurolithocholic acid, by esterification with Mortierella ramanniana ramanniana)

RN 113589-80-3 CAPLUS

CN Ethanesulfonic acid, 2-[[(3α,5β)-24-oxo-3-(phosphonooxy)cholan-24-yl]amino]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



#x Na

L10 ANSWER 38 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1988:118708 CAPLUS
DOCUMENT NUMBER: 108:118708
TITLE: Niosome dispersion in an aqueous phase, for use in the cosmetic, food, and drug industry
INVENTOR(S): Handjani Vila, Rose Marie; Ribier, Alain; Vanlerberghe, Guy
PATENT ASSIGNEE(S): Oreal S. A. , Fr.
SOURCE: Ger. Offen., 11 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3713492	A1	19871029	DE 1987-3713492	19870422
DE 3713492	C2	19930121		
FR 2597346	A1	19871023	FR 1986-5777	19860422
FR 2597346	B1	19890818		
CA 1304996	A1	19920714	CA 1987-535103	19870421
GB 2189457	A1	19871028	GB 1987-9532	19870422

GB 2189457	B2	19900404		
AU 8771860	A1	19871029	AU 1987-71860	19870422
AU 590703	B2	19891109		
NL 8700957	A	19871116	NL 1987-957	19870422
JP 63023737	A2	19880201	JP 1987-97664	19870422
JP 05047258	B4	19930716		
ES 2003051	A6	19881001	ES 1987-1164	19870422
CH 672073	A	19891031	CH 1987-1546	19870422
BE 1005481	A4	19930810	BE 1987-435	19870422

PRIORITY APPLN. INFO.: FR 1986-5777 19860422

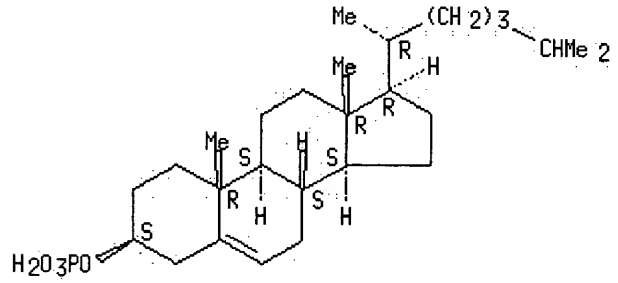
AB The niosomes consist of a lipid shell, or several concentric shells, that encapsulate a liq. phase. The niosomes are prepd. by adding 1-40% by wt. cholesterol phosphate to the niosome-forming lipids. A mixt. of 4 g nonionic amphiphilic lipid and 2 g cholesterol was heated at 110°, under N, followed by addn., at 90°, of 20 g water, 0.3 g Me p-hydroxybenzoate, 5 g glycerol and 25 g water, to give, after homogenization, a dispersion of 0.5 μ spherules. This dispersion was homogenized with 5 g almond oil and 10 g Cetiol LC to give a 1 μ spherule suspension. To this was added 0.4 g perfume, 0.4 g Carbopol 940, 0.4 g triethanolamine and 25 g water, to give a moisturizing cream, that was stable for ≥2 yr.

IT **4358-16-1**, Cholesterol phosphate **107745-49-3** **107745-53-9** **113170-87-9**
RL: BIOL (Biological study)
(in niosome dispersions, of drugs and cosmetics)

RN 4358-16-1 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

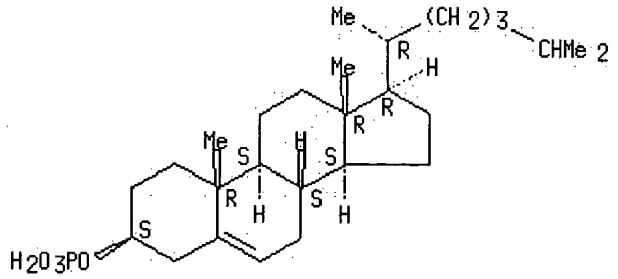
Absolute stereochemistry.



RN 107745-49-3 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



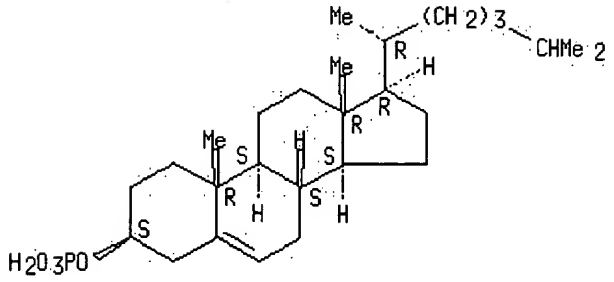
x Na

RN 107745-53-9 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, potassium salt (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

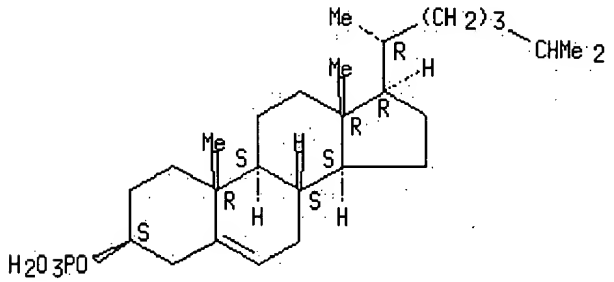


x K

RN 113170-87-9 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, ammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



x NH3

L10 ANSWER 39 OF 118 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1988:6287 CAPLUS
DOCUMENT NUMBER: 108:6287
TITLE: Amino-substituted steroids having a variety of pharmacological activities, and processes for their preparation
INVENTOR(S): McCall, John M.; Jacobsen, E. Jon; Van Doornik, Frederick J.; Palmer, John R.; Karnes, Harold A.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8701706	A2	19870326	WO 1986-US1797	19860828
WO 8701706	A3	19870716		

W: AU, DK, FI, JP, KR, NO, SU, US, US, US, US
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE

IL 79702	A1	19920216	IL 1986-79702	19860812
IL 98007	A1	19920216	IL 1986-98007	19860812
ZA 8606097	A	19880330	ZA 1986-6097	19860813
CA 1308707	A1	19921013	CA 1986-516177	19860818
AU 8663356	A1	19870407	AU 1986-63356	19860828
AU 593284	B2	19900208		
EP 238545	A1	19870930	EP 1986-905605	19860828
EP 238545	B1	19951115		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 63500868	T2	19880331	JP 1986-504810	19860828
JP 05035158	B4	19930525		
AT 130307	E	19951215	AT 1986-905605	19860828
CN 86106226	A	19870318	CN 1986-106226	19860912
CN 1030319	B	19951122		
DK 8702375	A	19870511	DK 1987-2375	19870511
NO 8701930	A	19870511	NO 1987-1930	19870511
NO 176762	B	19950213		
NO 176762	C	19950531		
FI 8702107	A	19870512	FI 1987-2107	19870512
FI 94417	B	19950531		
FI 94417	C	19950911		
US 5099019	A	19920324	US 1988-229675	19880808
AU 8940806	A1	19891207	AU 1989-40806	19890825
AU 614661	B2	19910905		
AU 8940807	A1	19891207	AU 1989-40807	19890825
AU 614418	B2	19910829		
US 5175281	A	19921229	US 1991-749830	19910826
US 5322943	A	19940621	US 1991-749829	19910826
JP 05112597	A2	19930507	JP 1992-8428	19920121
US 35053	E	19951010	US 1992-959310	19921009
US 5268477	A	19931207	US 1992-977768	19921119
US 5380839	A	19950110	US 1992-983082	19921201
US 5380840	A	19950110	US 1992-983084	19921201
US 5380841	A	19950110	US 1992-984299	19921201
US 5382661	A	19950117	US 1992-984298	19921201
US 5506354	A	19960409	US 1992-984302	19921201

PRIORITY APPLN. INFO.:	US 1985-775204	19850912
	US 1985-811058	19851219
	US 1986-877287	19860623
	US 1986-888231	19860729
	IL 1986-79702	19860812
	WO 1986-US1797	19860828
	US 1987-121822	19870511
	US 1988-227812	19880803
	US 1988-229675	19880808
	US 1991-749829	19910826
	US 1991-749830	19910826

AB Numerous pregnane derivs. with amino-substituted sidechains were prepd. for use as various types of drugs. Aminolysis of 21-iodo-16α-methylpregna-1,4,9(11)-triene-3,20-dione with 4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)piperazine in MeCN contg. K2CO3 at 60° gave [[bis(pyrrolidino)pyrimidinyl]piperazinyl]pregnane deriv. I, which was converted to I.2MeSO3H (II). In the interleukin-1-induced T-cell proliferation assay, II gave 62% inhibition at 10-6 M, thereby demonstrating antiarthritic activity.

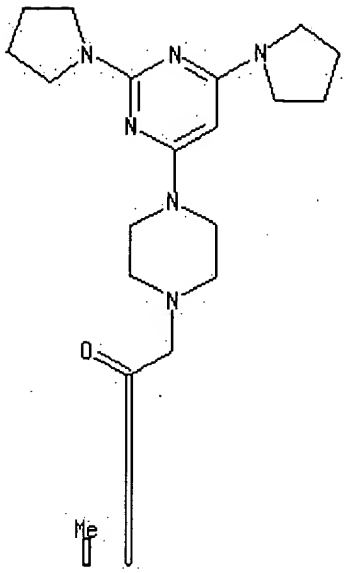
IT **111640-92-7P 111640-93-8P 111691-79-3P 111766-19-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

RN 111640-92-7 CAPLUS

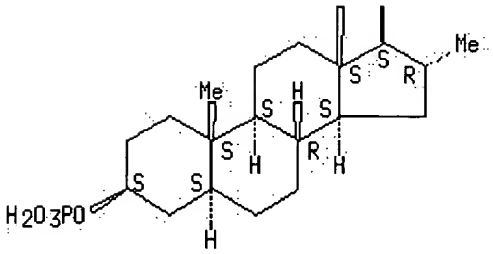
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3β,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



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CN Pregn-5-en-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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 NEWS  4  Feb 16   TOXLINE no longer being updated
 NEWS  5  Apr 23   Search Derwent WPINDEX by chemical structure
 NEWS  6  Apr 23   PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
 NEWS  7  May 07   DGENE Reload
 NEWS  8  Jun 20   Published patent applications (A1) are now in USPATFULL
 NEWS  9  JUL 13   New SDI alert frequency now available in Derwent's
                   DWPI and DPCI
 NEWS 10  Aug 23   In-process records and more frequent updates now in
                   MEDLINE
 NEWS 11  Aug 23   PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
 NEWS 12  Aug 23   Adis Newsletters (ADISNEWS) now available on STN
 NEWS 13  Sep 17   IMSworld Pharmaceutical Company Directory name change
                   to PHARMASEARCH
 NEWS 14  Oct 09   Korean abstracts now included in Derwent World Patents
                   Index
 NEWS 15  Oct 09   Number of Derwent World Patents Index updates increased
 NEWS 16  Oct 15   Calculated properties now in the REGISTRY/ZREGISTRY File
 NEWS 17  Oct 22   Over 1 million reactions added to CASREACT
 NEWS 18  Oct 22   DGENE GETSIM has been improved
 NEWS 19  Oct 29   AAASD no longer available
 NEWS 20  Nov 19   New Search Capabilities  USPATFULL and USPAT2
 NEWS 21  Nov 19   TOXCENTER(SM) - new toxicology file now available on STN
 NEWS 22  Nov 29   COPPERLIT now available on STN
 NEWS 23  Nov 29   DWPI revisions to NTIS and US Provisional Numbers
 NEWS 24  Nov 30   Files VETU and VETB to have open access
 NEWS 25  Dec 10   WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
 NEWS 26  Dec 10   DGENE BLAST Homology Search

 NEWS EXPRESS  August 15 CURRENT WINDOWS VERSION IS V6.0c,
               CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP),
               AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

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DICTIONARY FILE UPDATES: 10 DEC 2001 HIGHEST RN 374668-20-9

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001 .

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Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
L1 STRUCTURE UPLOADED

=> **file casreact**
COST IN U.S. DOLLARS SINCE FILE ENTRY 2.59 TOTAL SESSION 2.80

FILE 'CASREACT' ENTERED AT 11:19:58 ON 12 DEC 2001
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FILE CONTENT:1974 - 9 Dec 2001 VOL 135 ISS 24

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Crossover limits have been increased. See HELP RNCROSSOVER for details.

=> **s l1**
SAMPLE SEARCH INITIATED 11:20:05 FILE 'CASREACT'
SCREENING COMPLETE - 3 REACTIONS TO VERIFY FROM 1 DOCUMENTS

100.0% DONE 3 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED VERIFICATIONS: 3 TO 163
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1 (0 REACTIONS)

=> **file reg**
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	0.74	3.54

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Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> **file casreact**

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.37	3.91

FILE 'CASREACT' ENTERED AT 11:21:33 ON 12 DEC 2001
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FILE CONTENT:1974 - 9 Dec 2001 VOL 135 ISS 24

Some records from 1974 to 1991 are derived from the ZIC/VINITI data file and provided by InfoChem.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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Crossover limits have been increased. See HELP RNCROSSOVER for details.

=> **s l1 full**
FULL SEARCH INITIATED 11:21:41 FILE 'CASREACT'
SCREENING COMPLETE - 80 REACTIONS TO VERIFY FROM 20 DOCUMENTS

100.0% DONE 80 VERIFIED 2 HIT RXNS 2 DOCS
SEARCH TIME: 00.00.01

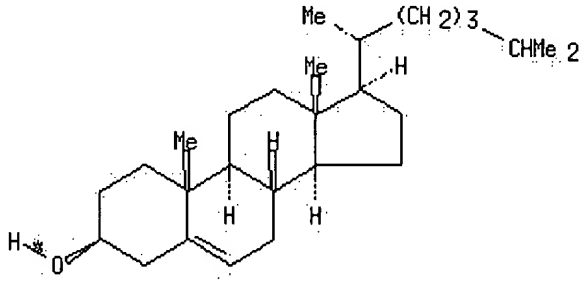
L3 2 SEA SSS FUL L1 (2 REACTIONS)

=> **d ibib ab fhit 1-2**

L3 ANSWER 1 OF 2 CASREACT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 107:59102 CASREACT
TITLE: Synthesis of alkyl dihydrogen phosphates by the

reaction of alcohols and silyl polyphosphate
AUTHOR(S): Okamoto, Yoshiki
CORPORATE SOURCE: Inst. Sci. Ind. Res., Osaka Univ., Osaka, 567, Japan
SOURCE: Bull. Chem. Soc. Jpn. (1985), 58(11), 3393-4
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Treating Me(CH2)nCH2OH (n = 6, 8, 10, 12, 14), PhCH2OH, borneol, or cholesterol with trimethylsilyl polyphosphate or with phosphorylated silica gel gave good yields of the alkyl dihydrogen phosphates.

RX(10) OF 10 **S** ===> **T**



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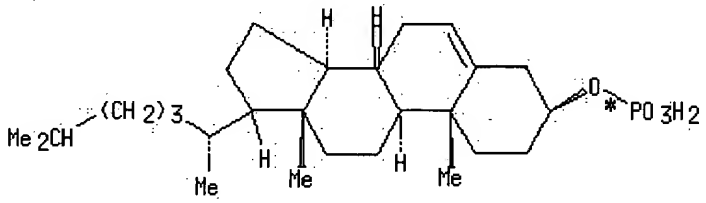


T

RX(10) RCT S **57-88-5**
PRO T **4358-16-1**
SOL 71-43-2 Benzene

NTE trimethylsilylpolyphosphate used as phosphorylating agent

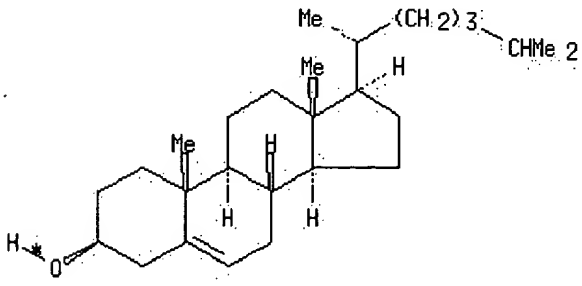
L3 ANSWER 2 OF 2 CASREACT COPYRIGHT 2001 ACS

Full Text | Citing References

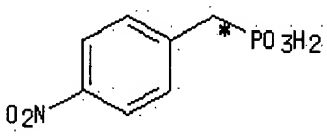
ACCESSION NUMBER: 106:102410 CASREACT
TITLE: Preparation of alkyl dihydrogen phosphates with monomeric metaphosphate anion generated by photochemical carbon-phosphorus bond cleavage of (p-nitrobenzyl)phosphonic acid
AUTHOR(S): Iwamoto, Narimasa; Okamoto, Yoshiki; Takamuku, Setsuo
CORPORATE SOURCE: Inst. Sci. Ind. Res., Osaka Univ., Ibaraki, 567, Japan
SOURCE: Bull. Chem. Soc. Jpn. (1986), 59(5), 1505-8
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB ROP(O)(OH)2 [R = Me, Et, CHMe2, Bu, CHMeEt, CMe3, (CH2)4Me, CH2CH2OH, PhCH2, cholesteryl, dodecyl, bornyl] were prepd. by a photochem. C-P bond cleavage of the p-nitrobenzylphosphonate dianion in the presence of DBU

and ROH. The reaction probably involved generation of an intermediate metaphosphate anion.

RX(1) OF 13 2 **A** + 2 B ===> **C** + D



2 A



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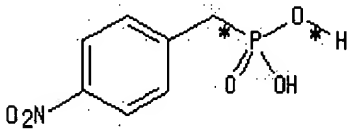
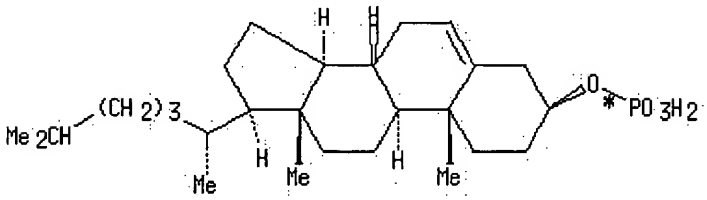


B

(1)



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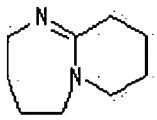

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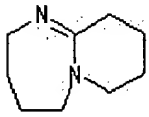
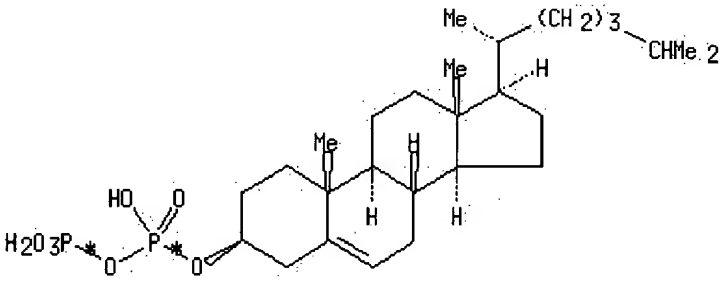


D: CM 1



D: CM 2

RX(1) RCT A **57-88-5**, B 1205-62-5
RGT E 6674-22-2 DBU
PRO C **106872-93-9**, D 106872-97-3
SOL 75-09-2 CH2Cl2
NTE photolysis, DBU-polyphosphoric acid salt also formed

=>

Structure Assistant

A free structure plug-in must be installed before you can draw and upload graphical structure queries. If you wish to install the plug-in, first log off, then click the "Get Structure Plug-in" button on the Navigation (left) frame and follow the instructions.

If you have installed the plug-in, click the **[Draw Query]** button now.

Before starting, we recommend that you print out these instructions for handy reference.

Instructions for Using the Structure Plug-in

To create and search a structure query, follow these steps:

1. Enter a structure-searchable file, e.g., REGISTRY.
2. Click **Search Assistants**, then **Structure Query** in the Navigation (left) frame. (Re-read these instructions if necessary.)
3. Click the **Draw Query** button at the top of the screen to launch the Structure Drawing window.
4. Draw your structure query. (You may open a saved query by clicking **Open** from the **File** menu in the structure application.)
5. Click **Upload Query** from the **File** menu in the structure application.
6. A **Save Query** dialog box is opened.
7. Enter a query name and click **Save**, or click **Upload Only** if you prefer not to save the query.
8. The structure is then automatically uploaded and assigned an L-number.
9. You may now search or display this query L-number.

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                    to PHARMASEARCH
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                    Index
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 NEWS 21  Nov 19    TOXCENTER(SM) - new toxicology file now available on STN
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 NEWS 25  Dec 10    WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
 NEWS 26  Dec 10    DGENE BLAST Homology Search

 NEWS EXPRESS  August 15 CURRENT WINDOWS VERSION IS V6.0c,
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               AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
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                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     1.89       1.89

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DICTIONARY FILE UPDATES: 10 DEC 2001 HIGHEST RN 374668-20-9

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http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> **file uspatful**

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.33	5.22

FILE 'USPATFULL' ENTERED AT 10:22:17 ON 12 DEC 2001

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 11 Dec 2001 (20011211/PD)
FILE LAST UPDATED: 11 Dec 2001 (20011211/ED)
HIGHEST GRANTED PATENT NUMBER: US6330719
HIGHEST APPLICATION PUBLICATION NUMBER: US2001047529
CA INDEXING IS CURRENT THROUGH 11 Dec 2001 (20011211/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 11 Dec 2001 (20011211/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2001
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2001

>>> USPAT2 is now available. USPATFULL contains full text of the original, i.e., the earliest published granted patents or applications. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions covered in USPATFULL. A USPATFULL record contains not only the original published document but also a list of any subsequent publications. The publication number, patent kind code, and publication date for all the US publications for an invention are displayed in the PI (Patent Information) field of USPATFULL records and may be searched in standard search fields, e.g., /PN, /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together through the new cluster USPATALL. Type FILE USPATALL to enter this cluster. <<<

>>> Use USPATALL when searching terms such as patent assignees, classifications, or claims, that may potentially change from the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> **s steroid phosphate?**
12395 STEROID
12364 STEROIDS
19276 STEROID
(STEROID OR STEROIDS)
197522 PHOSPHATE?

```
L1          16 STEROID PHOSPHATE?
               (STEROID(W)PHOSPHATE?)

=> s l1 and ?phosphor?
        242140 ?PHOSPHOR?
L2          13 L1 AND ?PHOSPHOR?

=> d kwic

L2   ANSWER 1 OF 13  USPATFULL
```

Citing References

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SUMM   Alternate methods for synthesis of phosphocholine derivatives include
       phosphorylation of the steroid, peptide, etc. with DPPP to give a
       phosphate ester, e.g., testosterone phosphate, which is coupled to
       choline.  .  .
SUMM   Alternately, the alcohol ("drug") may be reacted with phosphorous
       oxychloride and the aminoalcohol component added in excess. In this way
       all of the unreacted phosphorous oxychloride will be used up. The
       phosphochloride ester intermediate can also be isolated and reacted as a
       second step with.  .  .
DETD   Testosterone or other steroid, prostaglandin, etc. (0.1 mol) is reacted
       with POCl in pyridine to yield the steroid phosphate. This
       product after drying in pyridine will then be reacted with 0.1 mol of
       EDAC at a rate just sufficient.  .  .
DETD   DHEA-phosphocholine (DHEA-PC) was synthesized by sequential reaction of
       DHEA, choline, and water with phosphorous oxychloride. The synthetic
       product had the same HPLC retention time and the same mass-spectrum as
       did the endogenous, actual compound..  .  .
DETD   .  .  .  mL, 0.30 mol, Aldrich, Milwaukee, Wis.) was added all at once.
       After the reaction was cooled down to room temperature, oxyphosphorus
       trichloride (43.6 g, 26 mL, 0.284 mol, Fluka, Ronkonkoma, N.Y.) was
       added in one portion. The mixture was stirred under.  .  .


=> d ibib ab kwic


L2   ANSWER 1 OF 13  USPATFULL
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Full Text | Citing References

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ACCESSION NUMBER:         2000:131821  USPATFULL
TITLE:                    Phospholipid drug derivatives
INVENTOR(S):              Chasalow, Fred I., San Carlos, CA, United States
PATENT ASSIGNEE(S):       Amur Research Corporation, Belmont, CA, United States
                          (U.S. corporation)

                               NUMBER         KIND    DATE
                          ---------------- ------ --------
PATENT INFORMATION:       US 6127349               20001003
APPLICATION INFO.:        US 1998-49818            19980327  (9)
RELATED APPLN. INFO.:     Continuation of Ser. No. US 1997-799171, filed on 14
                          Feb 1997, now abandoned which is a continuation of Ser.
                          No. US 1996-714864, filed on 17 Sep 1996, now abandoned
DOCUMENT TYPE:            Utility
FILE SEGMENT:             Granted
PRIMARY EXAMINER:         Criares, Theodore J.
LEGAL REPRESENTATIVE:     Darby & Darby
NUMBER OF CLAIMS:         13
EXEMPLARY CLAIM:          1
LINE COUNT:               662
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

AB Disclosed herein are methods for increasing the bioavailability of pharmaceutical agents by conjugation to phospholipids. Also disclosed are phospholipid-derivatized steroids, peptides, antibiotics and other biologically active agents and pharmaceutical formulations comprising these compounds.

SUMM Alternate methods for synthesis of phosphocholine derivatives include **phosphorylation** of the steroid, peptide, etc. with DPPP to give a phosphate ester, e.g., testosterone phosphate, which is coupled to choline. . .

SUMM Alternately, the alcohol ("drug") may be reacted with **phosphorous** oxychloride and the aminoalcohol component added in excess. In this way all of the unreacted **phosphorous** oxychloride will be used up. The phosphochloride ester intermediate can also be isolated and reacted as a second step with. . .

DETD Testosterone or other steroid, prostaglandin, etc. (0.1 mol) is reacted with POCl in pyridine to yield the **steroid phosphate**. This product after drying in pyridine will then be reacted with 0.1 mol of EDAC at a rate just sufficient. . .

DETD DHEA-phosphocholine (DHEA-PC) was synthesized by sequential reaction of DHEA, choline, and water with **phosphorous** oxychloride. The synthetic product had the same HPLC retention time and the same mass-spectrum as did the endogenous, actual compound.. . .

DETD . . . mL, 0.30 mol, Aldrich, Milwaukee, Wis.) was added all at once. After the reaction was cooled down to room temperature, **oxyphosphorus** trichloride (43.6 g, 26 mL, 0.284 mol, Fluka, Ronkonkoma, N.Y.) was added in one portion. The mixture was stirred under. . .

=> **d ibib ab kwic 2-13**

L2 ANSWER 2 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER: 2000:98418 USPATFULL

TITLE: Drugs for topical application of sex steroids in the treatment of dry eye syndrome, and methods of preparation and application

INVENTOR(S): Lubkin, Virginia, One Blackstone Pl., Bronx, NY, United States 10471

PATENT ASSIGNEE(S): Lubkin, Virginia, Brnx, NY, United States (U.S. individual)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6096733		20000801	
APPLICATION INFO.:	US 1998-208423		19981210	(9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Fay, Zohreh
LEGAL REPRESENTATIVE: Shanks & Herbert
NUMBER OF CLAIMS: 32
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 5 Drawing Figure(s); 5 Drawing Page(s)
LINE COUNT: 807
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A topical drug application for the alleviation of kerato-conjunctivitis sicca (dry eye syndrome) is comprised of a solution of 17-β-estradiol suspended or dissolved in a vehicle, and the method of preparation and application of the same. In the preferred embodiments, 17-β-estradiol is in a lipid vehicle or 17-β-estradiol 3-phosphate disodium dissolved in an aqueous vehicle having a pH of between about 6 to about 8. This invention may also be useful in treating other conditions where KCS may occur, such as

post-operative corneal transplant patients and patients who cannot receive replacement estrogen therapy.

DETD 17-β-estradiol 17-acetate (Molecular Weight=314.4, Melting Point 220-224° C. and optical rotation 47°) is **phosphorylated** in the presence of concentrated ortho-**phosphoric** acid (H PO) with heat and refluxing to yield the intermediate 17-β-estradiol 3-phosphate 17-acetate. The latter compound is selectively hydrolyzed in the presence of sodium bicarbonate in aqueous alcohol to yield sodium acetate and 17-β-estradiol 3-phosphate disodium. The desired **steroid phosphate** ester is recrystallized from dilute alcohol.

DETD Based upon the chemistry of **steroid phosphate** esters, clarity of aqueous solution at essentially neutral pH values should be indicative of the presence of intact **steroid phosphate** ester. On the other hand, turbidity, haze formation or precipitate formation will indicate the presence of hydrolyzed, insoluble, free 17-β-estradiol.

L2 ANSWER 3 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER: 95:80327 USPATFULL
TITLE: Method of using derivatives of long chain fatty alcohols to treat neuronal degradation
INVENTOR(S): Borg, Jacques, Bischheim, France
PATENT ASSIGNEE(S): Medafor, Strasbourg, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5447959		19950905
APPLICATION INFO.:	US 1993-27034		19930305 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1991-720816, filed on 11 Jul 1991, now patented, Pat. No. US 5243094		

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1989-13456	19891013
	FR 1990-1771	19900214

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Reamer, James H.
ASSISTANT EXAMINER: Hydorn, Michael B.
LEGAL REPRESENTATIVE: Merchant, Gould, Smith, Edell, Welter & Schmidt
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 4 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 1773
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Derivatives of long-chain fatty alcohols, and methods of obtaining them, are provided, as well as pharmaceutical compositions containing derivatives and their uses, in particular in treating or preventing neuro-degenerative illnesses, conditions linked to skin ageing, the phenomena of thrombosis and atherosclerosis, and immune deficiencies.

SUMM . . . group of 1 to 3 carbon atoms or a ##STR3## R' having the meaning indicated above or a derivative of **monophosphoric** acid of formula: ##STR4## in which Y represents a metal ion preferably Na, K, NH and R represents

SUMM . . . studies or for therapeutic uses may present methodological difficulties. In order to solve this problem, water-soluble prodrugs represented by the **monophosphoric** acid esters were synthesized. These compounds are hydrolysed in the organism to give the starting materials, namely the derivatives of. . .

SUMM . . . administer an aqueous solution of corticosteroids without loss of biological activity (R. J. W. CRELYN and I. Khattak, Chemistry of

steroid phosphates. **Phosphorus** 27 (1976) 237-246).

SUMM . . . invention for which R represents the group ##STR27## in which R and y are as defined above, are obtained by **phosphorylation** of a monomeric or dimeric derivative of the invention for which R=H, with o-phenylene phosphochloridate, or with the bi-phosphochloridate followed. . .

DETD b. Several crystals of anhydrous paratoluene sulfonic acid+1.7×103 moles of (Carbomethoxymethylene)**triphenylphosp horane**+distilled toluene (15 ml) are placed in a round-bottomed flask. The retinal dissolved in 5 ml of toluene is added followed. . .

DETD 5) Water-soluble derivatives of long chain alcohols: esters of **monophosphoric** acid.

DETD a) monoester of **monophosphoric** acid

DETD . . . cited above will be designated by the simplified expression R --OH which draws attention to the hydroxyl implicated in the **phosphorylation** reaction and in which R represents the rest of these derivatives, namely more particularly a hydrocarbon chain of the type. . .

DETD A method of preparation is used which leads selectively to the monoester of the **monophosphoric** acid. This procedure makes use of bis(2,2,2-trichloroethyl) phosphochloridate as reagent and the Zn/Cu couple as deprotecting agent to generate the. . .

DETD **Phosphorylation**

DETD . . . buffer pH 7.5 as eluant. The phosphate is then obtained in a yield of 75%. ##STR50## b) diester of the **monophosphoric** acid

DETD This reaction is carried out by **phosphorylation** with o-phenylenephosphochloridate, followed by oxidative hydrolysis and makes it possible to obtain the diesters of the **monophosphoric** acid. This reaction is applicable to linear alcohols, as well as to the derivatives and analogues of the terpenes and. . .

DETD 1st step: **phosphorylation** of the alcohols

DETD The ester of the **monophosphoric** acid of formula ##STR53## thus obtained possesses a MW of 547 and a Rf of 0.375 in the elution solvent:. . .

L2 ANSWER 4 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER:	94:27574 USPATFULL		
TITLE:	Drugs for topical application of sex steroids in the treatment of dry eye syndrome, and methods of preparation and application		
INVENTOR(S):	Lubkin, Virginia, One Blackstone Pl., New York, NY, United States 10471		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 34578		19940405
	US 5041434		19910820 (Original)
APPLICATION INFO.:	US 1992-914297		19920716 (7)
	US 1990-520077		19900507 (Original)
DOCUMENT TYPE:	Reissue		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Rose, Shep K.		
LEGAL REPRESENTATIVE:	Popper, Howard R.		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1		
LINE COUNT:	380		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A topical drug application for the alleviation of kerato-conjunctivitis sicca (dry eye syndrome) is comprised of a solution of sex steroids or their derivatives suspended or dissolved in a vehicle, and the method of preparation and application of the same. In the preferred embodiments,

the sex steroid consists essentially of conjugated estrogen in a lipid vehicle or a derivative of estrogen known as 17 beta-Estradiol 3-phosphate disodium dissolved in an aqueous vehicle having a pH of between 6 and 8.

DETD 17 beta-Estradiol 17-acetate (Molecular Weight=314.4, Melting Point 220-224 degrees Centigrade and optical rotation+47 degrees) is **phosphorylated** in the presence of concentrated ortho-**phosphoric** acid (H PO) with heat and refluxing to yield the intermediate 17 beta-Estradiol 3-phosphate 17-acetate. The latter compound is selectively. . . in the presence of sodium bicarbonate in aqueous alcohol to yield sodium acetate and 17 beta-Estradiol 3-phosphate disodium. The desired **steroid phosphate** ester is recrystallized from dilute alcohol.

DETD Based upon the chemistry of **steroid phosphate** esters, clarity of aqueous solution at essentially neutral pH values should be indicative of the presence of intact **steroid phosphate** ester. On the other hand, turbidity, haze formation or precipitate formation will indicate the presence of hydrolyzed, insoluble, free 17. . .

L2 ANSWER 5 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER: 93:74476 USPATFULL

TITLE: Derivatives of long chain fatty alcohols, their uses, particularly as cytotrophic and cytoprotective molecules, and pharmaceutical compositions containing them

INVENTOR(S): Borg, Jacques, Bischheim, France

PATENT ASSIGNEE(S): Medafor, Strasbourg, France (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5243094		19930907	
	WO 9105754		19910502	
APPLICATION INFO.:	US 1991-720816		19910711	(7)
	WO 1990-FR742		19901015	
			19910711	PCT 371 date
			19910711	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1989-13456	19891013
	FR 1990-1771	19900214

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Cintins, Marianne M.

ASSISTANT EXAMINER: Hydorn, Michael B.

LEGAL REPRESENTATIVE: Merchant, Gould, Smith, Edell, Welter & Schmidt

NUMBER OF CLAIMS: 11

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)

LINE COUNT: 1732

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Derivatives of long-chain fatty alcohols, and methods of obtaining them, are provided, as well as pharmaceutical compositions containing derivatives and their uses, in particular in treating or preventing neuro-degenerative illnesses, conditions linked to skin ageing, the phenomena of thrombosis and atherosclerosis, and immune deficiencies.

SUMM . . . group of 1 to 3 carbon atoms or a ##STR3## R' having the meaning indicated above or a derivative of **monophosphoric** acid of formula: ##STR4## in which Y represents a metal ion, preferably Na, K, NH and R represents

SUMM . . . studies or for therapeutic uses may present methodological difficulties. In order to solve this problem, water-soluble prodrugs

represented by the **monophosphoric** acid esters were synthesized. These compounds are hydrolysed in the organism to give the starting materials, namely the derivatives of. . .

SUMM . . . administer an aqueous solution of corticosteroids without loss of biological activity (R. J. W. CREMLYN and I. Khattak, Chemistry of **steroid phosphates. Phosphorus** 27 (1976) 237-246).

SUMM . . . invention for which R represents the group ##STR28## in which R and y are as defined above, are obtained by **phosphorylation** of a monomeric or dimeric derivative of the invention for which R=H, with o-phenylene phosphochloridate, or with the bi-phosphochloridate followed. . .

DETD b. Several crystals of anhydrous paratoluene sulfonic acid+1.7×103 moles of (Carbomethoxymethylene)**triphenylphosp horane**+distilled toluene (15 ml) are placed in a round-bottomed flask. The retinal dissolved in 5 ml of toluene is added followed. . .

DETD 5) Water-soluble derivatives of long chain alcohols: esters of **monophosphoric** acid.

DETD a) monoester of **monophosphoric** acid

DETD . . . cited above will be designated by the simplified expression R --OH which draws attention to the hydroxyl implicated in the **phosphorylation** reaction and in which R represents the rest of these derivatives, namely more particularly a hydrocarbon chain of the type. . .

DETD A method of preparation is used which leads selectively to the monoester of the **monophosphoric** acid. This procedure makes use of bis(2,2,2-trichloroethyl) phosphochloridate as reagent and the Zn/Cu couple as deprotecting agent to generate the. . .

DETD **Phosphorylation**

DETD b) diester of the **monophosphoric** acid

DETD This reaction is carried out by **phosphorylation** with o-phenylenephosphochloridate, followed by oxidative hydrolysis and makes it possible to obtain the diesters of the **monophosphoric** acid. This reaction is applicable to linear alcohols, as well as to the derivatives and analogues of the terpenes and. . .

DETD 1st step: **phosphorylation** of the alcohols

DETD The ester of the **monophosphoric** acid of formula ##STR54## thus obtained possesses a MW of 547 and a Rf of 0.375 in the elution solvent:. . .

L2 ANSWER 6 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER: 91:66787 USPATFULL

TITLE: Drugs for topical application of sex steroids in the treatment of dry eye syndrome, and methods of preparation and application

INVENTOR(S): Lubkin, Virginia, One Blackstone Pl., New York, NY, United States 10471

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5041434		19910820	
APPLICATION INFO.:	US 1990-520077		19900507	(7)

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Rose, Shep K.

NUMBER OF CLAIMS: 7

EXEMPLARY CLAIM: 1

LINE COUNT: 376

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A topical drug application for the alleviation of keratoconjunctivitis sicca (dry eye syndrome) is comprised of a solution of sex steroids or their derivatives suspended or dissolved in a vehicle, and the method of

preparation and application of the same. In the preferred embodiments, the sex steroid consists essentially of conjugated estrogen in a lipid vehicle or a derivative of estrogen known as 17 beta-Estradiol 3-phosphate disodium dissolved in an aqueous vehicle having a pH of between 6 and 8.

DETD 17 beta-Estradiol 17-acetate (Molecular Weight=314.4, Melting Point 220-224 degrees Centigrade and optical rotation+47 degrees) is **phosphorylated** in the presence of concentrated ortho-**phosphoric** acid (H PO) with heat and refluxing to yield the intermediate 17 beta-Estradiol 3-phosphate 17-acetate. The latter compound is selectively. . . in the presence of sodium bicarbonate in aqueous alcohol to yield sodium acetate and 17 beta-Estradiol 3-phosphate disodium. The desired **steroid phosphate** ester is recrystallized from dilute alcohol.

DETD Based upon the chemistry of **steroid phosphate** esters, clarity of aqueous solution at essentially neutral pH values should be indicative of the presence of intact **steroid phosphate** ester. On the other hand, turbidity, haze formation or precipitate formation will indicate the presence of hydrolyzed, insoluble, free 17. . .

L2 ANSWER 7 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER:	90:15471 USPATFULL		
TITLE:	Cascade immunoassay by multiple binding reactions		
INVENTOR(S):	Mapes, James P., Raleigh, NC, United States Hoke, Randal A., Cary, NC, United States		
PATENT ASSIGNEE(S):	Becton, Dickinson and Company, Franklin Lakes, NJ, United States (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4904583		19900227
APPLICATION INFO.:	US 1987-53896		19870526 (7)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Warden, Robert J.		
ASSISTANT EXAMINER:	Spiegel, Jack		
LEGAL REPRESENTATIVE:	Brown, Richard E.		
NUMBER OF CLAIMS:	31		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	8 Drawing Figure(s); 7 Drawing Page(s)		
LINE COUNT:	785		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method for enzyme immunoassay includes contacting under binding conditions a liquid suspected of containing an analyte, an antianalyte affixed to a solid support and a tracer having an enzyme conjugated thereto. A bound fraction is separated from the liquid and incubated in a second liquid with a masked ligand. The masked ligand is converted by the enzyme on the bound fraction to give free lignad which binds to an antiligand. A signal system, such as a signal enzyme and substrate therefor, or a label-loaded vesicle and vesicle lysing agent, is added to generate a signal used to detect or measure the analyte in the liquid. The invention includes a kit of materials useful in performing the assay of the invention.

SUMM . . . bind the antiligand can be readily prepared. Vitamins, antibiotics, drugs and the like in which a functional group has been **phosphorylated**, esterified or amidated are suitable masked ligands. Since the unmasking enzyme component of the tracer converts the masked ligand to. . .

DETD . . . a phosphatease, a masking group such as a phosphate group may be used wherein the masked ligand may be a **steroid phosphate**, as, for example, 3-phosphoestrone. If the enzyme is an esterase, a masking group such as an acetyl group may be. . .

L2 ANSWER 8 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER: 87:48683 USPATFULL
TITLE: Process for the preparation of corticosteroid-21-**phosphoric** acids and their salts and the corticosteroid-21-**phosphoric** acid triesters
INVENTOR(S): Engels, Joachim, Kronberg/Taunus, Germany, Federal Republic of
PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4678609		19870707	
APPLICATION INFO.:	US 1985-795542		19851106	(6)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1984-3440794	19841108

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Schenkman, Leonard
ASSISTANT EXAMINER: Lipovsky, Joseph A.
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett & Dunner
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
LINE COUNT: 239
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Steroid-21-**phosphoric** acids and pharmaceutically usable salts thereof of the formula III ##STR1## (in which U=H or CH, V=H, OH, O or Hal; W=H or OH and Y=H or F) are obtained in a very pure state by reacting I ##STR2## (in which X=OH or Hal) with an organic **phosphoric** acid ester of the formula IVa or IVb ##STR3## (in which Z=optionally substituted alkyl and R=alkyl). The compounds II ##STR4## obtained thereby is saponified to give III and the latter, if appropriate, is neutralized to give the salt. Compounds II are new.

TI Process for the preparation of corticosteroid-21-**phosphoric** acids and their salts and the corticosteroid-21-**phosphoric** acid triesters

AB Steroid-21-**phosphoric** acids and pharmaceutically usable salts thereof of the formula III ##STR1## (in which U=H or CH, V=H, OH, O or. . . F) are obtained in a very pure state by reacting I ##STR2## (in which X=OH or Hal) with an organic **phosphoric** acid ester of the formula IVa or IVb ##STR3## (in which Z=optionally substituted alkyl and R=alkyl). The compounds II ##STR4##. . .

SUMM The present invention relates to a process for the preparation of corticosteroid-21-**phosphoric** acids and pharmaceutically active salts thereof, in particular methylprednisolone disodium phosphate, and to the corticosteroid-21-**phosphoric** acid triesters.

SUMM . . . No. 1,010,031. It is a serious disadvantage of the known processes of preparation that, for example, an appreciable proportion of **phosphoric** acid diester is formed and that, because of the reaction conditions (excess of phosphate), it is very difficult to prepare a **steroid phosphate** free from extraneous salts.

SUMM The object of the invention is, therefore, to prepare corticosteroid-21-**phosphoric** acids and pharmaceutically active salts thereof in a simple manner and in a highly pure form.

SUMM with an organic **phosphoric** acid ester of the formula IVa or IVb ##STR7## in which Z is C -C -alkyl, preferably C -C -alkyl,. . .

SUMM . . . the formula I in which X=Br or I is reacted with a (C -C)-alkylammonium or aralkylammonium salt of a (C

-C)-**dialkylphosphoric** acid is also preferred.

SUMM . . . to the invention embraces, for example, the following embodiments: the reaction of a hydroxycorticosteroid, in particular 6α-methylprednisolone with an organic **phosphoric** acid diester-chloride, for example ditert.-**butylphosphoric** acid chloride, in the presence of a base, for example pyridine. Alternatively, 21-iodoprednisolone can be used as the starting material and reacted with an alkylammonium salt of an organic **phosphoric** acid diester in an inert solvent, such as methylene chloride, acetonitrile or an ether, such as dimethoxyethane. After being extracted into an organic solvent, for example methylene chloride, the resulting corticosteroid-**phosphoric** acid triester is washed with water and, after the organic phase has been dried, is crystallized out and thus separated. . .

SUMM In the next stage, the new steroid-**phosphoric** acid triester II is converted into the corticosteroid-**phosphoric** acid monoester by means of an acid, for example HCl or trifluoroacetic acid, preferably in an inert solvent, such as. . . unstable. In this process the corticosteroid-phosphate obtained is already in a very good state of purity. The saponification of the steroid-**phosphoric** acid triester to give the steroid-**phosphoric** acid monoester can also be carried out under alkaline conditions, if the steroid radical is not alkali-sensitive. After the removal. . .

DETD (1A) 10 g of ditertiary-**butylphosphoric** acid chloride, dissolved in 30 ml of methylene chloride, were added, at -40° C. and in the course of 20. . .

DETD . . . a 2% strength thiosulfate solution and then with water and was dried. After the removal of the methylene chloride, the 6α-methylprednisolone-21-**phosphoric** acid bis-tertiary-butyl ester crystallized from ethyl acetate; 11 g of melting point 150°-152° C. (decomposition). For analytical data see Example. . .

DETD . . . methylene chloride and water, and the organic phase was washed with thiosulfate and dried with sodium sulfate. The 6 bis-tertiary-butyl α-methylprednisolone-21-**phosphoric** acid ester was induced to crystallize by means of ethyl acetate, 5.4 g having analytical data identical with those of. . .

DETD (2) 1.7 g of **phosphoric** acid dimethyl ester-chloride, dissolved in 25 ml of methylene chloride, were added, at 0° C. and in the course of. . . phase was washed with water until neutral and dried with Na SO. After the removal of the solvent, the new 6α-methylprednisolone-21-**phosphoric** acid bis-methylester left as residue (3.1 g) was recrystallized from 8:1 dioxane/dimethylformamide. Melting point 245°-46° C. (decomposition).

DETD (3) 6.0 g of bis-2,2,2-**trichloroethylphosphoric** acid chloride were added at room temperature to 3.7 g of 6α-methylprednisolone, dissolved in 42 ml of anhydrous pyridine. The. . . water until neutral and and the organic phase was dried with Na SO. This gave 1.6 g of the new 6α-methylprednisolone-21-**phosphoric** acid bis-2,2,2-trichloroethylester in the form of colorless crystals of melting point 216°-217° C. 1 P-NMR (d DMSO) re. 85% H. . .

DETD (4) 6.3 g of bis-4-**nitrophenylethylphosphoric** acid chloride were added at 0° C. to 3.7 g of 6α-methylprednisolone in 40 ml of anhydrous pyridine; the mixture. . . by chromatography over 300 g of silica gel, using 3% methanol in methylene chloride as the mobile phase. The new 6α-methylprednisolone-21-**phosphoric** acid bis-4-nitrophenylethyl ester (5.7 g) crystallized from 4:1 toluene/diethyl ether. Melting point 174°-176° C.

DETD . . . the aid of toluene. The residue was partitioned between distilled water and chloroform and then between water and n-hexanol. The **steroid phosphate** was then in the n-hexanol. A layer of fresh distilled water was placed below the n-hexanol phase, and the mixture.

SUMM . . . parent steroid as well as to the alternatively functionalized steroid derivative. The functional groups in question are derived from certain **phosphorus**-containing acids and the haptens of this invention are esters of phenolic steroids or steroid alcohols with such acids. Many of. . .

SUMM A further class of sulphate mimic embraced by this invention comprises the monoesters of phenolic steroids or steroid alcohols with **phosphoric** acid, substances otherwise known as the **steroid phosphates**. In the case of the steroid lower alkylphosphonothioates or the **steroid phosphates**, the **phosphorus**-containing function structurally mimics the sulphate moiety of corresponding steroid sulphates in size, stereochemistry and ionizable structure at physiological pH.

SUMM As with many haptens, the steroid lower alkylphosphonthioates or **steroid phosphates** are not immunogenic and methods for linking substances of either of these classes to immunogenic natural or modified proteins to. . .

DETD . . . conditions are selected to maximize condensation of the steroid with only one of the two reactive halogen atoms of the **phosphorus** reagent. Accordingly condensation conditions are facilitated by employing a stoichiometric excess of the **phosphorus** reagent, by slowly bringing the steroid into contact with the **phosphorus** reagent rather than the reverse, and by allowing the initial stages of the condensation to occur at a temperature of. . .

L2 ANSWER 10 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER: 81:43429 USPATFULL
TITLE: Cytotoxic nucleoside-corticosteroid phosphodiesters
INVENTOR(S): West, Charles R., East Amherst, NY, United States
Hong, Chung I., Williamsville, NY, United States
PATENT ASSIGNEE(S): Research Corporation, New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4283394		19810811	
APPLICATION INFO.:	US 1979-63753		19790806	(6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Brown, Johnnie R.
LEGAL REPRESENTATIVE: Haight, Rosfeld, & Noble
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1,12,19
LINE COUNT: 1070
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Nucleotides of nucleosides or bases having known cytotoxic activity are reacted with steroids, preferably corticosteroids, to form corresponding cytotoxic nucleoside-corticosteroid phosphodiester analogues of the formula: ##STR1## wherein: steroid is the residue formed by removal of a hydroxyl hydrogen atom from a natural or synthetic adrenal corticosteroid containing the characteristic cyclopentanophenanthrene nucleus which is esterified to the phosphate moiety at the 21-position;

sugar is a naturally occurring pentose or deoxypentose in the furanose form, preferably ribose, deoxyribose, lyxose, xylose or arabinose and especially ribose, deoxyribose or arabinose, which is esterified to the phosphate moiety at the 5'-position and covalently bonded to the heterocycle moiety at the 1'-position to form a nucleoside; and

heterocycle is a purine, pyrimidine, hydrogenated pyrimidine, triazolopurine or similar nucleoside base.

The conjugates exhibit an enhanced therapeutic index as compared to the parent nucleoside or base compounds, and are thus useful cytotoxic, antiviral and antineoplastic agents.

SUMM An additional object of the present invention is to provide anticancer nucleotides or higher **phosphorylated** forms of anticancer nucleosides which can be released within the cell via phosphatase enzyme-specific reactions or non-specific mechanisms, thus avoiding or circumventing dependency upon kinase activity or higher **phosphorylation** mechanisms which are essential for the manifestation of anticancer activity in most prior art clinically used anticancer nucleosides.

SUMM Nucleotides in general are prepared from corresponding nucleosides by direct **phosphorylation** using POCl and trialkyl-phosphate(s); this method has been used to prepare the 5'-phosphates of cytosine arabinoside and 5-fluoro-2'-deoxyuridine in good. . . Conversion of nucleotides to morpholidates has been achieved in excellent yields (about 95 percent). Syntheses utilizing protecting groups or other **phosphorylating** reagents can be employed for the preparation of nucleotide components, e.g., **pyrophosphoryl** chloride/m-cresol or o-chlorophenol; di(2-t-butylphenyl9-**phosphorochloridate**; cyanoethyl phosphate; 2,2-trichlorethyl-**phosphorodichloridate**; 2,2,2-trichloro-ethyl-2-chlorophenyl-**phosphorochloridate**; and dinitrobenzyl **phosphorochloridate**. The direct **phosphorylation** method is of sufficiently general utility to be an effective procedure to yield adequate quantities of 5'-nucleotides, even if separation. . .

SUMM . . . p-toluenesulfonic acid, naphthalene mono- and di-sulfonic acids, sulfuric acid, nitric acid, hydrohalic acids, e.g. hydrochloric acid and hydrobromic acid, and **phosphoric** acids, e.g. **orthophosphoric** acid.

DETD . . . in solvents A and C showed one spot and the mobilities were identical with those of the compound prepared by **phosphorylation** of N, 2',3'-triacetyl-1-β-D-arabinofuranosylcytosine with POCl and (EtO) PO; TLC, Rf (A) 0.19, Rf (C) 0.56. The UV max of the. . .

DETD 5'-(Prednisolone-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine (I)

DETD 5'-(Prednisone-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine (II)

DETD 5'-(Dexamethasone-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine (III)

DETD 5'-(6α-Methylprednisolone-21-**phosphoryl**)-1-α-D-arabinofuranosylcytosine (IV)

DETD 5'-(Cortisol-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine (V)

DETD 5'-(Cortisone-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine (VI)

DETD . . . Hydrolysis of pred-p-ara-C and prednisone-p-ara-C by 0.1 N Ba(OH) resulted in prednisolone-21-phosphate and ara-C and prednisone-21-phosphate and ara-C, respectively. The **steroid phosphates** were each further hydrolyzed to the corresponding steroid. Alternatively, the enzymatic hydrolysis of the conjugates gave the corresponding steroid and. . .

CLM What is claimed is:

12. A compound according to claim 1, 5'-(prednisolone-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine.

13. A compound according to claim 1, 5'-(prednisone-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine.

14. A compound according to claim 1, 5'-(dexamethasone-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine.

15. A compound according to claim 1, 5'-(6α-methylprednisolone-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine.

16. A compound according to claim 1, 5'-(cortisol-21-**phosphoryl**)-1-

β-D-arabinofuranosylcytosine.

17. A compound according to claim 1, 5'-(cortisone-21-**phosphoryl**)-1-β-D-arabinofuranosylcytosine.

L2 ANSWER 11 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER:	76:69050 USPATFULL
TITLE:	Process for the preparation of 17-acyl esters of 17α, 21-dihydroxysteroids of the pregnane series and novel products
INVENTOR(S):	Ercoli, Alberto, Milan, Italy Da Col, Marco, Bologna, Italy
PATENT ASSIGNEE(S):	Lark S.p.A., Milan, Italy (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 3998701		19761221	
APPLICATION INFO.:	US 1974-529134		19741203	(5)

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1974-19058	19740104

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Tanenholtz, Alvin E.
LEGAL REPRESENTATIVE:	Stevens, Davis, Miller & Mosher
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1
LINE COUNT:	728

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process is disclosed for making 17-monoesters of 17 α, 21-dihydroxy steroids by acylating a 17 α, 21-dihydroxy **steroid phosphate** and then subjecting the intermediate 17-acyloxy-21-phosphate to **dephosphorylation** using an acid phosphatase to achieve enzymatic hydrolysis. Several new 17-monoesters having an anti-inflammatory property are also disclosed.

AB A process is disclosed for making 17-monoesters of 17 α, 21-dihydroxy steroids by acylating a 17 α, 21-dihydroxy **steroid phosphate** and then subjecting the intermediate 17-acyloxy-21-phosphate to **dephosphorylation** using an acid phosphatase to achieve enzymatic hydrolysis. Several new 17-monoesters having an anti-inflammatory property are also disclosed.

SUMM . . . 17α-hydroxy group and the successive enzymatic hydrolysis of the intermediate 17-acyloxy-21-phosphate, under controlled acidic conditions to cause splitting of the **phosphoric** acid residue in position 21 and the consequent formation of the 17-monoester.

SUMM Another advantage of the process of the present invention resides in the fact that the **phosphoric** acid residue at C-21, in the 17-acyl ester 21-phosphates can be removed in a selective manner by an acid hydrolysis. . .

SUMM . . . to the present invention, are easily available compounds which may be prepared in various ways, for example, according to known **phosphorylation** methods of the 21-hydroxy steroids.

SUMM . . . a strong acidic catalyst. According to the present invention, this esterification is very much facilitated by the presence of a **phosphoric** ester group at C-21.

SUMM . . . at the 21-position, an hydroxyl, an acyl group, a hydrogen or an halogen atom is used in place of the **phosphoric** acid residue. It is therefore sufficient to carry out the esterification reaction not only

by using the acid anhydride alone,. . .

SUMM . . . to those skilled in the art that, by performing the direct acylation of a normal 17 α-hydroxypregnane-20-one, (i.e., without the **phosphoric** ester group at C-21) in many cases undesired reactions take place. These undesired reactions take place when, in the molecule. . .

SUMM . . . intermediate 17-acyl-ester-21-phosphates to the hydrolysing action of an acid phosphatase, under suitable pH conditions, so as to split off the **phosphoric** acid residue at C-21 without affecting the 17-acyl-group.

SUMM . . . obtained by precipitation with acetone from potato juice, can be used without further purification, with excellent results for the enzymatic **dephosphorylation**. Of course, in addition to the crude phosphatases, the commercial acid phosphatases can also be used, which are available in. . .

SUMM . . . the phosphatases, even if present, are not the principal enzymes, can also be used with fairly good results. Therefore, the **dephosphorylation** of the 21-phosphate-17-acyl esters of steroids can be carried out by means of any culture or enzymatic extract with phosphatase. . .

SUMM . . . related lyophylized product were achieved, the invention will here be described and illustrated with particular reference to this means of **dephosphorylation**.

SUMM The enzymatic **dephosphorylation** was carried out by bringing an aqueous solution of the 21-phosphate-17 acyl ester together with a raw lyophylized extract of. . . such a way that the enzymatic hydrolysis takes place in the pH range above mentioned. This not only facilitates the **dephosphorylation** process, but it does not affect the particular characteristics of the steroid molecule and above all does not cause the. . .

SUMM . . . C. With the rice bran extract temperatures between 30° and 37° C have proved useful; obviously at lower temperatures the **dephosphorylation** was slower, while at higher temperatures the process sometimes proceeded more rapidly. If the concentration of the product to be **dephosphorylated** is sufficiently high, the end product, i.e. the 17-monoester of the 17α,21 -dihydroxy steroid, precipitates directly from the incubation mixture,. . .

SUMM . . . aqueous solutions obtained from the acylation reaction and adjusted to a suitable pH, may be used immediately for the enzymatic **dephosphorylation**.

DETD . . . of the above solution to which was added 5% (w/v) of mannitol was always used as such for the enzymatic **dephosphorylation** as described in Example 3.

DETD . . . abundant precipitate thus formed, is filtered and dried under vacuum over anhydrous calcium chloride. It may be used for the **dephosphorylation** similarly to the lyophylized product.

DETD Afterwards the **dephosphorylated** steroid was extracted with 3 × 150 ml. of chloroform, the combined organic extracts dried over anhydrous sodium sulfate and. . .

DETD Thin-layer chromatography of the reaction mixture, after **dephosphorylation** by means of lyophylized rice bran extract, showed it to consist of prednisone 17-formate, with traces of the parent 17α. . .

DETD The solution was allowed to stand at 37° C for 40 hours, then the **dephosphorilated** steroid is extracted with three portions of chloroform. The collected organic layers are dried over anhydrous sodium sulfate, evaporated to. . .

CLM What is claimed:

. . . the corresponding 17α, 21-dihydroxy steroid 21-phosphate with an acylating agent to directly and solely esterify the 17α-hydroxy group, and then **dephosphorylating** the intermediate compound 17-acyloxy-21-phosphate by means of enzymatic hydrolysis carried out with an acid phosphatase at a pH in a. . .

15. The process of claim 1 wherein the enzymatic **dephosphorylation** is carried out at a temperature between 10° and 50° C.

16. The process of claim 1 wherein the enzymatic **dephosphorylation** is performed directly on the reaction mixture of said 17α, 21-dihydroxy steroid 21-phosphate and said acylating agent without isolating the. . .

L2 ANSWER 12 OF 13 USPATFULL

Full Text | Citing References

ACCESSION NUMBER:	76:36703 USPATFULL
TITLE:	Production of 21-phosphate corticords having unprotected hydroxyl radicals at least at the 17α- and 21-position
INVENTOR(S):	Masuya, Hirotomo, Kobe, Japan Miki, Takuichi, Amagasaki, Japan
PATENT ASSIGNEE(S):	Takeda Chemical Industries, Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 3966778		19760629
APPLICATION INFO.:	US 1974-481906		19740620 (5)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1971-160205, filed on 6 Jul 1971, now abandoned which is a continuation of Ser. No. US 1966-547779, filed on 5 May 1966, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1965-27042	19650508
	JP 1965-34556	19650609

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Love, Ethel G.
LEGAL REPRESENTATIVE:	Wenderoth, Lind & Ponack
NUMBER OF CLAIMS:	19
EXEMPLARY CLAIM:	1
LINE COUNT:	318

AB There is disclosed a process for preparing 17α-hydroxy corticoid 21-phosphate which comprises reacting a compound selected from the group consisting of 17α,21-dihydroxy corticoid and 11, 17α,21-trihydroxy corticoid with **pyrophosphoryl** tetrachloride, subjecting the reaction mixture to a hydrolyzing agent and recovering the objective 17α-hydroxy corticoid 21-phosphate or 11, 17α-dihydroxy corticoid 21-phosphate from the hydrolysis reaction medium.

AB . . . corticoid 21-phosphate which comprises reacting a compound selected from the group consisting of 17α,21-dihydroxy corticoid and 11, 17α,21-trihydroxy corticoid with **pyrophosphoryl** tetrachloride, subjecting the reaction mixture to a hydrolyzing agent and recovering the objective 17α-hydroxy corticoid 21-phosphate or 11, 17α-dihydroxy corticoid. . .

SUMM . . . metal iodide thereby forming the corresponding 21-iodo compound, (3) reacting the 21-iodo compound with a mixture of silver phosphate and **phosphoric** acid to give the desired 21-phosphate of corticoid-type steroid, and (4) recovering the latter from the reaction mixture. However, this. . .

SUMM . . . ion, iodide ion, phosphate ion, etc. or organic amine, and it is extremely difficult to remove these impurities, especially inorganic **phosphoric** acid ion. To avoid these difficulties, a purifying method is proposed in U.S. Pat. No. 2,932,657 and also in British. . . step

(1) with a zinc salt or suitable amine salt to precipitate the corresponding zinc or amine salt of the **steroid phosphate**, (3) acidifying the zinc or amine **steroid phosphate** with a cation ion-exchange resin and (4) recovering the pure 21-phosphate. However, this purification process is very complex and requires. . .

SUMM According to the present invention, 21-hydroxy corticoid is easily esterified by reaction with **pyrophosphoryl** tetrachloride, followed by hydrolysis, to obtain the corticoid 21-phosphate in much better yield (at least about 70%) than in the. . . unexpected, particularly as the esterification of the 21-hydroxy corticoid-type steroid cannot be accomplished at all by using any other conventional **phosphorylating** agent such as **phosphorus** oxychloride, **phosphorus** pentachloride, **polyphosphoric** acid, etc. which have been commonly used for the **phosphorylation** of alcohols; that is to say, it seems that these agents do not react with 21-hydroxy corticoid-type steroid or, even. . . is also known that 11-hydroxy corticoid-type steroids such as hydrocortisone acetate, prednisolone, etc., are easily dehydrated in the presence of **phosphorus** oxychloride to give a compound having a double bond at the 9(11)-position (S. Bernstein et al; J.A.C.S., Vol. 75 (1953),. . .

SUMM However, unexpectedly, according to this invention, the reaction of 21-hydroxy corticoid with **pyrophosphoryl** tetrachloride is not accompanied at all by such dehydrating reaction. It is, therefore, wholly surprising and not to be expected. . . steroid can be easily obtained in good yield without any appreciable side-reaction by the reaction of 21-hydroxy corticoid-type steroid with **pyrophosphoryl** tetrachloride.

SUMM The present method comprises reacting 21-hydroxy corticoid with **pyrophosphoryl** tetrachloride, followed by subjecting the reaction product to hydrolysis.

SUMM According to the present invention, the 21-hydroxy corticoid is first reacted with **pyrophosphoryl** tetrachloride. The **pyrophosphoryl** tetrachloride can be synthesized, for example, by so-called Grunze's method (H. Grunze; Chemische Berichte, Vol. 92 (1959), Page 850). The. . .

DETD While stirring a solution of 2 g of **pyrophosphoryl** tetrachloride in 20 ml of tetrahydrofuran at -50°C, a solution of 2 g of prednisolone in 40 ml of tetrahydrofuran. . .

DETD To a solution of 0.63 g of **pyrophosphoryl** tetrachloride in 10 ml of tetrahydrofuran, a solution of 0.9 g of prednisolone in a mixture of 0.4 g of. . .

DETD To a solution of 7.8 g of dexamethasone in 100 ml of tetrahydrofuran, a solution of 10 g of **pyrophosphoryl** tetrachloride in 20 ml of tetrahydrofuran is dropped under stirring at -40°C. After the temperature of the reaction mixture is. . .

DETD . . . prednisolone in a mixture solvent of 660 ml of meta-cresol (of phenol) and 330 ml of tetrahydrofuran, 100 g of **pyrophosphoryl** tetrachloride is added dropwise under stirring at -35° to -40°C within 15 minutes. After keeping the reaction mixture at the same temperature for 50 minutes, 500 ml of water is added thereto to hydrolyze the excess **phosphoryl** tetrachloride. Ether is further added to the resultant solution and the organic phase is subjected to extraction with water and. . .

DETD To 50 ml of an aqueous solution containing 5 g of prednisolone-21-phosphate, 4 g of **phosphoric** acid and 2 g of hydrogen chloride is added 15 g of activated charcoal, and the mixture is stirred. The. . .

DETD To a solution of 2 g of **pyrophosphoryl** tetrachloride in 20 ml of tetrahydrofuran, a solution of 2 g of hydrocortisone in 40 ml of tetrahydrofuran is added. . .

CLM What is claimed is:

. . . 17α-hydroxy corticoid 21-phosphate which comprises reacting a compound selected from the group consisting of 17α,21-dihydroxy corticoid and 11,17α,21-trihydroxy corticoid with **pyrophosphoryl**

=> **d ibib ab hitstr 1-70**

L6 ANSWER 1 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1997:501099 CAPLUS
DOCUMENT NUMBER: 127:205774
TITLE: Oligo(2'-O-methyl-ribonucleotides) and their derivatives. II. Synthesis and properties of oligo(2'-O-methyl-ribonucleotides) modified with N-(2-hydroxyethyl)phenazinium and steroid groups at the 5'-terminus
AUTHOR(S): Sergeeva, Z. A.; Lokhov, S. G.; Ven'yaminova, A. G.
CORPORATE SOURCE: Siberian Div., Novosibirsk Inst. Bioorganic Chem., Novosibirsk, 630090, Russia
SOURCE: Bioorg. Khim. (1996), 22(12), 916-922
CODEN: BIKHD7; ISSN: 0132-3423
PUBLISHER: MAIK Nauka
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Oligo(2'-O-methyl-ribonucleotides) modified at the 5'-terminus with a steroid (cholesterol or testosterone) or polycyclic arom. dye [N-(2-hydroxyethyl)phenazinium] residue were synthesized. It was shown that the introduction of an N-(2-hydroxyethyl)phenazinium moiety into octa(2'-O-methyl-ribonucleotide) increased the melting temp. of the duplex with the d-target by 9°. The steroid residue, which was attached to the 5'-position of deca(2'-O-methyl-uridylate) via a phosphodiester linkage, enhanced the stability of the steroid conjugate complexes with d(pA)16 and (pA)16; this effect was stronger with the cholesterol deriv. (ΔTm 5 and 8°, resp.) than with the testosterone deriv. (ΔTm 1 and 4°).

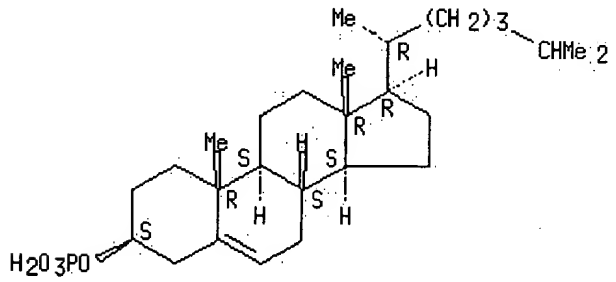
IT **194534-51-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(oligo(2'-O-methyl-ribonucleotides) modified with N-(2-hydroxyethyl)phenazinium and steroid groups at the 5'-terminus)

RN 194534-51-5 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, compd. with N,N-diethylethanamine (9CI) (CA INDEX NAME)

CM 1

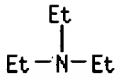
CRN 4358-16-1
CMF C27 H47 O4 P
CDES 4:3B.CHOLEST

Absolute stereochemistry.



CM 2

CRN 121-44-8
CMF C6 H15 N



L6 ANSWER 2 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1996:546570 CAPLUS
DOCUMENT NUMBER: 125:257179
TITLE: Preparation of liposome and lipid complex compositions
INVENTOR(S): Szoka, Francis C. Jr.
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: U.S., 19 pp., Cont.-in-part of U.S. 5,277,791.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5549910	A	19960827	US 1994-179291	19940110
US 5077057	A	19911231	US 1990-605155	19901029
US 5277914	A	19940111	US 1991-741937	19910808
US 5567434	A	19961022	US 1995-480227	19950607

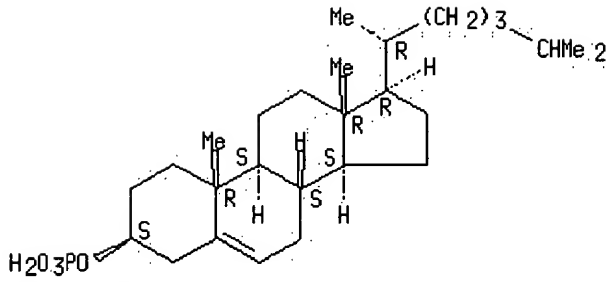
PRIORITY APPLN. INFO.:

US 1989-332609	19890331
US 1989-334055	19890405
US 1990-605155	19901029
US 1991-741937	19910808
US 1994-179291	19940110

AB Liposome and lipidic particle formulations of compds. are prepd. by dissolving a soln. of liposome-forming lipids in an aprotic solvent such as DMSO, optionally contg. a lipid-solubilizing amt. of a lower alkanol, and either injecting the resulting soln. into an aq. soln., or the aq. soln. into the resulting soln. The resulting liposome or lipidic particle suspension may then be dialyzed or otherwise concd. This method is particularly useful for compds. which are poorly-sol. in aq. soln., but is generally useful for any compd. or combination of compds. which can be dissolved in the aprotic solvent or aprotic solvent/lower alkanol mixt. Doxorubicin (I) was dissolved in DMSO and added to an ethanol soln. of egg phosphatidylglycerol, egg phosphatidylcholine, and cholesterol (7:3:6) to yield a final I concn. of 6.2 mM and a final total lipid concn. of 96.4 mM in DMSO:EtOH (7:3) solvent mixt. Lipid vesicles were formed by injecting 1 mL of the above mixt. into 2 mL of an aq. phase consisting of 140 mM NaCl, 10 mM Tris-HCl, pH 4.0, at 30°. The lipid suspension was dialyzed against Tris buffer and the liposome-encapsulated I was sepd. from the nonencapsulated material by column chromatog. The resulting vesicle diam. was 227 nM and 41.2 % of the I was encapsulated in the vesicles.

IT **4358-16-1**, Cholesterol phosphate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of liposomes and lipid complex compns.)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 3 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

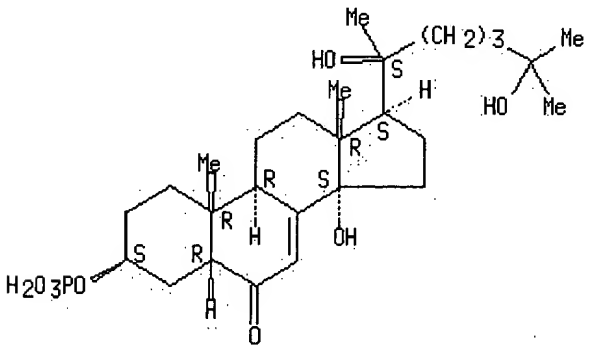
ACCESSION NUMBER: 1995:1004893 CAPLUS
DOCUMENT NUMBER: 124:117688
TITLE: Charge-remote fragmentation of ecdysteroids conjugated with phosphoric acid
AUTHOR(S): Ikeda, Midori; Fujita, Tsuyoshi; Naoki, Hideo; Naya, Yoko; Mamiya, Yoshitaka; Kamba, Mari; Sonobe, Haruyuki
CORPORATE SOURCE: Suntory Inst. Bioorg. Res., Osaka, 618, Japan
SOURCE: Rapid Commun. Mass Spectrom. (1995), 9(15), 1480-3
CODEN: RCMSEF; ISSN: 0951-4198
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Successful application of tandem mass spectrometry on a series of the ecdysteroid phosphates [I; R1 = OH, H, R2 = β-OH, R3 = H, OH, R4 = OPO3H2; R1 = OPO3H2, R2 = α-OH, R3 = OH, R4 = H; R1 = OH, H, R2 = OPO3H2-β, R3 = OH, R4 = H; R1R2 = β-OP(O)(OH)O-α, R3 = H, OH, R4 = OH] led to general information for their characterization. The 22-phosphates and the 2-(or 3-)phosphates can be definitely distinguished by their charge-remote fragmentation patterns. In the case of the 22-phosphates, information about the side-chain moiety is readily obtained. In the case of the 2-(or 3-)phosphates, information about the ring as well as the side-chain is readily available. Neither the positional isomers (2- and 3-phosphates) in the A-ring nor the configurational isomers (α and β) can be distinguished.

IT **117176-37-1P**, 2,22-Dideoxy-20-hydroxyecdysone 3-phosphate
156579-03-2P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(charge-remote fragmentation in mass spectrometry of ecdysteroid phosphates)

RN 117176-37-1 CAPLUS
CN Cholest-7-en-6-one, 14,20,25-trihydroxy-3-(phosphonooxy)-, (3β,5β)- (9CI) (CA INDEX NAME)

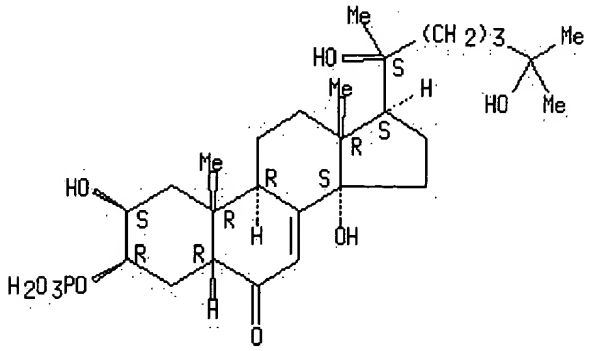
Absolute stereochemistry.



RN 156579-03-2 CAPLUS
CN Cholest-7-en-6-one, 2,14,20,25-tetrahydroxy-3-(phosphonooxy)-,

(2β,3β,5β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 70 CAPLUS COPYRIGHT 2001 ACS

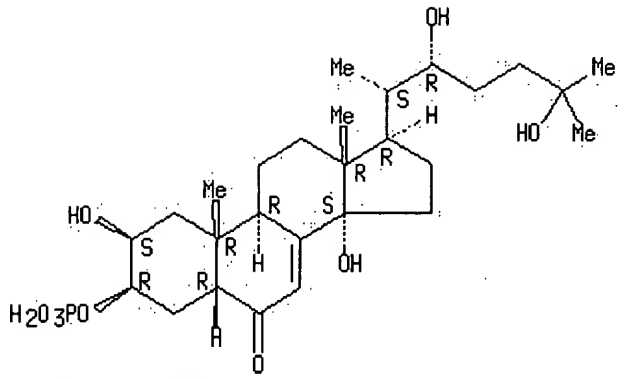
Full Text | Citing References

ACCESSION NUMBER: 1994:626510 CAPLUS
DOCUMENT NUMBER: 121:226510
TITLE: Biosynthesis of ecdysone and ecdysone phosphates in spinach
AUTHOR(S): Grebenok, Robert J.; Venkatachari, Sudha; Adler, John H.
CORPORATE SOURCE: Dep. Biol. Sci., Michigan Technol. Univ., Houghton, MI, 49931, USA
SOURCE: Phytochemistry (1994), 36(6), 1399-1408
CODEN: PYTCAS; ISSN: 0031-9422
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The polar ecdysteroid conjugate, ecdysone phosphate (2β,3β,14α,22R,25-pentahydroxy-7-en-6-one-3-phosphate) was identified in excised first leaves of spinach, where it is endogeneously produced during 20-hydroxyecdysone biosynthesis. Radiolabeled [14C]ecdysone phosphate was isolated from several excised leaf assays and was hydrolyzed with wheat germ acid phosphatase to yield [14C]ecdysone. Incorporated into excised first leaved followed by 32P exposure produced a compd. with 32P activity, with chromatog. properties identical to those of the isolated [14C]ecdysone phosphate and upon hydrolysis released ecdysone. In spinach first leaves with active ecdysteroid biosynthesis, ecdysone is present at 0.004% of the total free ecdysteroid and contained 6% of the total radioactivity from [2-14C]mevalonic acid (MVA). These biosynthetically active tissues also produce radiolabeled lathosterol, ecdysone-3-phosphate and 20-hydroxyecdysone. In biosynthetically inactive tissue (immature apical organs) no radiolabeled lathosterol, ecdysone-3-phosphate, ecdysone of 20-hydroxyecdysone was produced form [2-14C]MVA despite an active biosynthesis of C29-sterols. Several intermediate and end product ecdysteroids, when incorporated into excised first leaves of spinach produced conjugates which were readily cleaved by wheat germ acid phosphatase. The ecdysteroid pathway appears to be regulated by the presence of ecdysteroid substrates.

IT **130690-29-8**, Ecdysone-3-phosphate
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(in biosynthesis of ecdysteroids in spinach)
RN 130690-29-8 CAPLUS
CN Cholest-7-en-6-one, 2,14,22,25-tetrahydroxy-3-(phosphonooxy)-, (2β,3β,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

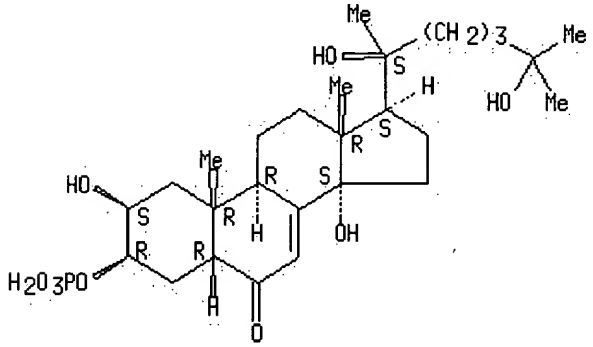
ACCESSION NUMBER: 1994:478662 CAPLUS
DOCUMENT NUMBER: 121:78662
TITLE: 22-Deoxy-20-hydroxyecdysone and its phosphoric ester from ovaries of the silkworm, Bombyx mori
AUTHOR(S): Kamba, Mari; Mamiya, Yoshitaka; Sonobe, Haruyuki; Fujimoto, Yoshinori
CORPORATE SOURCE: Fac. Sci., Konan Univ., Kobe, 658, Japan
SOURCE: Insect Biochem. Mol. Biol. (1994), 24(4), 395-402
CODEN: IBMBES; ISSN: 0965-1748
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A principal unidentified compd. in the free ecdysteroid fraction and its conjugated form were purified from ovaries of the silkworm, Bombyx mori, by thin-layer chromatog. and high-performance liq. chromatog. The purified compds. were identified as 22-deoxy-20-hydroxyecdysone (22d20E) and 22-deoxy-20-hydroxyecdysone 3-phosphate (22d20E3P) by mass spectrometry and NMR spectroscopy. Although 22d20E had previously been isolated from the leaves and stems of the yew tree, Taxus cuspidata, and from the whole bodies of the sea spider, Pycnogonum litorale, it had not yet been obtained from insect ovaries. 22D20E3P was newly identified in the present study.

IT **156579-03-2**
RL: BIOL (Biological study)
(of ovary of silkworm)

RN 156579-03-2 CAPLUS
CN Cholest-7-en-6-one, 2,14,20,25-tetrahydroxy-3-(phosphonooxy)-, (2β,3β,5β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 70 CAPLUS COPYRIGHT 2001 ACS

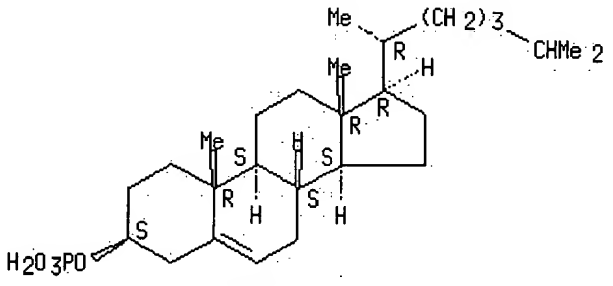
Full Text | Citing References

ACCESSION NUMBER: 1994:239984 CAPLUS
DOCUMENT NUMBER: 120:239984
TITLE: The effects of membrane physical properties on the fusion of Sendai virus with human erythrocyte ghosts and liposomes. Analysis of kinetics and extent of fusion
AUTHOR(S): Cheetham, James J.; Nir, Shlomo; Johnson, Edward; Flanagan, Thomas D.; Epand, Richard M.
CORPORATE SOURCE: Health Sci. Cent., McMaster Univ., Hamilton, ON, L8N 3Z5, Can.
SOURCE: J. Biol. Chem. (1994), 269(7), 5467-72
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A no. of amphiphiles which raise the bilayer to hexagonal phase transition temp. (TH) of phosphatidylethanolamine (PE) have been shown to inhibit viral fusion. In this study, the authors have further evaluated the mechanism of this inhibition. Several anionic amphiphiles, including cholesterol sulfate, a component of mammalian plasma membranes, lower the final extent of Sendai virus fusion with both human erythrocyte ghosts and liposomes composed of PE and 5% of the ganglioside GD1a. A cationic amphiphile slightly increased the final extent of fusion. The fusion rate const. is not greatly affected by the presence of as much as 20% cholesterol sulfate or other charged amphiphiles. The zwitterionic amphiphile, cholesterol phosphorylcholine has no effect on the final extent of fusion, but it lowers the fusion rate const. This amphiphile is potent in raising TH. The amphiphile cholesterol hemisuccinate (CHEMS) stabilizes the bilayer relative to the hexagonal phase at neutral pH, while at acidic pH the formation of the hexagonal phase is promoted. When CHEMS is added to vesicles of egg PE contg. 5% GD1a, the rate of Sendai virus fusion is little affected at neutral pH, but the rate is significantly enhanced at pH 5.0. These results demonstrate that viral fusion can be modulated, in part, by the tendency of the membrane to convert to the hexagonal phase.

IT **4358-16-1**, Cholesterol phosphate
RL: BIOL (Biological study)
(Sendai virus fusion with erythrocytes and liposomes response to)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 7 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1994:144177 CAPLUS
DOCUMENT NUMBER: 120:144177
TITLE: Pharmaceutical liposome manufacture from compounds which are poorly soluble in aqueous solutions
INVENTOR(S): Szoka, Francis C., Jr.

PATENT ASSIGNEE(S): Regents of the University of California, USA
SOURCE: U.S., 19 pp. Cont.-in-part of U.S. 5,077,057.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5277914	A	19940111	US 1991-741937	19910808
US 5077057	A	19911231	US 1990-605155	19901029
US 5549910	A	19960827	US 1994-179291	19940110
US 5567434	A	19961022	US 1995-480227	19950607

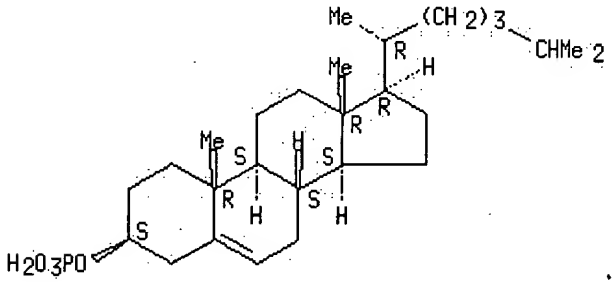
PRIORITY APPLN. INFO.:

US 1989-332609	19890331
US 1989-334055	19890405
US 1990-605155	19901029
US 1991-741937	19910808
US 1994-179291	19940110

AB Pharmaceutical liposome of compds. which are poorly sol. in aq. solns. are prepd. by dissolving the compd. and a liposome-forming lipid in an aprotic solvent such as DMSO, optionally contg. a lipid-solubilizing amt. of a lower alkanol, and either injecting the resulting soln. into an aq. soln., or the aq. soln. into the resulting soln. Amphotericin B (I) and chloresterol were dissolved in DMSO:EtOH 7:3 mixt. and the soln. was injected into a 10mM Hepes buffer pH=7.4 at 30° to obtain liposomes having diam. of 451 nm which were dialyzed vs. distd. water. The above liposomes at 6-9 mg/kg/day were as effective as 4.5 mg/kg/day free I in immunosuppressed rabbits infected with Aspergillis fumioatus.

IT **4358-16-1**, Cholesterol phosphate
RL: BIOL (Biological study)
(pharmaceutical liposome manuf. with aprotic solvents and, of poorly sol. compds.)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

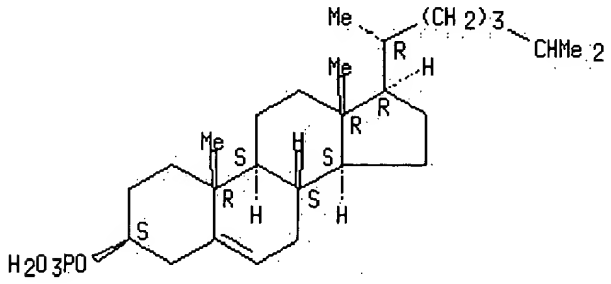


L6 ANSWER 8 OF 70 CAPLUS COPYRIGHT 2001 ACS
Full Text | Citing References

ACCESSION NUMBER: 1994:86105 CAPLUS
DOCUMENT NUMBER: 120:86105
TITLE: Cosmetic and pharmaceutical compositions comprising a proanthocyanidin oligomer encapsulated in liposomes
INVENTOR(S): Cotteret, Jean; Dubief, Claude; Forestier, Serge
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1

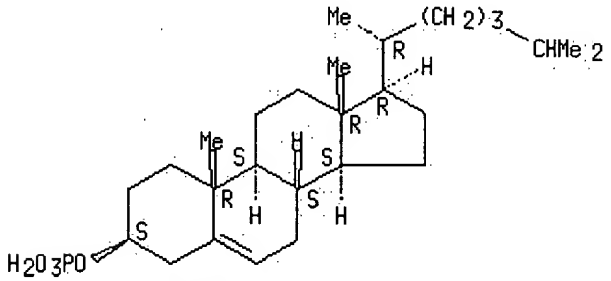
cholesterol, and sodium diacetylphosphate.
IT **4358-16-1** **4358-16-1D**, alkali metal salts
RL: BIOL (Biological study)
(vesicles contg. betaines and, for cosmetic or pharmaceutical)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 10 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1992:483459 CAPLUS
DOCUMENT NUMBER: 117:83459
TITLE: Pseudonucleosides and pseudonucleotides and their polymers for use in therapy and diagnosis
INVENTOR(S): Lin, Kuei Ying; Matteucci, Mark
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9113080	A1	19910905	WO 1991-US1141	19910220
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9175799	A1	19910918	AU 1991-75799	19910220
US 5414077	A	19950509	US 1994-237233	19940502

PRIORITY APPLN. INFO.: US 1990-482943 19900220
US 1990-594147 19901009
WO 1991-US1141 19910220
OTHER SOURCE(S): MARPAT 117:83459
AB Pseudonucleosides or pseudonucleotides, useful to construct DNA or RNA

oligomers which can be employed in therapy, e.g. through antisense or other mechanisms, or which can be used in diagnosis through binding to specific target oligonucleotides, comprise XYZ(F)YX (X = H, PO3-2, activated nucleotide synthesis coupling moiety, protecting group, nucleoside, nucleotide, nucleotide sequence, solid support; Y = O, S; F = functional group for linking an addnl. moiety; Z = org. backbone which is achiral or is a single enantiomer of a chiral compd.; with provisions). Because the pseudonucleotide provides a functional group for the conjugation of any desired substituent, the resulting oligomers can be modified as desired to exhibit such helpful properties as resistance to nucleases, enhanced binding to target sequences, enhanced capability to permeate cells, and regulation of the rate of renal clearance. The fluorescent oligonucleotide 5'-cholesteryl-TCC AGT GAT TTT TTT CTC CAT-DHED-rhodamine-3' (DHED = dihydroxyethylethylenediamine; prepn. given) was added to DMEM medium contg. 10% heat-inactivated fetal calf serum. Mouse L cells were incubated in the medium and then were washed to remove extracellular oligonucleotide. Fluorescence intensities indicated that >60% of the oligonucleotide remained intact after 3 days in the cells, showing that the 3' OH adduct rendered it stable to nuclease activity.

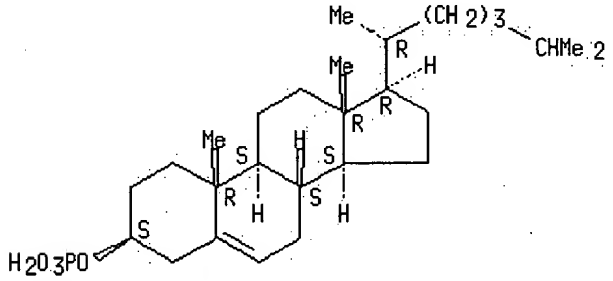
IT **4358-16-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of derivatized and labeled and pseudonucleotide-contg. oligonucleotide)

RN 4358-16-1 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 11 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1991:626550 CAPLUS
DOCUMENT NUMBER: 115:226550
TITLE: Deuterium NMR investigation of polymorphism in stratum corneum lipids
AUTHOR(S): Abraham, William; Downing, Donald T.
CORPORATE SOURCE: Coll. Med., Univ. Iowa, Iowa City, IA, 52242, USA
SOURCE: Biochim. Biophys. Acta (1991), 1068(2), 189-94
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The intercellular lipid lamellae of stratum corneum constitute the major barrier to percutaneous penetration. Deuterium magnetic resonance and freeze-fracture electron microscopic investigation of hydrated lipid mixts. consisting of ceramides, cholesterol, palmitic acid and cholesteryl sulfate and approximating the stratum corneum intercellular lipid compn., revealed thermally induced polymorphism. The transition temp. of bilayer to hexagonal transition decreased as the ratio of cholesterol to ceramides in these mixts. was lowered. Lipid mixts. in which the stratum corneum ceramides were replaced by synthetic dipalmitoylphosphatidylcholine did not show any polymorphism throughout the temp. range used in the present study. The ability of the ceramide-contg. samples to form hexagonal

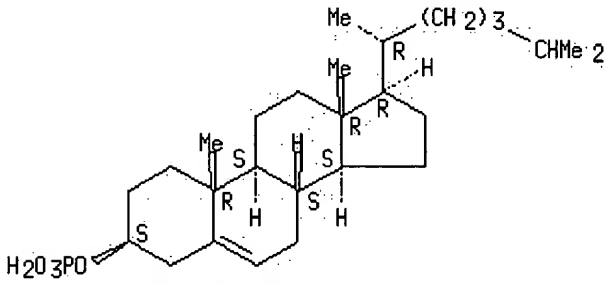
structures establishes a plausible mechanism for the assembly of the stratum corneum intercellular lamellae during the final stages of epidermal differentiation. Also, the bilayer to hexagonal phase transition of these nonpolar lipid mixts. could be used to enhance the penetration of drugs through skin.

IT **4358-16-1**, Cholesteryl phosphate
RL: BIOL (Biological study)
(membrane contg., bilayer-hexagonal thermal transition in, ceramide dependence of, stratum corneum in relation to)

RN 4358-16-1 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 12 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1991:508691 CAPLUS
DOCUMENT NUMBER: 115:108691
TITLE: Inhibition of mitochondrial cholesterol side-chain cleavage by structural analogs of cholesterol sulfate
AUTHOR(S): Robertson, David G.; Perry, David; Lambeth, J. David
CORPORATE SOURCE: Sch. Med., Emory Univ., Atlanta, GA, 30322, USA
SOURCE: Endocr. Res. (1991), 17(1-2), 297-306
CODEN: ENRSE8; ISSN: 0743-5800
DOCUMENT TYPE: Journal
LANGUAGE: English

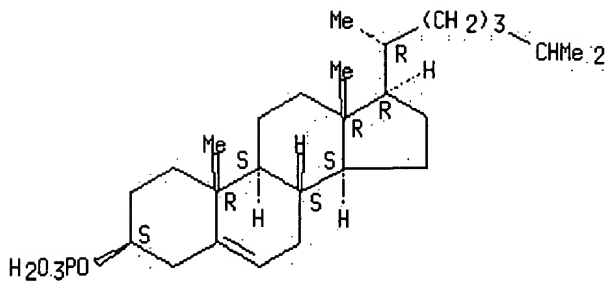
AB Cholesterol sulfate inhibits cholesterol side-chain cleavage in adrenal mitochondria. In the present study, analogs of cholesterol sulfate were evaluated for their ability to inhibit steroidogenesis. Structural requirements for inhibitory activity included a planar A-B ring junction, an intact side chain, and a 3β-ester group contg. a single neg. charge. This structural specificity argues against cholesterol sulfate acting solely as a membrane perturbing agent or a detergent, and also differs in some features from the specificity for binding to cytochrome P -450scc (where scc = side-chain cleavage).

IT **4358-16-1**, Cholesteryl phosphate
RL: BIOL (Biological study)
(cholesterol side-chain cleavage by adrenal mitochondria inhibition by, structure in relation to)

RN 4358-16-1 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 13 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1991:192593 CAPLUS
DOCUMENT NUMBER: 114:192593
TITLE: Nonphospholipid pharmaceutical liposomes
INVENTOR(S): Radhakrishnan, Ramachandran
PATENT ASSIGNEE(S): Liposome Technology, Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9006775	A1	19900628	WO 1989-US5525	19891206
W: AU, DK, FI, JP, NO				
RW: AT, BE, CH, DE, ES, FR, GB, IT, LU, NL, SE				
US 4906476	A	19900306	US 1988-284158	19881214
US 5043165	A	19910827	US 1988-284216	19881214

PRIORITY APPLN. INFO.: US 1988-284158 19881214
US 1988-284216 19881214

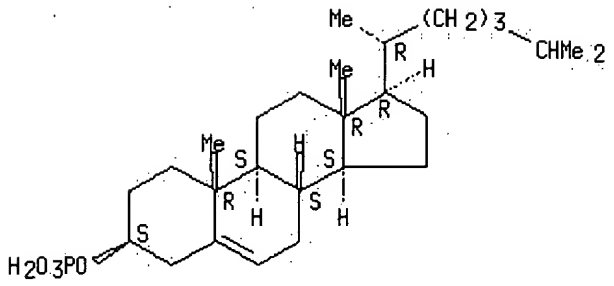
AB A nonconventional liposome compn. consisting of nonphospholipid lipids, esp. cholesterol and cholesterol ester salts, are used for encapsulation of drugs. They are useful for sustained release of steroids, and are suitable for treatment of inflammatory, arthritic, rheumatoid diseases, etc., esp. as aerosols for interstitial lung disease. Beclomethasone dipropionate (I) 10 was incorporated into liposomes prepd. with Na cholesterol sulfate 50 and cholesterol 40 mol %. Sustained release of I was obsd. in rats following intratracheal administration, in contrast to liposomes formulated with phosphatidylcholine and cholesterol.

IT **24352-55-4** **107745-49-3** **107745-53-9**
133352-85-9 **133352-86-0**
RL: BIOL (Biological study)
(pharmaceutical liposomes contg. cholesterol and)

RN 24352-55-4 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, dilithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

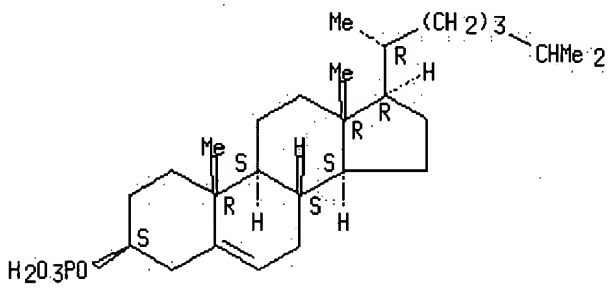


2 Li

RN 107745-49-3 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

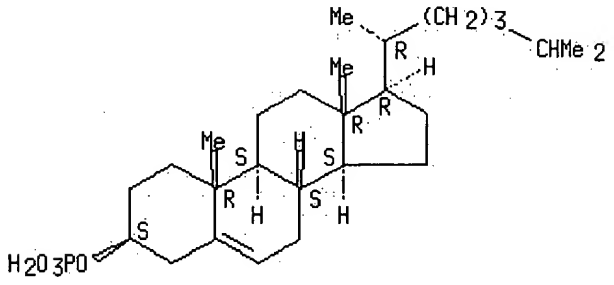


x Na

RN 107745-53-9 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

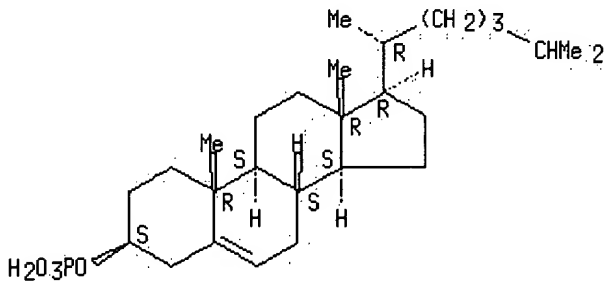


x K

RN 133352-85-9 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, magnesium salt (9CI) (CA INDEX NAME)

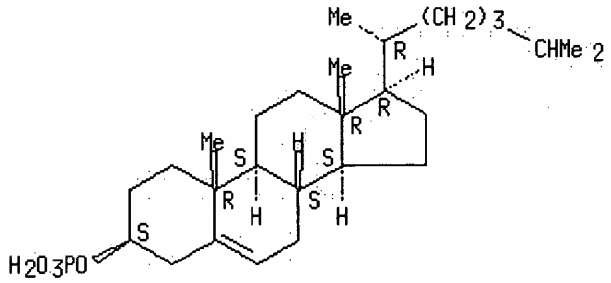
Absolute stereochemistry.



x Mg

RN 133352-86-0 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, calcium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



x Ca

L6 ANSWER 14 OF 70 CAPLUS COPYRIGHT 2001 ACS

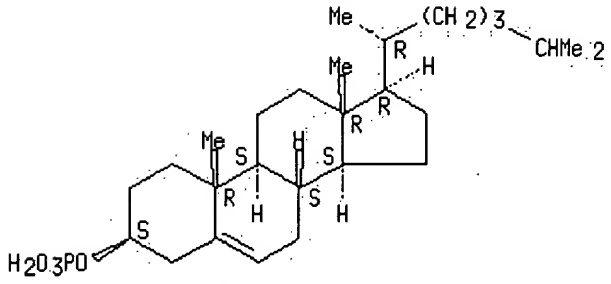
Full Text | Citing References

ACCESSION NUMBER: 1991:43293 CAPLUS
DOCUMENT NUMBER: 114:43293
TITLE: Phosphorylation of nonacosanol and cholesterol with tetra-n-butylammonium dihydrogen phosphate and trichloroacetonitrile
AUTHOR(S): Danilov, L. L.; Mal'tsev, S. D.; Shibaev, V. N.
CORPORATE SOURCE: N. D. Zelinskii Inst. Org. Chem., Moscow, USSR
SOURCE: Bioorg. Khim. (1990), 16(7), 1002-3
CODEN: BIKHD7; ISSN: 0132-3423
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 114:43293

AB Phosphorylation of 1-nonacosanol and cholesterol by Bu4N+H2PO4- and Cl3CCN gave 60 and 99% of the corresponding monophosphates.

IT **4358-16-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 15 OF 70 CAPLUS COPYRIGHT 2001 ACS

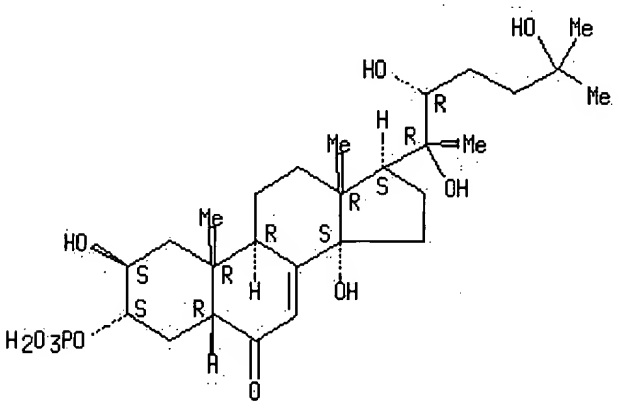
Full Text | Citing References

ACCESSION NUMBER: 1991:21255 CAPLUS
DOCUMENT NUMBER: 114:21255
TITLE: P1 gene expression in Drosophila larval fat body: induction by various ecdysteroids
AUTHOR(S): Somme-Martin, Ghislaine; Colardeau, Jacqueline; Beydon, Philippe; Blais, Catherine; Lepesant, Jean Antoine; Lafont, Rene
CORPORATE SOURCE: Dep. Biol., Univ. Pierre et Marie Curie, Paris, 75230, Fr.
SOURCE: Arch. Insect Biochem. Physiol. (1990), 15(1), 43-56
CODEN: AIBPEA; ISSN: 0739-4462
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The biol. activity of 20-hydroxyecdysone (20E) and 20E metabolites 3-dehydro-20-hydroxyecdysone (3D20E), 3-epi-20-hydroxyecdysone, 3-epi-20-hydroxyecdysone-3-phosphate, 20,26-dihydroxyecdysone (20,26E), and 20-hydroxyecdysonoic acid (20Eoic) was tested in the developmental mutant ecd1 for the ability to induce the transcription of the steroid-inducible gene P1 in the Drosophila larval fat body. 3D20E was the most efficient ecdysteroid in the initiation of P1 gene transcription. Therefore the formation of 3D20E and the 3-epimer could not be regarded as an inactivation pathway in Drosophila larvae. Formation of 20,26E and 20Eoic may be an inactivation pathway in this biol. model.

IT **107802-73-3**
RL: BIOL (Biological study)
(gene P1 expression in larval fruit fly fat body induction by)
RN 107802-73-3 CAPLUS
CN Cholest-7-en-6-one, 2,14,20,22,25-pentahydroxy-3-(phosphonooxy)-, (2β,3α,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 16 OF 70 CAPLUS COPYRIGHT 2001 ACS

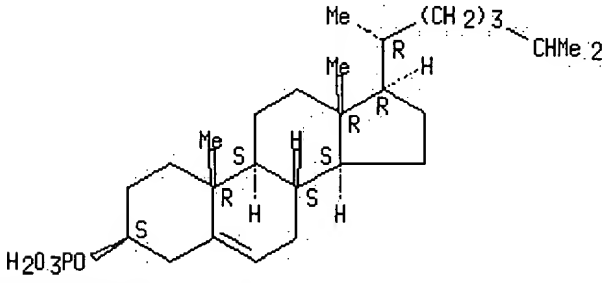
Full Text | Citing References

ACCESSION NUMBER: 1991:19750 CAPLUS
DOCUMENT NUMBER: 114:19750
TITLE: Carboxylic acid or primary amine titration at the lipid-water interface: on the role of electric charges and phospholipid acyl chain composition. A spin labeling experiment
AUTHOR(S): Bonnet, Pierre Antoine; Roman, Vincent; Fatome, Marc; Berleur, Francois
CORPORATE SOURCE: IRDI, Commis. Energ. At., Gif-sur-Yvette, 91191, Fr.
SOURCE: Chem. Phys. Lipids (1990), 55(2), 133-43
CODEN: CPLIA4; ISSN: 0009-3084
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The dissocn. equil. pH of a stearic acid spin probe and of the primary amine group of cysteamine was evaluated in the phospholipidic matrix of model membranes in gel phase (Lβ') and in liq.-cryst. phase (Lα). This study shows that the apparent pKa or pKb values depend on: (i) the thermodn. phase of the lipidic bilayers; (ii) the nature of the lipidic components including either the polar head region (choline, serine moieties or exogenous elec. charge-carrying cholesteryl esters) or the hydrophobic core (different phospholipid acyl chain length); (iii) the nature of the ionizable group, ΔpK (pKbilayer - pKwater) of carboxylic acid or primary amine groups being opposite resp. (ΔpKa = =2.5 for stearic acid and ΔpKb = -4.9 for cysteamine, in dipalmitoylphosphatidylcholine in fluid phase). An interpretation of this pK shifting is given by an interaction model of the ionizable mol. with the phospholipid bilayer, showing that ΔpK can be modulated by 2 parameters: the partition coeff. ratio of both the nonionized and the ionized forms (KH/K-) of the interacting mol., and the surface charge d. (Ψ) at the lipid/water interface.

IT **4358-16-1**, Cholesteryl phosphate
RL: BIOL (Biological study)
(membrane contg., carboxylate or primary amine ionization in, acyl chain compn. in relation to)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 17 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1991:2956 CAPLUS
DOCUMENT NUMBER: 114:2956
TITLE: Computer simulation of ecdysone metabolism and of the HPLC analysis of the metabolites
AUTHOR(S): Kalasz, H.; Bathori, M.; Tarjanyi, Z.; Darvas, F.
CORPORATE SOURCE: Dep. Pharmacol. Cell Biophys., Univ. Cincinnati, Cincinnati, OH, 45267-0575, USA

SOURCE: Chromatographia (1990), 30(1-2), 95-8
CODEN: CHRGB7; ISSN: 0009-5893
DOCUMENT TYPE: Journal
LANGUAGE: English

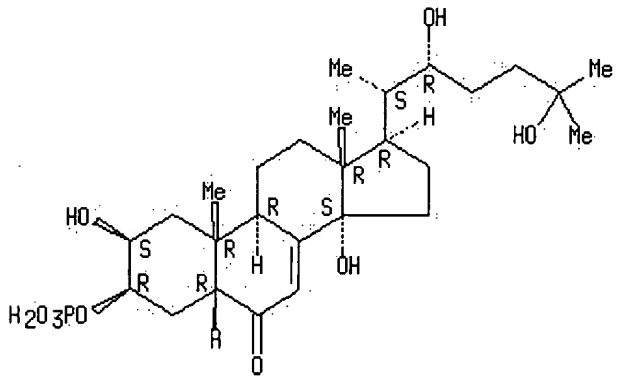
AB Computer simulation of ecdysone metab. in insects has been done by the software called HPLC-Metabolexpert, that served to generate the metabolic pathways of ecdysone in a retrospective manner. Some of the generated metabolites have already been detected, others are to be confirmed. Lists of the applied metabolic transformations, the predicted metabolites, and their HPLC elution times are also given.

IT **130690-29-8**
RL: ANT (Analyte); ANST (Analytical study)
(HPLC of)

RN 130690-29-8 CAPLUS

CN Cholest-7-en-6-one, 2,14,22,25-tetrahydroxy-3-(phosphonooxy)-, (2β,3β,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 18 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1991:2430 CAPLUS
DOCUMENT NUMBER: 114:2430
TITLE: Cholesteryl phosphate and cholesteryl pyrophosphate inhibit formation of the hexagonal phase
AUTHOR(S): Epand, Richard M.; Bottega, Remo; Robinson, Kelli
CORPORATE SOURCE: Health Sci. Cent., McMaster Univ., Hamilton, ON, L8N 3Z5, Can.
SOURCE: Chem. Phys. Lipids (1990), 55(1), 49-53
CODEN: CPLIA4; ISSN: 0009-3084
DOCUMENT TYPE: Journal
LANGUAGE: English

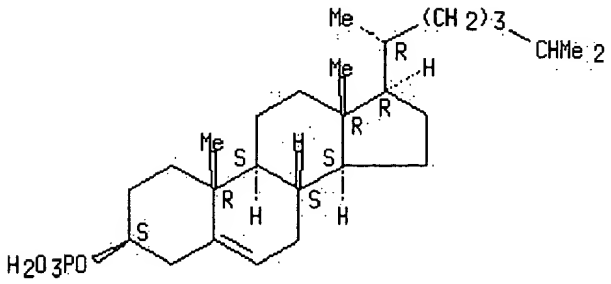
AB The effects of cholesteryl phosphate and cholesteryl sulfate on the Lα-HII phase transition temp. of dielaidoylphosphatidylethanolamine were compared. Both compds. raise the Lα-HII transition temp. This effect is decreased with decreasing pH. Cholesteryl sulfate is a somewhat better bilayer stabilizer and the effect is obsd. to lower pH values. Cholesteryl pyrophosphate was synthesized. This compd. raises the Lα-HII transition temp. at pH 7.4 to the same extent as does cholesteryl sulfate. It is concluded that charged sterol amphiphiles are good bilayer stabilizers but that this effect is not very sensitive to the nature of the polar group.

IT **4358-16-1P**, Cholesteryl phosphate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with morpholine and phosphatidylethanolamine lamellar to hexagonal membrane phase transition response to)

RN 4358-16-1 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 19 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

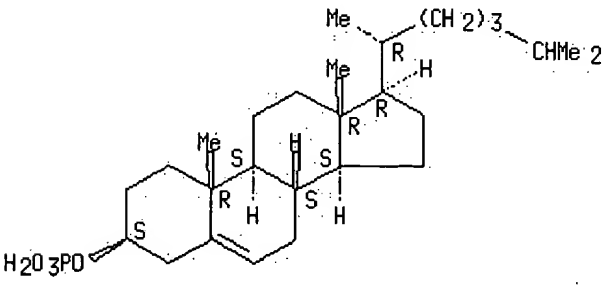
ACCESSION NUMBER: 1990:549811 CAPLUS
DOCUMENT NUMBER: 113:149811
TITLE: Cholesterol sulfate inhibits the fusion of Sendai virus to biological and model membranes
AUTHOR(S): Cheetham, James J.; Epand, Richard M.; Andrews, Marie; Flanagan, Thomas D.
CORPORATE SOURCE: Health Sci. Cent., McMaster Univ., Hamilton, ON, L8N 3Z5, Can.
SOURCE: J. Biol. Chem. (1990), 265(21), 12404-9
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cholesterol sulfate inhibits hypotonic erythrocyte hemolysis, while in sperm it can decrease fertilization efficiency. Cholesterol sulfate is a potent inhibitor of Sendai virus fusion to both human erythrocyte and liposomal membranes. Cholesterol sulfate also raises the bilayer to hexagonal phase transition temp. of dielaidoylphosphatidylethanolamine as demonstrated by differential scanning calorimetry and 31P-NMR spectrometry. Although hexagonal phase structures are not readily found in biol. membranes, there is a correlation between the effects of membrane additives on bilayer/non-bilayer equil. and membrane stabilization. The ability of cholesterol sulfate to alter the phys. properties of membranes may contribute to its stabilizing effects on biol. membranes and the inhibition of membrane fusion.

IT **4358-16-1**, Cholesterol phosphate
RL: BIOL (Biological study)
(erythrocyte membrane stability response to)

RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 20 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

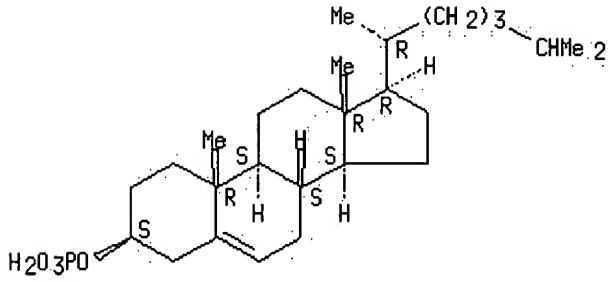
ACCESSION NUMBER: 1990:520816 CAPLUS
DOCUMENT NUMBER: 113:120816
TITLE: Liposome composition for sustained release of steroidal drugs in lungs
INVENTOR(S): Radhakrishnan, Ramachandran
PATENT ASSIGNEE(S): Liposome Technology, Inc., USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4906476	A	19900306	US 1988-284158	19881214
US 5049389	A	19910917	US 1989-444738	19891201
WO 9006775	A1	19900628	WO 1989-US5525	19891206
W: AU, DK, FI, JP, NO				
RW: AT, BE, CH, DE, ES, FR, GB, IT, LU, NL, SE				
CA 2004865	AA	19900614	CA 1989-2004865	19891207
PRIORITY APPLN. INFO.:			US 1988-284158	19881214
			US 1988-284216	19881214

AB The title liposome compn. consists essentially of a nonphospholipid mixt. of cholesterol (CH) and a cholesterol salt (CHS) e.g. cholesterol sulfate (CHSO4), in a ratio of CHS 30-70, CH 20-50, and steroidal drug 0.01-20 mol%. The liposome compn. is delivered by inhalation for treatment of pulmonary disease. Thus, a lyophilized mixt. of beclomethasone dipropionate (BDP) 10, CHSO4 50, and CH 40 mol% was resuspended, sonicated, and extruded to form nonconventional liposomes. These liposomes had an encapsulation efficiency, inital drug/lipid ratio (% mol fraction drug used in the formulation), and final drug/lipid ratio (% mol from fraction of drug in liposomes after formulation and removal of free drug not assocd. with liposomes) of 100%, 0.100, and 0.100, resp. Very little, if any, steroid leaked out of the nonconventional liposomes after 3 days at ambient temp. Using light microscopy, nonconventional liposomes showed no crystals after 3 mo of storage at 4°. In in vivo inhalation studies with rats and using liposomes contg. 14C-labeled BDP, the absorption kinetics of nonconventional liposomal formulations differed significantly from those of free drug and a formulation contg. egg phosphatidylcholine and CHSO4. Significant amts. of radiolabel were detected in the lungs over the course of the study (2.5 h) for the CH/CHSO4 nonconventional formulations. In contrast, 98.8% of the 14C-labeled BDP in egg phosphatidylcholine/CHSO4 liposomes and left the lungs 30 min after administration.

IT **4358-16-1**, Cholesterol phosphate
RL: BIOL (Biological study)
(liposome contg. steroid and, for pulmonary disease treatment)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 21 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

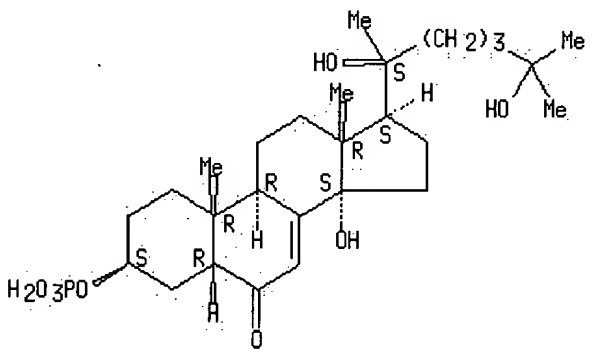
ACCESSION NUMBER: 1989:420437 CAPLUS
DOCUMENT NUMBER: 111:20437
TITLE: Isolation and identification of major ecdysteroid conjugates from the ovaries of Bombyx mori
AUTHOR(S): Ohnishi, Eiji; Hiramoto, Masashi; Fujimoto, Yoshinori; Kakinuma, Katsumi; Ikekawa, Nobuo
CORPORATE SOURCE: Fac. Sci., Nagoya Univ., Nagoya, 464, Japan
SOURCE: Insect Biochem. (1989), 19(1), 95-101
CODEN: ISBCAN; ISSN: 0020-1790
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Six major ecdysteroid conjugates have been isolated from mature ovaries of B. mori by a procedure involving column chromatog. on Sephadex G15, silicic acid, and Sephadex LH-20, and high-performance liq. chromatog. using a reverse-phase column. By analyses including UV absorption, enzymic hydrolysis, neg.-ion fast-atom-bombardment mass spectrometry, and proton and 31P NMR spectrometry, these conjugates were identified as the following: ecdysone-22-phosphate, 20-hydroxyecdysone-22-phosphate, 2-deoxyecdysone-22-phosphate, 2-deoxy-20-hydroxyecdysone-22-phosphate, 2,22-dideoxy-20-hydroxyecdysone-3-phosphate, and bombycosterol-3-phosphate.

IT **117176-37-1**, 2,22-Dideoxy-20-hydroxyecdysone-3-phosphate
117176-38-2, Bombycosterol-3-phosphate
RL: ANT (Analyte); ANST (Analytical study)
(detection of, in ovaries of Bombyx mori)

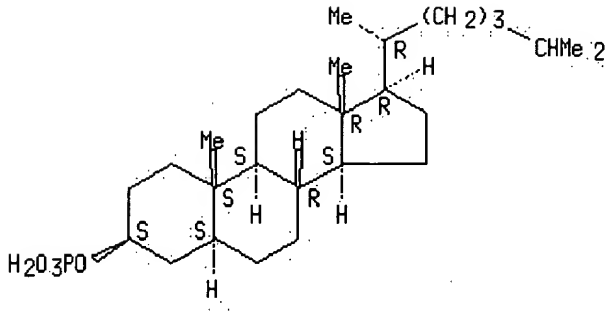
RN 117176-37-1 CAPLUS
CN Cholest-7-en-6-one, 14,20,25-trihydroxy-3-(phosphonooxy)-, (3β,5β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 117176-38-2 CAPLUS
CN Cholesta-7,14-diene-3,5,6,20,25-pentol, 3-(dihydrogen phosphate), (3β,5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L6 ANSWER 23 OF 70 CAPLUS COPYRIGHT 2001 ACS

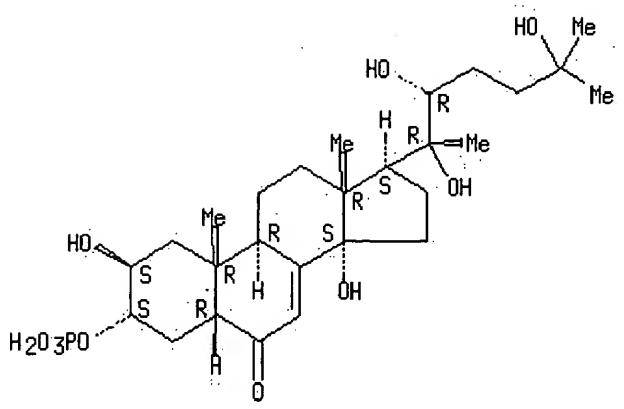
Full Text | Claim References

ACCESSION NUMBER: 1989:54721 CAPLUS
DOCUMENT NUMBER: 110:54721
TITLE: Conversion of ecdysone and 20-hydroxyecdysone into 3-dehydroecdysteroids is a major pathway in third instar Drosophila melanogaster larvae
AUTHOR(S): Somme-Martin, G.; Colardeau, J.; Lafont, R.
CORPORATE SOURCE: Dep. Biol., ENS, Paris, 75230, Fr.
SOURCE: Insect Biochem. (1988), 18(7), 729-34
CODEN: ISBCAN; ISSN: 0020-1790
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Ecdysone and 20-hydroxyecdysone metab. was investigated in third instar Drosophila larvae both in vivo by injecting radiolabeled ecdysteroids and in vitro by incubating various tissues with labeled ecdysteroids. Ecdysone metab. proceeds through different pathways: (1) C-20 hydroxylation; (2) C-26 hydroxylation and C-26 oxidn. leading to the formation of 26-hydroxyecdysteroids (26-hydroxyecdysone and 20,26-dihydroxyecdysone) and acid compds. (ecdysonoic acid and 20-hydroxyecdysonic acid); and (3) C-3 oxidn. and C-3 epimerization then conjugation leading to the formation of 3-dehydrocompounds (3-dehydroecdysone and 3-dehydro-20-hydroxyecdysone), 3-epimers (3-epiecdysone and 3-epi-20-hydroxyecdysone) and conjugates (only one conjugate was tentatively characterized as 3-epi-20-hydroxyecdysone-3-phosphate). 3-Dehydrocompounds are the major metabolites formed in third instar Drosophila larvae and C-3 oxidn. occurs in various tissues. Expts. using tritiated cholesterol provided evidence that 3-dehydroecdysone and 3-dehydro-20-hydroxyecdysone are true endogenous ecdysteroids in Drosophila larvae.

IT **107802-73-3**
RL: FORM (Formation, nonpreparative)
(formation of, by Drosophila melanogaster larva)
RN 107802-73-3 CAPLUS
CN Cholest-7-en-6-one, 2,14,20,22,25-pentahydroxy-3-(phosphonooxy)-, (2β,3α,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 24 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1988:631361 CAPLUS
DOCUMENT NUMBER: 109:231361
TITLE: Amino steroids useful for treating a variety of conditions, and a process for their preparation
INVENTOR(S): McCall, John M.; Ayer, Donald E.; Jacobsen, E. Jon; Van Doorick, Frederick J.; Palmer, John R.; Karnes, Harold A.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: Eur. Pat. Appl., 90 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 263213	A1	19880413	EP 1986-307808	19861009
EP 263213	B1	19950906		
R: AT, ES, GR				
ES 2078890	T3	19960101	ES 1986-307808	19861009

PRIORITY APPLN. INFO.: EP 1986-307808 19861009
OTHER SOURCE(S): CASREACT 109:231361; MARPAT 109:231361

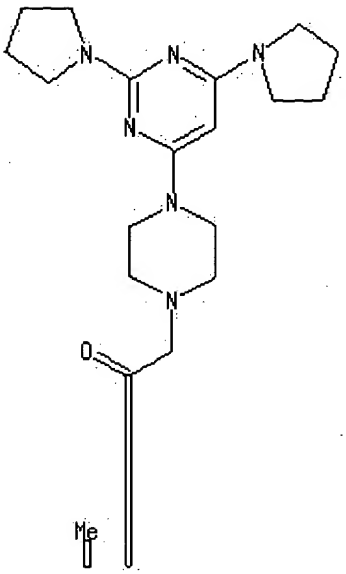
AB Various amino-substituted steroids were prepd. for use in the treatment of a wide variety of conditions. Aminolysis of 21-iodo-16α-methylpregna-1,4,9(11)-triene-3,20-dione by 1-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)piperazine in MeCN contg. K2CO3 at 60°, followed by chromatog. and salification with MeSO3H, gave the amino steroid dimethanesulfonate I. In the in vivo mouse head injury test of Hall, 3 mg I/kg increases 1-h post-injury grip test scores by 134.5%.

IT **111640-92-7P 111640-93-8P 111766-19-9P 116895-07-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

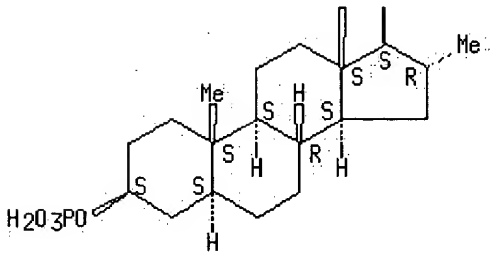
RN 111640-92-7 CAPLUS
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3β,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



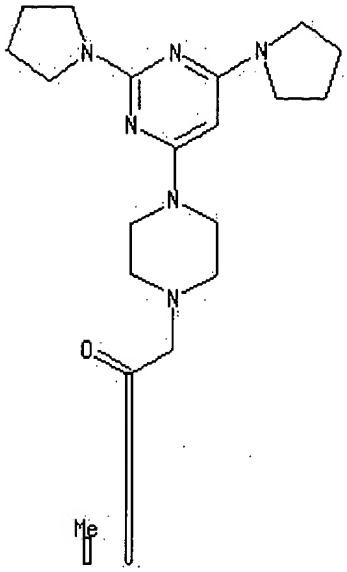
PAGE 2-A



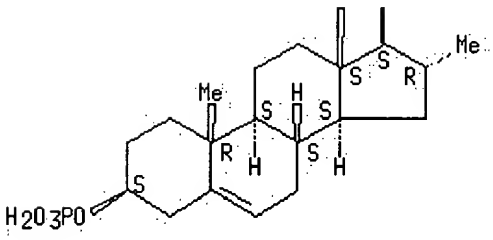
RN 111640-93-8 CAPLUS
CN Pregn-5-en-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



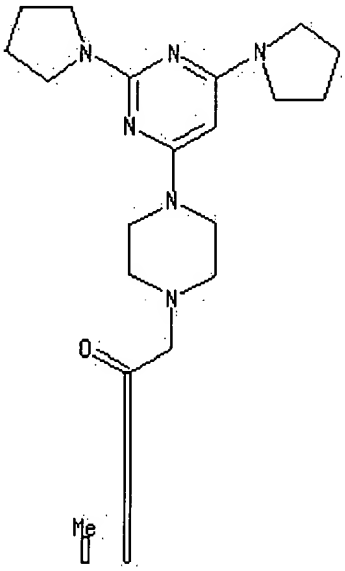
PAGE 2-A



RN 111766-19-9 CAPLUS
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3α,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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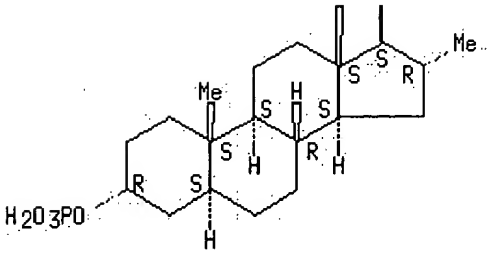
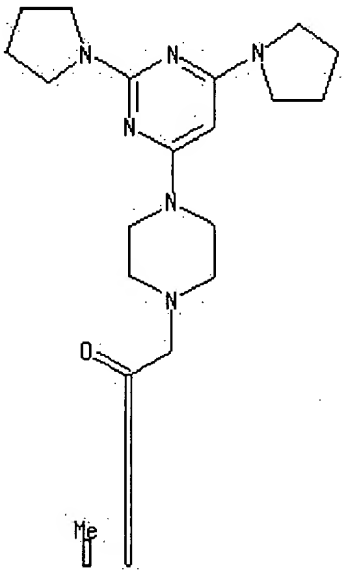


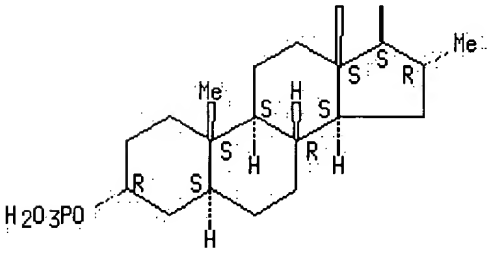
RN 116895-07-9 CAPLUS
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, dipotassium salt, (3α,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



#.2 K

L6 ANSWER 25 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

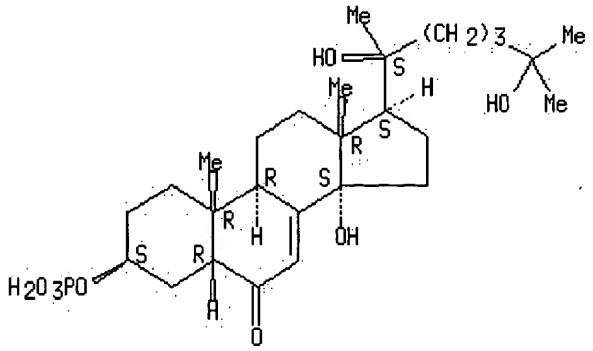
ACCESSION NUMBER: 1988:587601 CAPLUS
DOCUMENT NUMBER: 109:187601
TITLE: Ecdysteroid conjugates in the ovaries of the silkworm, Bombyx mori: 3-phosphates of 2,22-dideoxy-20-hydroxyecdysone and of bombycosterol
AUTHOR(S): Hiramoto, M.; Fujimoto, Y.; Kakinuma, K.; Ikekawa, N.; Ohnishi, E.
CORPORATE SOURCE: Dep. Chem., Tokyo Inst. Technol., Tokyo, 152, Japan
SOURCE: Experientia (1988), 44(7), 623-5
CODEN: EXPEAM; ISSN: 0014-4754
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two novel ecdysteroid conjugates, 2,22-dideoxy-20-hydroxyecdysone 3-phosphate (I) and bombycosterol 3-phosphate (II), as well as 4 known ecdysteroid 22-phosphate esters, were isolated and characterized from the ovaries of the silkworm, B. mori.

IT **117176-37-1** **117176-38-2**
RL: BIOL (Biological study)
(of ovary, of silkworm)

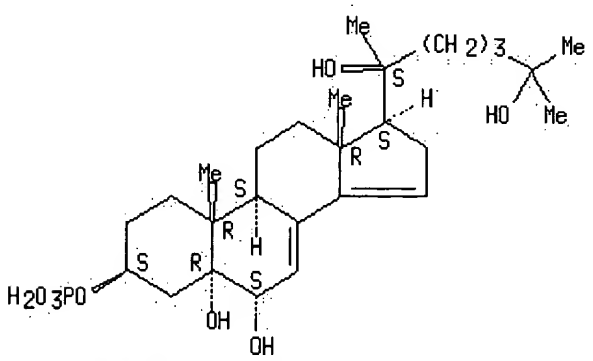
RN 117176-37-1 CAPLUS
CN Cholest-7-en-6-one, 14,20,25-trihydroxy-3-(phosphonooxy)-, (3β,5β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 117176-38-2 CAPLUS
CN Cholesta-7,14-diene-3,5,6,20,25-pentol, 3-(dihydrogen phosphate), (3β,5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



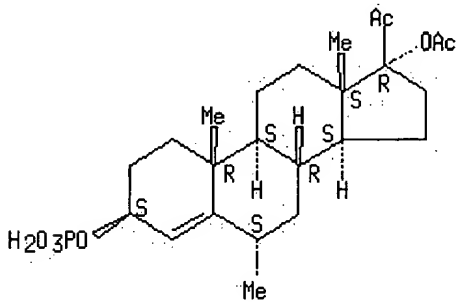
L6 ANSWER 26 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1988:486466 CAPLUS
DOCUMENT NUMBER: 109:86466
TITLE: Inhibition of granulocyte function by steroids is not

limited to corticoids. Studies with sex steroids
AUTHOR(S): Hammerschmidt, Dale E.; Knabe, Ann C.; Silberstein, Peter T.; Lamche, Herbert R.; Coppo, Patricia A.
CORPORATE SOURCE: Dep. Med., Univ. Hosp., Minneapolis, MN, 55455, USA
SOURCE: Inflammation (N. Y.) (1988), 12(3), 277-84
CODEN: INFLD4; ISSN: 0360-3997
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A nonspecific physicochem. effect of steroids on the cell membrane was tested by detg. the effects of 3 noncorticoid steroids on human granulocyte function. All 3 (conjugated equine estrogen, a synthetic progestogen, and a synthetic androgen) behaved in a manner analogous to corticoids at similar concns., inhibiting granulocyte aggregation, chemotaxis, and chemiluminescence, as well as binding to the granulocytes of the synthetic oligopeptide agonist formyl-Met-Leu-Phe. In addn. estrogen reduced granulocyte membrane fluidity as assessed by ESR. The unique effects of extremely high-dose corticosteroids are thus not mediated via the glucocorticoid receptor, but result rather from physicochem. effects of the drugs on the membranes of effector cells.
IT **24701-21-1**
RL: BIOL (Biological study)
(granulocyte function in humans inhibition by)
RN 24701-21-1 CAPLUS
CN Pregn-4-en-20-one, 17-(acetyloxy)-6-methyl-3-(phosphonooxy)-, disodium salt, (3β,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



#2 Na

L6 ANSWER 27 OF 70 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1988:148885 CAPLUS
DOCUMENT NUMBER: 108:148885
TITLE: Production of phosphate esters of steroids
INVENTOR(S): Sawada, Haruji; Watanuki, Masaaki; Mutai, Masahiko
PATENT ASSIGNEE(S): Yakult Honsha Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61280293	A2	19861210	JP 1985-121488	19850606

AB Esterification of steroids phosphate is catalyzed with Mortierella ramanniana. Thus, seed culture of M. ramanniana var. ramanniana Y2-1 was inoculated to 6 L medium (pH 7-7.5) contg. glucose 50, peptone 5, yeast

ext. 2, KH2PO4 1, K2HPO4 2, MgSO47H2O 0.5, and taurolithocholic acid 1 g, and CaCl2 10, FeSO4-7H2O 10, and thiamine-HCl 10 mg and cultured aerobically at 27.degree. for 5 days. The culture broth was cooled to 5.degree. and centrifuged. The supernatant was passed through a bed of Amberlite XAD-2 and the adsorbed material was eluted with MeOH. The ppt. was extd. with hot 70% MeOH, and the ext. was combined to the eluate. The combined ext. was concd. under vacuum and subjected to column chromatog. on Sephadex LH-20 and DEAE-Sephadex A-25 to yield 2.1 g cryst. Na taurolithocholic acid 3-phosphate.

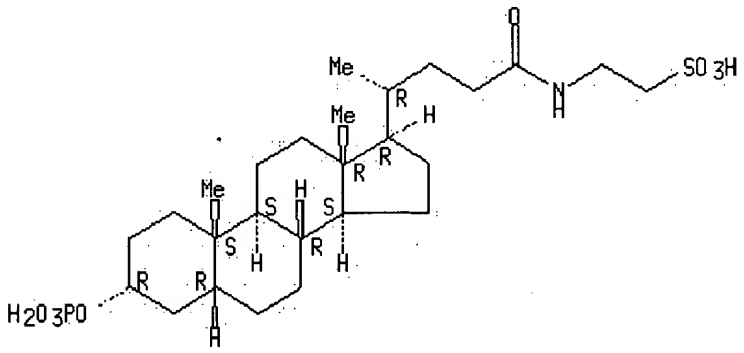
IT **113589-80-3P**

RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)
(manuf. of, from taurolithocholic acid, by esterification with Mortierella ramanniana ramanniana)

RN 113589-80-3 CAPLUS

CN Ethanesulfonic acid, 2-[[(3.alpha.,5.beta.)-24-oxo-3-(phosphonooxy)cholan-□ 24-yl]amino]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



x Na

L6 ANSWER 28 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1988:118708 CAPLUS
DOCUMENT NUMBER: 108:118708
TITLE: Niosome dispersion in an aqueous phase, for use in the cosmetic, food, and drug industry
INVENTOR(S): Handjani Vila, Rose Marie; Ribier, Alain; Vanlerberghe, Guy
PATENT ASSIGNEE(S): Oreal S. A. , Fr.
SOURCE: Ger. Offen., 11 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3713492	A1	19871029	DE 1987-3713492	19870422
DE 3713492	C2	19930121		
FR 2597346	A1	19871023	FR 1986-5777	19860422
FR 2597346	B1	19890818		
CA 1304996	A1	19920714	CA 1987-535103	19870421
GB 2189457	A1	19871028	GB 1987-9532	19870422
GB 2189457	B2	19900404		

AU 8771860	A1	19871029	AU 1987-71860	19870422
AU 590703	B2	19891109		
NL 8700957	A	19871116	NL 1987-957	19870422
JP 63023737	A2	19880201	JP 1987-97664	19870422
JP 05047258	B4	19930716		
ES 2003051	A6	19881001	ES 1987-1164	19870422
CH 672073	A	19891031	CH 1987-1546	19870422
BE 1005481	A4	19930810	BE 1987-435	19870422

PRIORITY APPLN. INFO.: FR 1986-5777 19860422

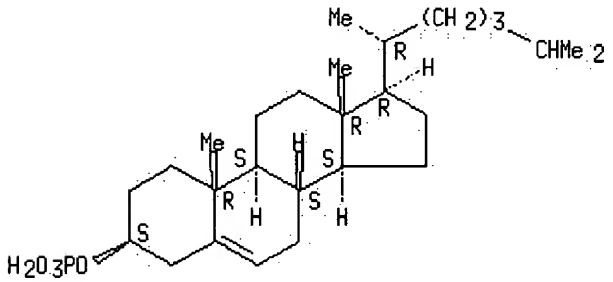
AB The niosomes consist of a lipid shell, or several concentric shells, that encapsulate a liq. phase. The niosomes are prepd. by adding 1-40% by wt. cholesterol phosphate to the niosome-forming lipids. A mixt. of 4 g nonionic amphiphilic lipid and 2 g cholesterol was heated at 110°, under N, followed by addn., at 90°, of 20 g water, 0.3 g Me p-hydroxybenzoate, 5 g glycerol and 25 g water, to give, after homogenization, a dispersion of 0.5 μ spherules. This dispersion was homogenized with 5 g almond oil and 10 g Cetiol LC to give a 1 μ spherule suspension. To this was added 0.4 g perfume, 0.4 g Carbopol 940, 0.4 g triethanolamine and 25 g water, to give a moisturizing cream, that was stable for ≥2 yr.

IT **4358-16-1**, Cholesterol phosphate **107745-49-3** **107745-53-9** **113170-87-9**
RL: BIOL (Biological study)
(in niosome dispersions, of drugs and cosmetics)

RN 4358-16-1 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

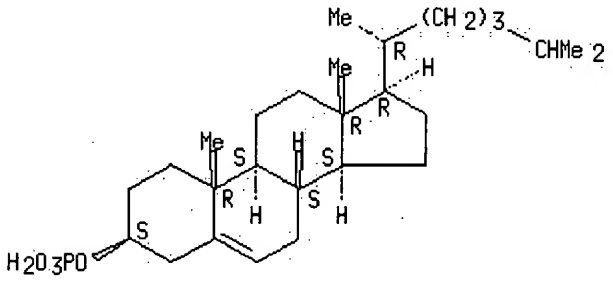
Absolute stereochemistry.



RN 107745-49-3 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

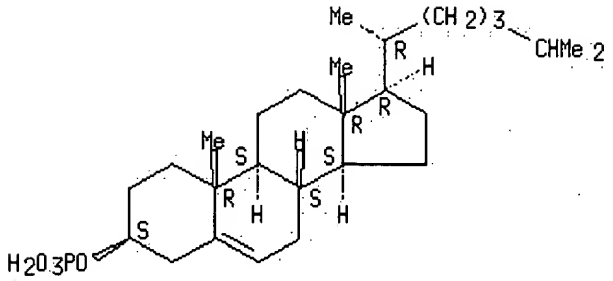


#x Na

RN 107745-53-9 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

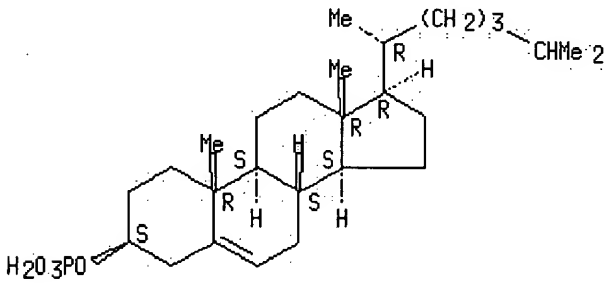


x K

RN 113170-87-9 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, ammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



x NH3

L6 ANSWER 29 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER:	1988:6287 CAPLUS
DOCUMENT NUMBER:	108:6287
TITLE:	Amino-substituted steroids having a variety of pharmacological activities, and processes for their preparation
INVENTOR(S):	McCall, John M.; Jacobsen, E. Jon; Van Doornik, Frederick J.; Palmer, John R.; Karnes, Harold A.
PATENT ASSIGNEE(S):	Upjohn Co., USA
SOURCE:	PCT Int. Appl., 169 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8701706	A2	19870326	WO 1986-US1797	19860828
WO 8701706	A3	19870716		
W: AU, DK, FI, JP, KR, NO, SU, US, US, US, US RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
IL 79702	A1	19920216	IL 1986-79702	19860812

IL 98007	A1	19920216	IL 1986-98007	19860812
ZA 8606097	A	19880330	ZA 1986-6097	19860813
CA 1308707	A1	19921013	CA 1986-516177	19860818
AU 8663356	A1	19870407	AU 1986-63356	19860828
AU 593284	B2	19900208		
EP 238545	A1	19870930	EP 1986-905605	19860828
EP 238545	B1	19951115		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 63500868	T2	19880331	JP 1986-504810	19860828
JP 05035158	B4	19930525		
AT 130307	E	19951215	AT 1986-905605	19860828
CN 86106226	A	19870318	CN 1986-106226	19860912
CN 1030319	B	19951122		
DK 8702375	A	19870511	DK 1987-2375	19870511
NO 8701930	A	19870511	NO 1987-1930	19870511
NO 176762	B	19950213		
NO 176762	C	19950531		
FI 8702107	A	19870512	FI 1987-2107	19870512
FI 94417	B	19950531		
FI 94417	C	19950911		
US 5099019	A	19920324	US 1988-229675	19880808
AU 8940806	A1	19891207	AU 1989-40806	19890825
AU 614661	B2	19910905		
AU 8940807	A1	19891207	AU 1989-40807	19890825
AU 614418	B2	19910829		
US 5175281	A	19921229	US 1991-749830	19910826
US 5322943	A	19940621	US 1991-749829	19910826
JP 05112597	A2	19930507	JP 1992-8428	19920121
US 35053	E	19951010	US 1992-959310	19921009
US 5268477	A	19931207	US 1992-977768	19921119
US 5380839	A	19950110	US 1992-983082	19921201
US 5380840	A	19950110	US 1992-983084	19921201
US 5380841	A	19950110	US 1992-984299	19921201
US 5382661	A	19950117	US 1992-984298	19921201
US 5506354	A	19960409	US 1992-984302	19921201

PRIORITY APPLN. INFO.:

US 1985-775204	19850912
US 1985-811058	19851219
US 1986-877287	19860623
US 1986-888231	19860729
IL 1986-79702	19860812
WO 1986-US1797	19860828
US 1987-121822	19870511
US 1988-227812	19880803
US 1988-229675	19880808
US 1991-749829	19910826
US 1991-749830	19910826

AB Numerous pregnane derivs. with amino-substituted sidechains were prepd. for use as various types of drugs. Aminolysis of 21-iodo-16α-methylpregna-1,4,9(11)-triene-3,20-dione with 4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)piperazine in MeCN contg. K2CO3 at 60° gave [[bis(pyrrolidino)pyrimidinyl]piperazinyl]pregnane deriv. I, which was converted to I.2MeSO3H (II). In the interleukin-1-induced T-cell proliferation assay, II gave 62% inhibition at 10-6 M, thereby demonstrating antiarthritic activity.

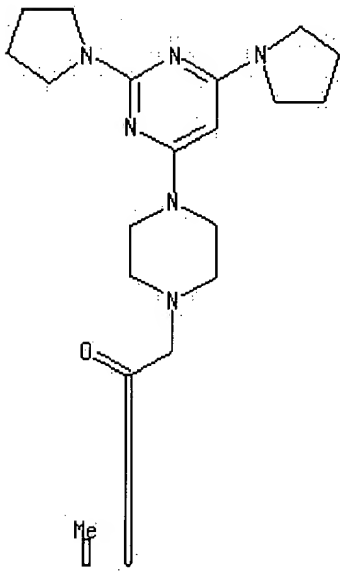
IT **111640-92-7P 111640-93-8P 111691-79-3P 111766-19-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

RN 111640-92-7 CAPLUS

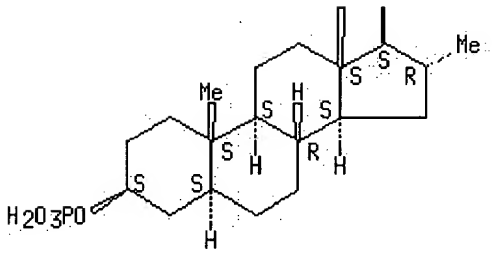
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3β,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



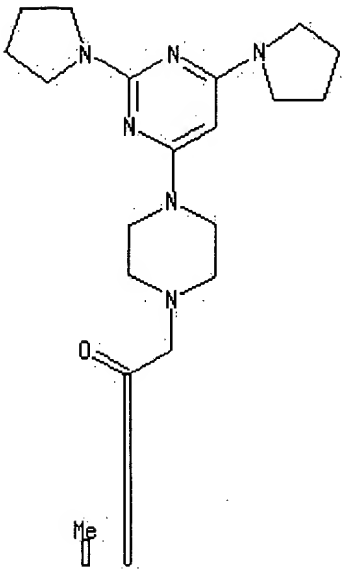
PAGE 2-A



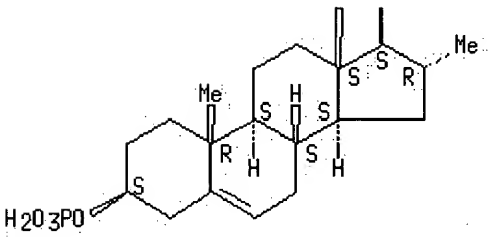
RN 111640-93-8 CAPLUS
CN Pregn-5-en-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



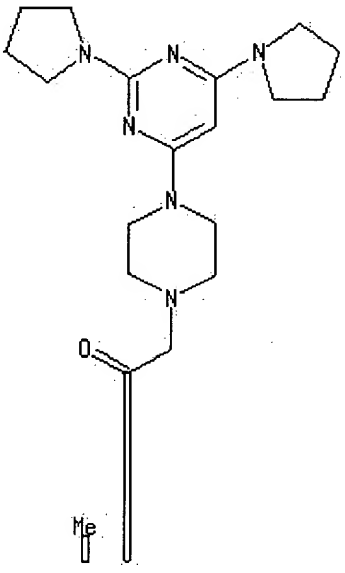
PAGE 2-A



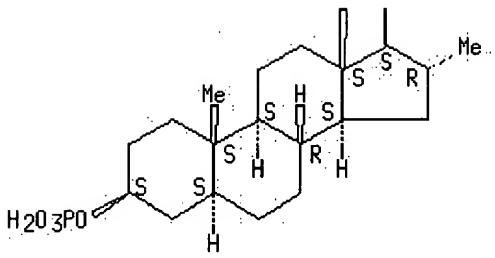
RN 111691-79-3 CAPLUS
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, dipotassium salt, (3β,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

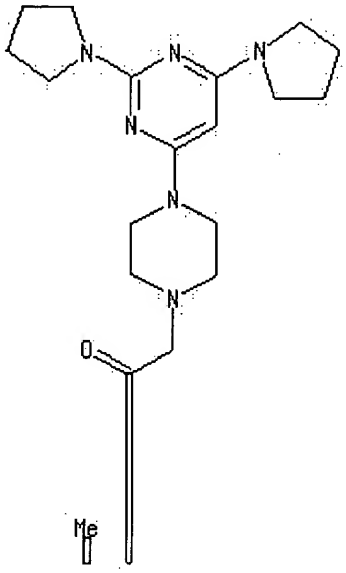


2 K

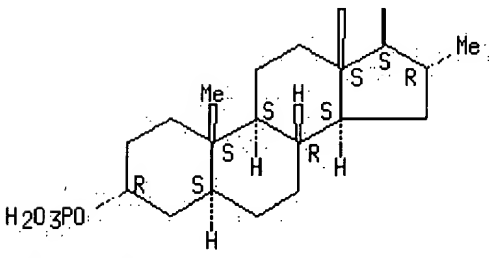
RN 111766-19-9 CAPLUS
CN Pregnan-20-one, 21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methyl-3-(phosphonooxy)-, (3α,5α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L6 ANSWER 30 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

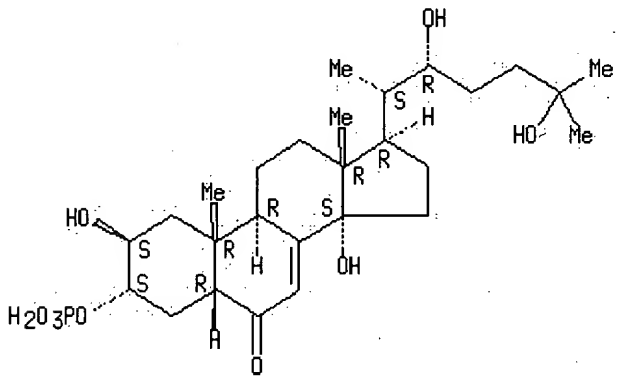
ACCESSION NUMBER: 1987:493881 CAPLUS
DOCUMENT NUMBER: 107:93881
TITLE: Long-term cholesterol labeling as a convenient means for measuring ecdysteroid production and catabolism in vivo: application to the last larval instar of Pieris brassicae
AUTHOR(S): Beydon, Philippe; Lafont, Rene
CORPORATE SOURCE: Lab. Zool., Ec. Norm. Super., Paris, 75230/05, Fr.
SOURCE: Arch. Insect Biochem. Physiol. (1987), 5(2), 139-54
CODEN: AIBPEA; ISSN: 0739-4462
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In vivo biosynthesis of ecdysteroids during the last larval instar of P. brassicae was investigated by administering [3H]cholesterol followed by HPLC anal. of the resulting 3H-labeled ecdysteroids. The demonstration that the specific activity of the ecdysteroids synthesized at a given time is always identical with that of cholesterol indicates that the cholesterol pool is uniformly labeled, and this allows easy calcn. of the amts. of ecdysteroids produced by animals. The total amt. of ecdysone produced throughout the last larval instar was 1.17 nmol/insect. This is >3-fold the maximal level of molting hormones (ecdysone + 20-hydroxyecdysone) reached during the instar (0.37 nmol/animal) because a high catabolic activity occurs at the beginning of the hormone prodn. period. Larvae thus differ from pupae, where catabolism is minimal when ecdysone synthesis takes place, resulting in a more economical system.

IT **107783-38-0** **107802-73-3**
RL: FORM (Formation, nonpreparative)
(formation of, by butterfly larva)

RN 107783-38-0 CAPLUS
CN Cholest-7-en-6-one, 2,14,22,25-tetrahydroxy-3-(phosphonooxy)-, (2β,3α,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 107802-73-3 CAPLUS
CN Cholest-7-en-6-one, 2,14,20,22,25-pentahydroxy-3-(phosphonooxy)-, (2β,3α,5β,22R)- (9CI) (CA INDEX NAME)

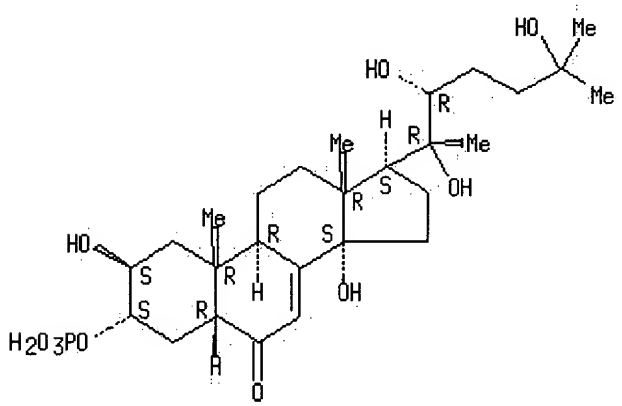
Absolute stereochemistry.

DOCUMENT TYPE: Journal
LANGUAGE: English

AB Ecdysone metab. in P. brassicae during the feeding last larval stage was investigated with 3H-labeled ecdysteroid injections followed by HPLC anal. of metabolites. Metabolites were generally identified by comigration with available refs. in different HPLC systems. Anal. of compds. for which no ref. was available required a large-scale prepn. and purifn. for their identification by 1H-NMR. The metabolic reactions affect the ecdysone mol. at C-3, C-20, and C-26, leading to mols. which are modified at 1, 2, or 3 of these positions. At C-20, hydroxylation leads to 20-hydroxyecdysteroids. At C-26, hydroxylation leads to 26-hydroxyecdysteroids which can be further converted into 26-oic acid derivs. (ecdysonoic acids) by oxidn. At C-3, there are several possibilities: there may be oxidn. into 3-dehydroecdysteroids, or epimerization possibly followed by phosphate conjugation. Thus, injected 20-hydroxyecdysone was converted principally into 20-hydroxyecdysonoic acid, 3-dehydro-20-hydroxyecdysone, and 3-epi-20-hydroxyecdysone 3-phosphate. Labeled ecdysone mainly gave the same metabolites doubled by a homologous series lacking the 20-hydroxyl group.

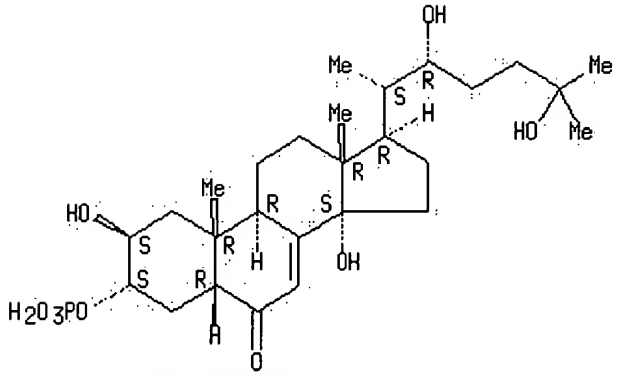
IT **107802-73-3**
RL: FORM (Formation, nonpreparative)
(formation of, by white cabbage butterfly larva in ecdysone and hydroxyecdysone metab.)
RN 107802-73-3 CAPLUS
CN Cholest-7-en-6-one, 2,14,20,22,25-pentahydroxy-3-(phosphonooxy)-, (2β,3α,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **107783-38-0**
RL: FORM (Formation, nonpreparative)
(formation of, by white cabbage butterfly larva in ecdysone metab.)
RN 107783-38-0 CAPLUS
CN Cholest-7-en-6-one, 2,14,22,25-tetrahydroxy-3-(phosphonooxy)-, (2β,3α,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 33 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1987:162394 CAPLUS
DOCUMENT NUMBER: 106:162394
TITLE: Emulsified cosmetics containing cholesterol and/or cholestanol phosphate ester salts and fatty acids
INVENTOR(S): Tsubone, Kazuyuki; Maeno, Kiyoshi
PATENT ASSIGNEE(S): Kanebo, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

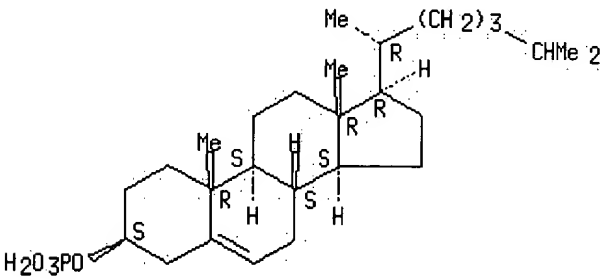
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61286308	A2	19861216	JP 1985-129006	19850613

AB A weakly acidic emulsified cosmetic contains long-chain fatty acids, an oil, H2O, a cholesterol phosphate salt and/or cholestanol phosphate salt. It is stable and not irritating to the skin. Thus, a face cream consists of polyoxyethylene hydrogenated castor oil 3, monoglyceryl stearate 3, olive oil 1, methylparaben 0.2, cholesterol phosphate K salt 25, stearic acid 3, myristic acid 3, and H2O to 100% by wt.

IT **4358-16-1D**, Cholesterol phosphate, salts **24352-57-6D**, salts **107745-49-3** **107745-50-6** **107745-51-7** **107745-52-8** **107745-53-9** **107783-13-1** **107783-14-2** **107783-15-3**
RL: BIOL (Biological study)
(cosmetic emulsions contg. fatty acids and)

RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

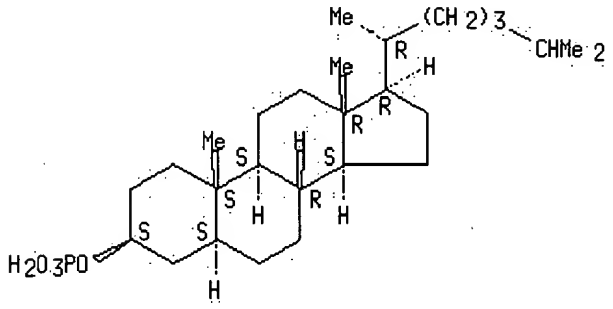
Absolute stereochemistry.



RN 24352-57-6 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, (3β,5α)- (9CI) (CA INDEX

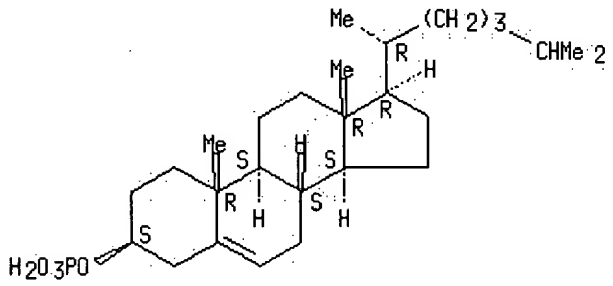
NAME)

Absolute stereochemistry.



RN 107745-49-3 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



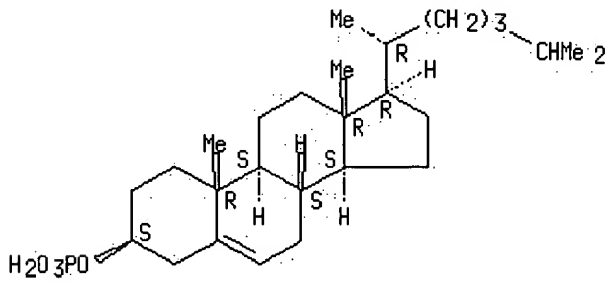
x Na

RN 107745-50-6 CAPLUS
CN L-Lysine, compd. with (3β)-cholest-5-en-3-yl dihydrogen phosphate (9CI) (CA INDEX NAME)

CM 1

CRN 4358-16-1
CMF C27 H47 O4 P
CDES 4:3B.CHOLEST

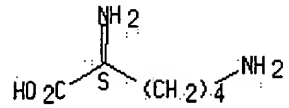
Absolute stereochemistry.



CM 2

CRN 56-87-1
CMF C6 H14 N2 O2
CDES 5:L

Absolute stereochemistry.

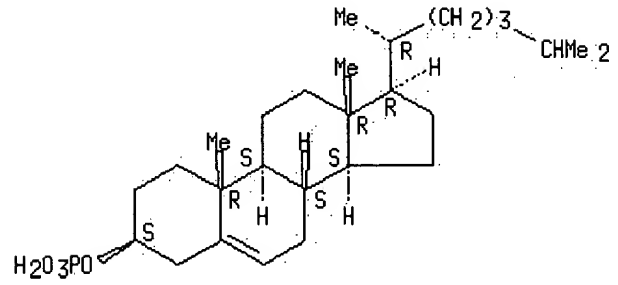


RN 107745-51-7 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, compd. with 2,2',2''-nitrilotris[ethanol] (9CI) (CA INDEX NAME)

CM 1

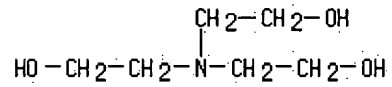
CRN 4358-16-1
CMF C27 H47 O4 P
CDES 4:3B.CHOLEST

Absolute stereochemistry.



CM 2

CRN 102-71-6
CMF C6 H15 N O3

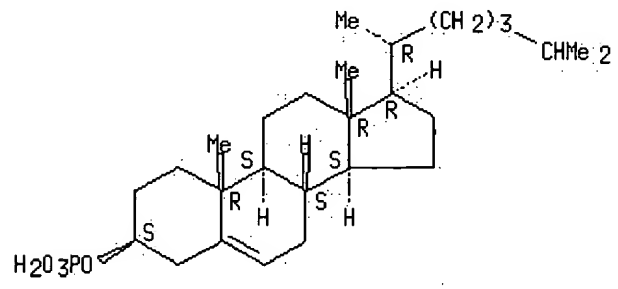


RN 107745-52-8 CAPLUS
CN D-Ornithine, compd. with (3β)-cholest-5-en-3-yl dihydrogen phosphate (9CI) (CA INDEX NAME)

CM 1

CRN 4358-16-1
CMF C27 H47 O4 P
CDES 4:3B.CHOLEST

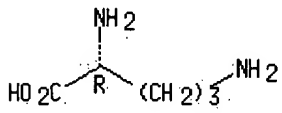
Absolute stereochemistry.



CM 2

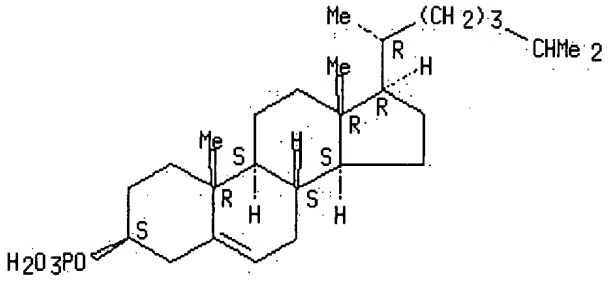
CRN 348-66-3
CMF C5 H12 N2 O2
CDES 5:D

Absolute stereochemistry.



RN 107745-53-9 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, potassium salt (9CI) (CA INDEX NAME)

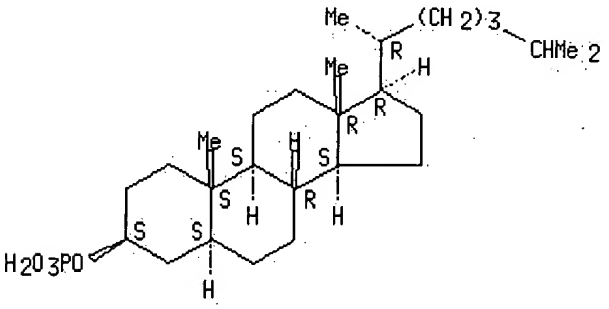
Absolute stereochemistry.



x K

RN 107783-13-1 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, sodium salt, (3β,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



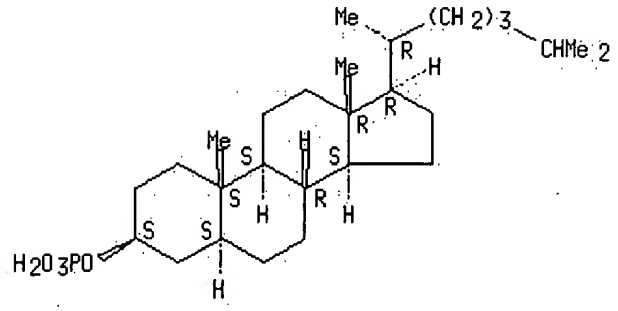
x Na

RN 107783-14-2 CAPLUS
CN L-Arginine, (3β,5α)-cholestan-3-yl hydrogen phosphate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 24352-57-6
CMF C27 H49 O4 P
CDES 4:3B,5A.CHOLEST

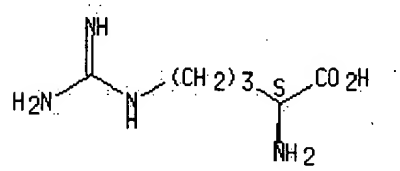
Absolute stereochemistry.



CM 2

CRN 74-79-3
CMF C6 H14 N4 O2
CDES 5:L

Absolute stereochemistry.

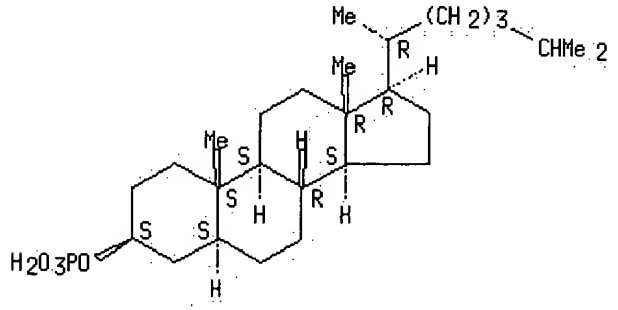


RN 107783-15-3 CAPLUS
CN L-Lysine, (3β,5α)-cholestan-3-yl hydrogen phosphate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 24352-57-6
CMF C27 H49 O4 P
CDES 4:3B,5A.CHOLEST

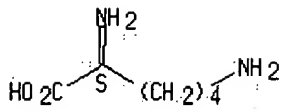
Absolute stereochemistry.



CM 2

CRN 56-87-1
CMF C6 H14 N2 O2
CDES 5:L

Absolute stereochemistry.



L6 ANSWER 34 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1987:102410 CAPLUS
DOCUMENT NUMBER: 106:102410
TITLE: Preparation of alkyl dihydrogen phosphates with monomeric metaphosphate anion generated by photochemical carbon-phosphorus bond cleavage of (p-nitrobenzyl)phosphonic acid
AUTHOR(S): Iwamoto, Narimasa; Okamoto, Yoshiki; Takamuku, Setsuo
CORPORATE SOURCE: Inst. Sci. Ind. Res., Osaka Univ., Ibaraki, 567, Japan
SOURCE: Bull. Chem. Soc. Jpn. (1986), 59(5), 1505-8
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:102410

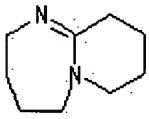
AB ROP(O)(OH)2 [R = Me, Et, CHMe2, Bu, CHMeEt, CMe3, (CH2)4Me, CH2CH2OH, PhCH2, cholesteryl, dodecyl, bornyl] were prepd. by a photochem. C-P bond cleavage of the p-nitrobenzylphosphonate dianion in the presence of DBU and ROH. The reaction probably involved generation of an intermediate metaphosphate anion.

IT **106872-93-9P**
RL: FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, from alc. and metaphosphate)

RN 106872-93-9 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, compd. with 2,3,4,5,7,8,9,10-octahydropyrido[1,2-a][1,3]diazepine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 106872-83-7
CMF C9 H16 N2



CM 2

CRN 4358-16-1
CMF C27 H47 O4 P
CDES 4:3B.CHOLEST

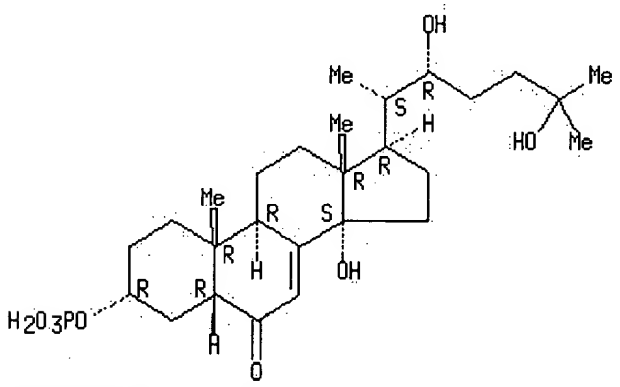
Absolute stereochemistry.

TITLE: Isolation and identification of ecdysteroid phosphates and acetylecdysteroid phosphates from developing eggs of the locust, Schistocerca gregaria
AUTHOR(S): Isaac, R. Elwyn; Rees, Huw H.
CORPORATE SOURCE: Dep. Biochem., Univ. Liverpool, Liverpool, L69 3BX, UK
SOURCE: Biochem. J. (1984), 221(2), 459-64
CODEN: BIJOAK; ISSN: 0306-3275
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Maturing eggs of S. gregaria contain a variety of ecdysteroid conjugates and metabolites, 4 of which were previously isolated from polar exts. and identified as ecdysonoic acid, 20-hydroxyecdysonoic acid, 3-acetylecdysone 2-phosphate, and ecdysone 2-phosphate. In the present study 8 addnl. ecdysteroids were isolated from similar late-stage eggs by HPLC. The 22-phosphate esters of ecdysone, 2-deoxyecdysone, 20-hydroxyecdysone, and 2-deoxy-20-hydroxyecdysone, all of which were first identified as ecdysteroid components of newly-laid eggs of S. gregaria, were identified by cochromatog. with authentic compds. and by physicochem. techniques. The remaining compds. were identified as 3-acetyl-20-hydroxyecdysone 2-phosphate, 3-epi-2-deoxyecdysone 3-phosphate, 3-acetylecdysone 22-phosphate, and 2-acetylecdysone 22-phosphate by fast atom bombardment mass spectrometry, 1H NMR spectroscopy, and anal. of the steroid moieties after enzymic hydrolysis. The latter 2 compds., after isolation, were susceptible to nonenzymic Ac migration and deacetylation to give mixts. of ecdysone 22-phosphate and its 2- and 3-acetate derivs. The possible role and significance of these ecdysteroid conjugates with respect to the control of hormone titers in insect eggs is discussed.

IT **82735-11-3**
RL: BIOL (Biological study)
(of embryo, of grasshopper)
RN 82735-11-3 CAPLUS
CN Cholest-7-en-6-one, 14,22,25-trihydroxy-3-(phosphonooxy)-, (3α,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 37 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

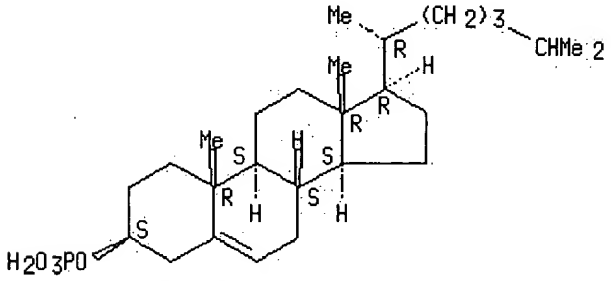
ACCESSION NUMBER: 1984:460803 CAPLUS
DOCUMENT NUMBER: 101:60803
TITLE: On the formation and structure of self-assembling monolayers. I. A comparative ATR-wettability study of Langmuir-Blodgett and adsorbed films on flat substrates and glass microbeads
AUTHOR(S): Maoz, Rivka; Sagiv, Jacob
CORPORATE SOURCE: Dep. Isot. Res., Weizmann Inst. Sci., Rehovot, 76100, Israel
SOURCE: J. Colloid Interface Sci. (1984), 100(2), 465-96

CODEN: JCISA5; ISSN: 0021-9797
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Organized oleophobic monolayers of several long chain compds. are steroid derivs. produced on flat solid substrates by spontaneous adsorption from org. solns. are compared with Langmuir-Blodgett (LB) monolayers transferred on identical substrates from the H2O-air interface. Quant. IR ATR and polarized ATR spectroscopy, and wettability measurements were used to correlate the various films and to det. their mol. d. and orientation, mode of film-to-surface binding, and other structural characteristics. Formation of oleophobic adsorbed monolayers on a model powder substrate (smooth glass microbeads) was also investigated. Irresp. of the mode of film-to-surface binding (ionic, covalent, or H bonding), and the nature of the substrate (Ge, Si, ZnSe, glass slides, glass microbeads), satn. of the adsorption leads in all studied systems to the formation of tightly packed and highly oriented monolayers, structurally equiv. to LB monolayers of same or similar compds. deposited on the bare surfaces of the resp. substrates. These findings are interpreted in terms of a cooperative surface process leading to aggregation of mols. into a characteristic monolayer phase. Significant structural differences may develop in LB build-up films thicker than 1 monolayer. A mechanism for the formation of covalently bonded silane monolayers is proposed.

IT **4358-16-1P**
RL: PREP (Preparation)
(adsorbed monolayers, formation and structure of)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 38 OF 70 CAPLUS COPYRIGHT 2001 ACS

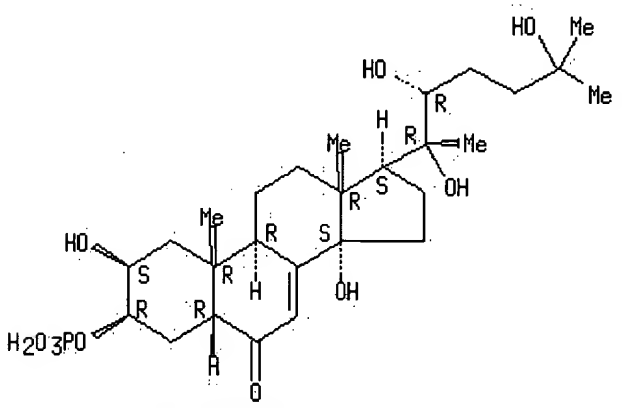
Full Text | Citing References

ACCESSION NUMBER: 1983:537069 CAPLUS
DOCUMENT NUMBER: 99:137069
TITLE: In vitro conversion of 20-hydroxyecdysone into phosphorylated and acetylated metabolites by digestive tract-and Malpighian tubule complexes from larvae of Locusta migratoria
AUTHOR(S): Tsoupras, Georges; Luu, Bang; Hetru, Charles; Muller, Jean Francois; Hoffmann, Jules
CORPORATE SOURCE: Lab. Biol. Gen., Univ. Louis-Pasteur, Strasbourg, 67000, Fr.
SOURCE: C. R. Seances Acad. Sci., Ser. 3 (1983), 296(1), 77-80
CODEN: CRSEDA
DOCUMENT TYPE: Journal
LANGUAGE: French

AB In vitro the digestive tract-Malpighian tubule complexes of last instar larvae of L. migratoria metabolized 20-hydroxyecdysone into 2 major conjugates. Enzymic hydrolysis, 1H NMR, and mass spectrometry identified these compds. as the 3- (or 2-) acetate 22 phosphate of 20-hydroxyecdysone and the 3- (or 2-) phosphate of 20-hyeroxyecdysone.

IT **87186-03-6**
RL: FORM (Formation, nonpreparative)
(formation of, from hydroxyecdysone by digestive tract-Malpighian tubule complex of grasshopper larvae)
RN 87186-03-6 CAPLUS
CN Cholest-7-en-6-one, 2,14,20,22,25-pentahydroxy-3-(phosphonooxy)-, (2β,3β,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 39 OF 70 CAPLUS COPYRIGHT 2001 ACS

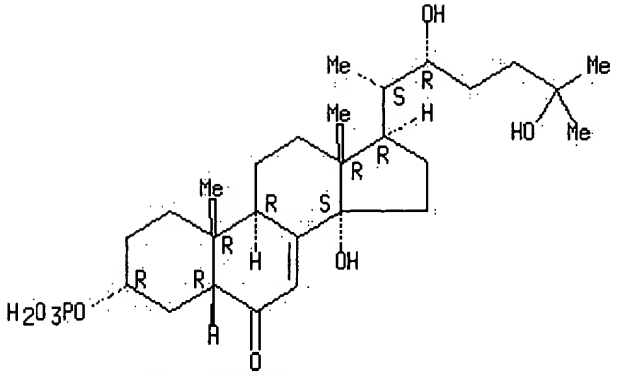
Full Text | Citing References

ACCESSION NUMBER: 1983:450675 CAPLUS
DOCUMENT NUMBER: 99:50675
TITLE: Identification and metabolic fate of ovarian 22-adenosine monophosphoric ester of 2-deoxyecdysone in ovaries and eggs of an insect, Locusta migratoria
AUTHOR(S): Tsoupras, Georges; Hetru, Charles; Luu, Bang; Constantin, Emilia; Lagueux, Marie; Hoffmann, Jules
CORPORATE SOURCE: Lab. Chim. Org. Subst. Nat., Univ. Louis Pasteur, Strasbourg, 67000, Fr.
SOURCE: Tetrahedron (1983), 39(10), 1789-96
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Newly laid eggs of L. migratoria contain as the major ecdysteroid conjugate donated by the female to its offspring the 22-adenosine monophosphoric ester of 2-deoxyecdysone. During embryonic development this conjugate is hydrolyzed to free 2-deoxyecdysone, which is subsequently metabolized to 3-dehydro-2-deoxyecdysone and 2-deoxy-3-epiecdysone. The latter substance is accumulated at late stages of development as a 3-phosphoric ester. 22-Phospho-2-deoxyecdysone also appears as embryonic development proceeds, either from partial hydrolysis of the maternal conjugate or from phosphorylation of free 2-deoxyecdysone.

IT **82735-11-3**
RL: FORM (Formation, nonpreparative)
(formation of, from deoxyecdysone adenylate by embryo of grasshopper)
RN 82735-11-3 CAPLUS
CN Cholest-7-en-6-one, 14,22,25-trihydroxy-3-(phosphonooxy)-, (3α,5β,22R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 40 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

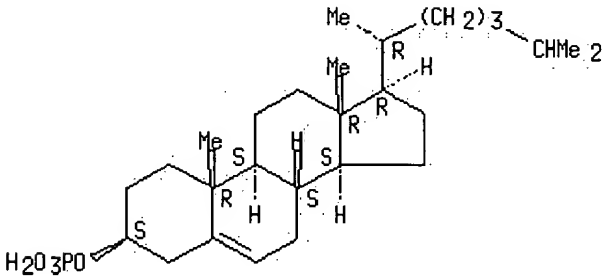
ACCESSION NUMBER: 1983:198582 CAPLUS
DOCUMENT NUMBER: 98:198582
TITLE: Synthesis of steroid phosphates via monomeric metaphosphate
AUTHOR(S): Ramirez, Fausto; Marecek, James F.; Yemul, Shrishailam S.
CORPORATE SOURCE: Dep. Chem., State Univ. New York, Stony Brook, NY, 11794, USA
SOURCE: J. Org. Chem. (1983), 48(9), 1417-20
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Steroid dihydrogen phosphate esters I, II, III, IV (R = Et), V (R = Me), and VI were prepd. by a procedure that involves the monomeric metaphosphate anion as an intermediate. The source of metaphosphate is a 1:2 M mixt. of PhCBr[P(O)(OH)2]CH2Br and (Me2CH)2NEt in 0.05 M CH2Cl2 at 20°. Yields of steroid hydrogen phosphates with one or two double bonds range from 65 to 75%. III can be isolated in pure state, although in lower yield (46%) by this procedure.

IT **4358-16-1P 24352-60-1P 84284-80-0P**
85135-01-9P 85135-02-0P 85135-03-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by phosphorylation with (phenyldibromoethyl)phosphonic acid)

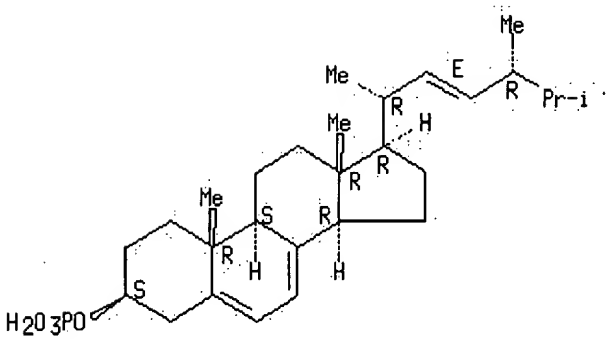
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 24352-60-1 CAPLUS
CN Ergosta-5,7,22-trien-3-ol, dihydrogen phosphate, (3β,22E)- (9CI) (CA INDEX NAME)

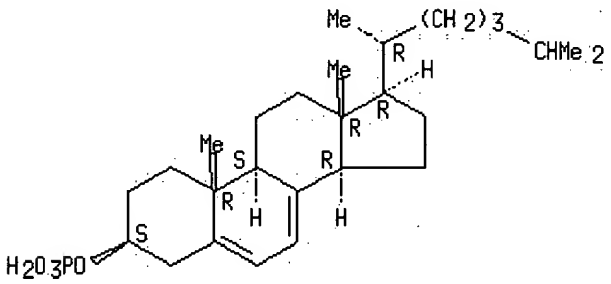
Absolute stereochemistry.
Double bond geometry as shown.



RN 84284-80-0 CAPLUS

CN Cholesta-5,7-dien-3-ol, dihydrogen phosphate, (3β)- (9CI) (CA INDEX NAME)

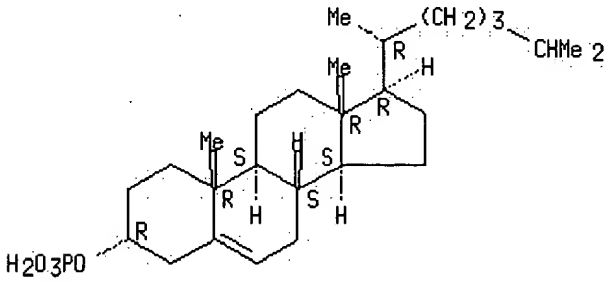
Absolute stereochemistry.



RN 85135-01-9 CAPLUS

CN Cholest-5-en-3-ol, dihydrogen phosphate, (3α)- (9CI) (CA INDEX NAME)

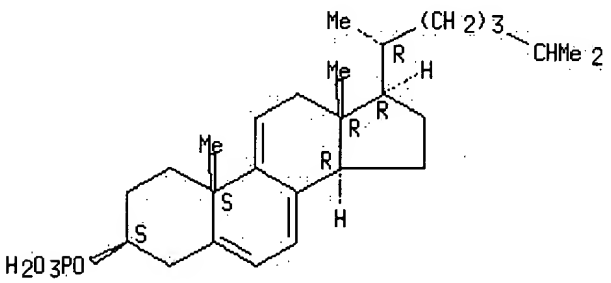
Absolute stereochemistry.



RN 85135-02-0 CAPLUS

CN Cholesta-5,7,9(11)-trien-3-ol, dihydrogen phosphate, (3β)- (9CI) (CA INDEX NAME)

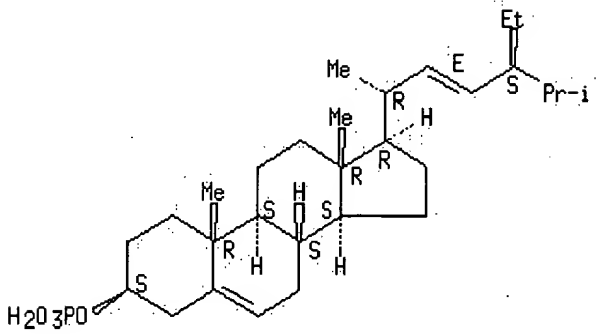
Absolute stereochemistry.



RN 85135-03-1 CAPLUS

CN Stigmasta-5,22-dien-3-ol, dihydrogen phosphate, (3β,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 41 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

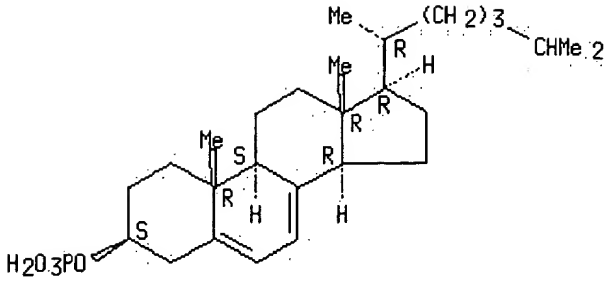
ACCESSION NUMBER: 1983:72549 CAPLUS
DOCUMENT NUMBER: 98:72549
TITLE: The crystal structure of cholesteryl dihydrogen phosphate
AUTHOR(S): Pascher, Irmin; Sundell, Staffan
CORPORATE SOURCE: Fac. Med., Univ. Goeteborg, Goeteborg, S-400 33, Swed.
SOURCE: Chem. Phys. Lipids (1982), 31(2), 129-43
CODEN: CPLIA4; ISSN: 0009-3084
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Crystals of cholesteryl dihydrogen phosphate grown from dioxane are monoclinic. The asym. unit contains two mols. of cholesteryl phosphate (CP) and one dioxane mol. The CP mols. pack tail to tail in a bilayer structure. Within the layer they are arranged in double rows with their phosphate groups linked to ribbons by hydrogen bonds. Laterally the double strands of phosphate groups are sepd. by rows of dioxane mols. The dioxane serves as hydrogen bond acceptor and as a spacer mol. that compensates the differences in cross-sectional area of the cholesteryl residue and the phosphate group. In the cholesterol matrix the CP mols. joined to double rows have packing contact with the smooth side of their skeleta and interdigitate with their annular Me groups with those of mols. of the adjacent double rows. The branched cholesteryl side chains facing the bilayer center are loosely packed and show considerable disorder and/or thermal motion.

IT **4358-16-1**
RL: PRP (Properties)
(crystal structure of)

RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

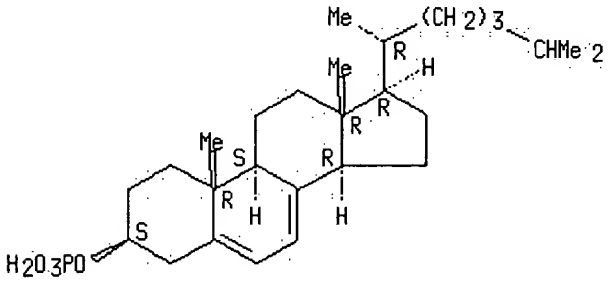
Absolute stereochemistry.



2 Na

RN 84284-88-8 CAPLUS
CN Cholesta-5,7-dien-3-ol, dihydrogen phosphate, barium salt (1:1), (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Ba

L6 ANSWER 43 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1982:524450 CAPLUS
DOCUMENT NUMBER: 97:124450
TITLE: The major conjugates of ecdysteroids in young eggs and in embryos of Locusta migratoria
AUTHOR(S): Tsoupras, G.; Hetru, C.; Luu, B.; Lagueux, M.; Constantin, E.; Hoffman, J. A.
CORPORATE SOURCE: Lab. Chim. Org. Subst. Nat., Univ. Louis Pasteur, Strasbourg, 67084, Fr.
SOURCE: Tetrahedron Lett. (1982), 23(19), 2045-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The major ecdysteroid conjugate I (R = β-OH, R1 = Q) (II) was isolated from newly-laid eggs of L. migratoria, and its structure was detd. by std. spectral methods and enzymic hydrolysis. II is donated to the offspring by the female. In 8 day old embryos, the major ecdysteroid was the deoxyecdysone phosphate I [R = α-OP(O)(OH)O-Tris H+, R1 = H] together with ecdysteroid I (R = α-OH, R1 = H), the structures of which were detd. by spectral methods.

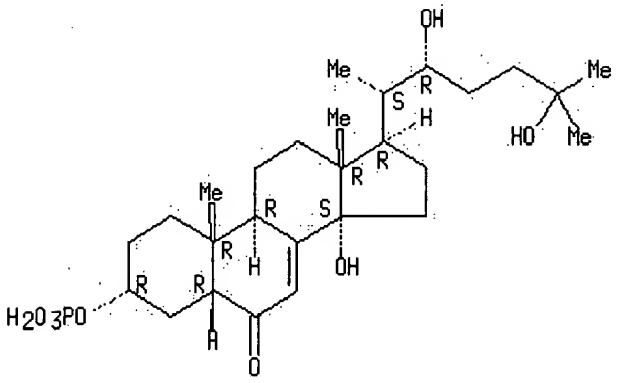
IT **82735-12-4**
RL: BIOL (Biological study)
(of migratory locust embryo, mol. structure of)
RN 82735-12-4 CAPLUS
CN Cholest-7-en-6-one, 14,22,25-trihydroxy-3-(phosphonooxy)-, (3α,5β,22R)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-

propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

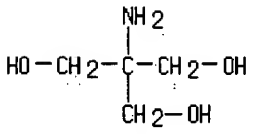
CRN 82735-11-3
CMF C27 H45 O8 P
CDES 4:3A,5B,22R.CHOLEST

Absolute stereochemistry.



CM 2

CRN 77-86-1
CMF C4 H11 N O3



L6 ANSWER 44 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

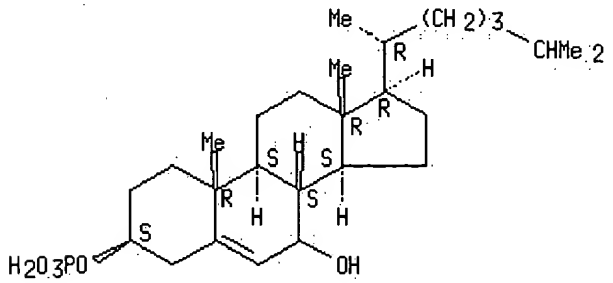
ACCESSION NUMBER: 1982:143177 CAPLUS
DOCUMENT NUMBER: 96:143177
TITLE: Water-soluble disodium cholesterylphosphates
PATENT ASSIGNEE(S): Green Cross Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56113800	A2	19810907	JP 1980-17092	19800214

AB Stirring 5.2 g 7-ketocholesterol (I; R = H) with 8 mL tetrachloropyrophosphoric acid in Et2O 20 min with ice cooling gave 5.12 g I [R = Cl2P(O)], which (577 mg) was stirred in dioxane contg. 5% H2O 3 h at room temp. (30°) to give 400 mg I [R = P(O)(OH)2] (II), which was treated with 52 mg NaHCO3 in aq. MeOH at <25° to give 280 mg II di-Na salt (III). Stirring 250 mg III with 50 mg NaBH4 in MeOH 1 h at room temp. gave, after addn. of 155 mg Ca(OAc)2, 185 mg hydroxycholesterol H3PO4 ester IV Ca salt, which (170 mg) was freed by passing over Amberlite IR-120 (H+) and treated with NaHCO3 to give 150 mg IV di-Na salt (V). III and V had immunosuppressive activity (no data).

IT **81305-12-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and immunosuppressive activity of)
RN 81305-12-6 CAPLUS
CN Cholest-5-ene-3,7-diol, 3-(dihydrogen phosphate), disodium salt, (3β)- (9CI) (CA INDEX NAME)

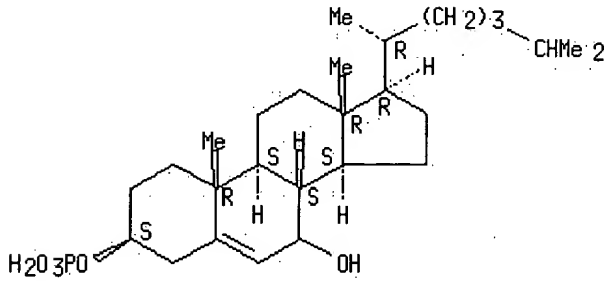
Absolute stereochemistry.



2 Na

IT **81305-11-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and ion exchange of)
RN 81305-11-5 CAPLUS
CN Cholest-5-ene-3,7-diol, 3-(dihydrogen phosphate), calcium salt (1:1), (3β)- (9CI) (CA INDEX NAME)

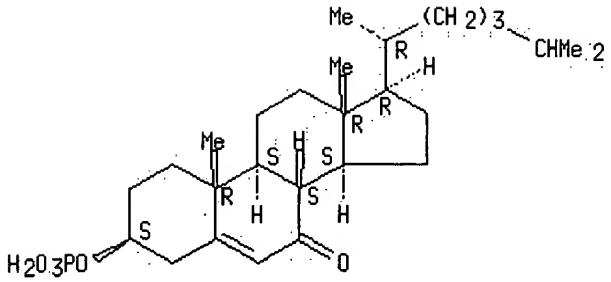
Absolute stereochemistry.



Ca

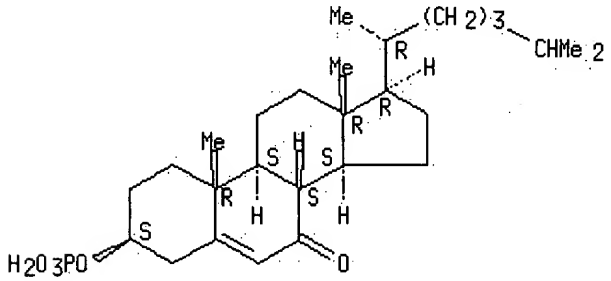
IT **81305-09-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and neutralization of)
RN 81305-09-1 CAPLUS
CN Cholest-5-en-7-one, 3-(phosphonooxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **81305-10-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. and immunosuppressive activity of)
RN 81305-10-4 CAPLUS
CN Cholest-5-en-7-one, 3-(phosphonooxy)-, disodium salt, (3β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



2 Na

L6 ANSWER 45 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

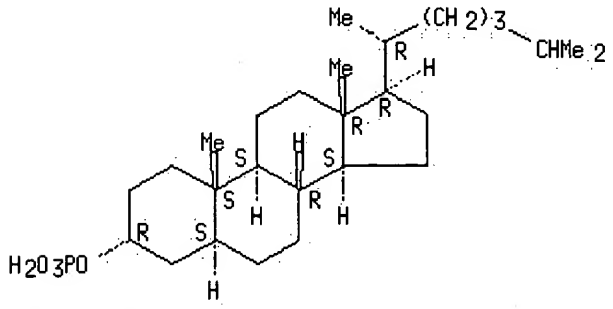
ACCESSION NUMBER: 1982:46230 CAPLUS
DOCUMENT NUMBER: 96:46230
TITLE: Stimulatory effect of 3α-cholestanyl phosphate on the experimental wound healing of rats
AUTHOR(S): Ezaki, Nobuhisa; Mori, Yo; Kameyama, Shoji; Yoshino, Kazuhiro; Shinbo, Masafu
CORPORATE SOURCE: Tokyo Coll. Pharm., Hachioji, 192-03, Japan
SOURCE: Oyo Yakuri (1980), 20(2), 349-59
CODEN: OYYAA2; ISSN: 0369-8033
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB 3α-cholestanol (Ep) [516-95-0] and 3α-cholestanyl phosphate (Ep-P)(I) [**80401-00-9**] were tested for their effect on the wound healing in rats. The tensile strength of skin linear wounds in rats after treatment with these drugs was increased as compared with that of the control group. These compds. promoted the proliferation of fibroblasts and regeneration of epidermis in the burned cheek pouch tissue of hamsters. Although the administration of β-aminopropionitrile (βAPN) caused a marked decrease in the tensile strength, the tensile strength was significantly increased when Ep-P and βAPN were administered together. However, a decrease in collagen soly. in the Ep-P-βAPN treated group did not occur, suggesting that Ep-P did not antagonize the inhibition of collagen crosslinking. Ep-P was s.c. injected daily for 5 days beginning on the day of carrageenan injection. This drug promoted the formation of granuloma and increased the total

content of acidic glycosaminoglycan. The incorporation of 3H-proline into collagen and noncollagenous protein in skin was increase by treatment with these drugs.

IT **57700-45-5**
RL: BIOL (Biological study)
(wound healing stimulation by)
RN 57700-45-5 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, (3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 46 OF 70 CAPLUS COPYRIGHT 2001 ACS

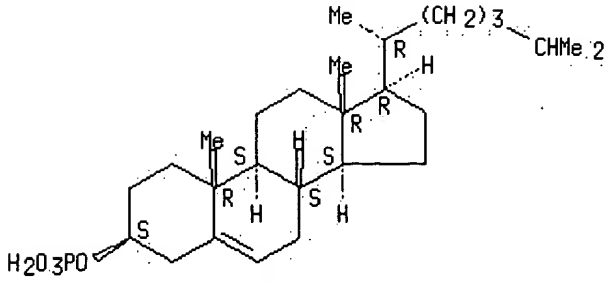
Full Text | Citing References

ACCESSION NUMBER: 1982:30317 CAPLUS
DOCUMENT NUMBER: 96:30317
TITLE: Cholesterylphosphate incorporation in egg phosphatidylcholine vesicles: gel chromatography, and fluorescence polarization studies
AUTHOR(S): Colombat, A.; Motta, C.; Jouanel, P.; Greil, J. D.; Panouse-Perrin, J.; Dastugue, B.; Delattre, J.
CORPORATE SOURCE: Lab. Chim. Biol. Pharmacodynamie, Fac. Pharm., Clermont-Ferrand, 63001, Fr.
SOURCE: Biochimie (1981), 63(10), 795-8
CODEN: BICMBE; ISSN: 0300-9084
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Gel filtration and fluorescence polarization studies on the incorporation of the hydrophilic ester cholesterylphosphate (I) into phosphatidylcholine (PC) vesicles showed that I combines the effects of both a charged amphiphile and cholesterol on phospholipid vesicle properties. Similar to diacetylphosphate, I incorporation acted to repel the PC bilayers by modifying the surface charge. This led to an increase in the vol. of the liposome aq. phase and a dramatic enhancement of glucose entrapment. Like cholesterol incorporation, I increased the phospholipid microviscosity and, hence, the stability of the liposome by increasing the degree of ordering of the PC backbone. This increase was linear with increasing temp. (18-48°). Both effects were accentuated with increasing molar ratios of I/PC (0.1-1.0). These studies are of application to the entrapment of water-sol. drugs by liposomes and to liposome stability.

IT **4358-16-1**
RL: BIOL (Biological study)
(phosphatidylcholine liposome microviscosity and aq. solute entrapment enhancement by)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 47 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

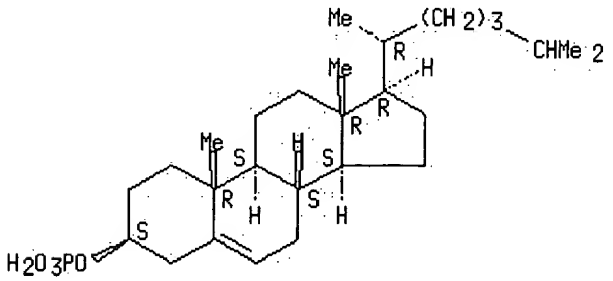
ACCESSION NUMBER: 1982:11614 CAPLUS
DOCUMENT NUMBER: 96:11614
TITLE: Comparative study of the encapsulation in liposomes of nitrosoureas
AUTHOR(S): Vasson, M. P.; Colombat, A.; Madelmont, J. C.; Moreau, M. F.; Godeneche, D.; Delattre, J.
CORPORATE SOURCE: Lab. Chim. Biol. Pharmacodyn., Unites Enseign. Rech., Clermont-Ferrand, 63000, Fr.
SOURCE: C.-R. - Congr. Eur. Biopharm. Pharmacocinet., 1st (1981), Volume 1, 513-19. Editor(s): Aiache, J. M.; Hirtz, J. Tech. Documentation: Paris, Fr.
CODEN: 46QKA2
DOCUMENT TYPE: Conference
LANGUAGE: French

AB 1-(2-chloroethyl)-3-[1-(5'-p-nitrobenzoyl-2',3'-isopropylidene)-α,β-D-ribofuranosyl]-1-nitrosourea (I) [55102-44-8], incorporation into liposomes depended on the structure of the nitrosourea and on the compn. of the liposome. The presence of the p-nitrobenzoyl group favored this incorporation, i.e. 1-(2-chloroethyl)-3-cyclohexyl-1-nitrosourea [13010-47-4] and 1-(2-chloroethyl)-3-(2',3',4'-triacetyl)ribopyranosyl-1-nitrosourea [55102-43-7] could not be incorporated into the phospholipid bilayers. The most favorable liposome compn. for nitrosoureas incorporation consisted of a 2:1 dipalmitoylphosphatidylcholine [2644-64-6]-cholesterol [57-88-5] mixt.; with this mixt. I incorporation was 62%.

IT **4358-16-1**
RL: USES (Uses)
(liposomes contg., nitrosoureas encapsulation in relation to)

RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

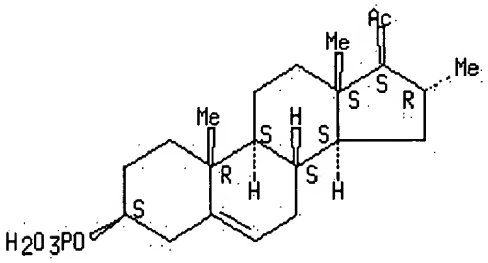


L6 ANSWER 48 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

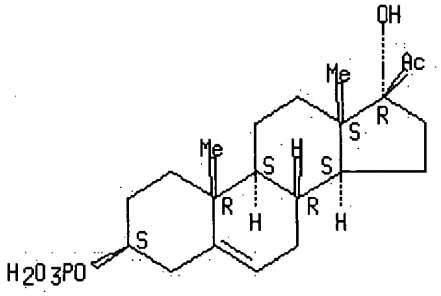
75867-28-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hormonal activity of)
RN 75867-22-0 CAPLUS
CN Pregn-5-en-20-one, 16-methyl-3-(phosphonooxy)-, (3β,16α)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 75867-24-2 CAPLUS
CN Pregn-5-en-20-one, 17-hydroxy-3-(phosphonooxy)-, disodium salt, (3β)-
(9CI) (CA INDEX NAME)

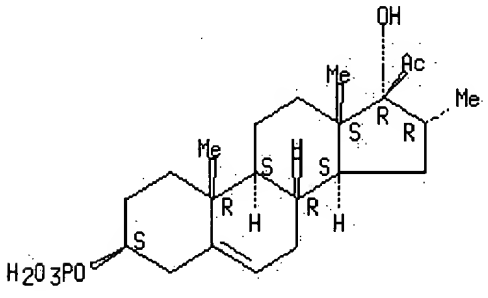
Absolute stereochemistry.



2 Na

RN 75867-26-4 CAPLUS
CN Pregn-5-en-20-one, 17-hydroxy-16-methyl-3-(phosphonooxy)-, disodium salt,
(3β,16α)- (9CI) (CA INDEX NAME)

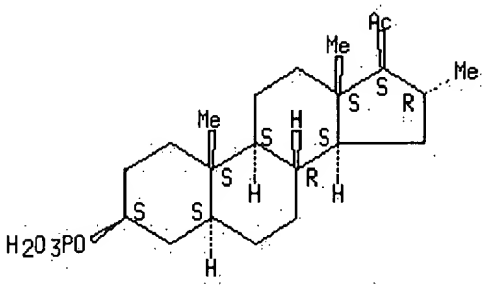
Absolute stereochemistry.



2 Na

RN 75867-28-6 CAPLUS
CN Pregnan-20-one, 16-methyl-3-(phosphonooxy)-, disodium salt,
(3β,5α,16α)- (9CI) (CA INDEX NAME)

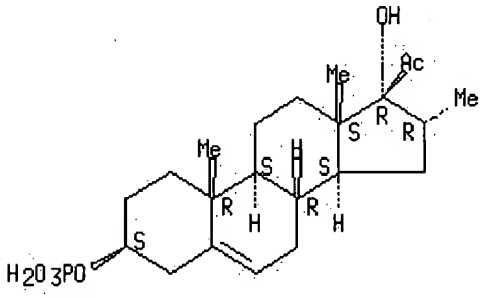
Absolute stereochemistry.



2 Na

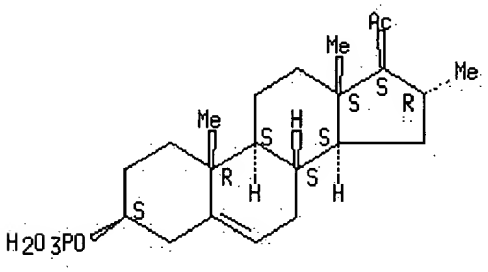
IT **75867-25-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and thymolytic and antiinflammatory activities of)
RN 75867-25-3 CAPLUS
CN Pregn-5-en-20-one, 17-hydroxy-16-methyl-3-(phosphonooxy)-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **75867-23-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and thymolytic and antiinflammatory activity of)
RN 75867-23-1 CAPLUS
CN Pregn-5-en-20-one, 16-methyl-3-(phosphonooxy)-, disodium salt, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

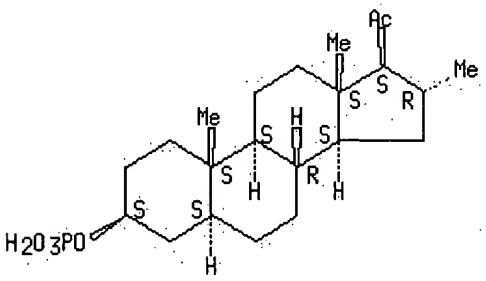


2 Na

IT **75867-27-5P** **75883-02-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 75867-27-5 CAPLUS
CN Pregnan-20-one, 16-methyl-3-(phosphonooxy)-, (3β,5α,16α)-

(9CI) (CA INDEX NAME)

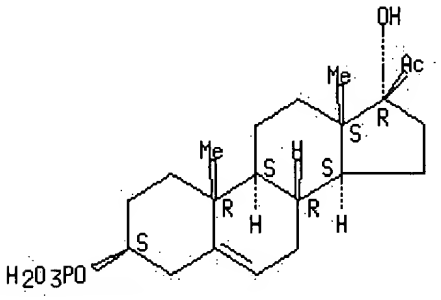
Absolute stereochemistry.



RN 75883-02-2 CAPLUS

CN Pregn-5-en-20-one, 17-hydroxy-3-(phosphonooxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 50 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1979:519402 CAPLUS
DOCUMENT NUMBER: 91:119402
TITLE: Side chain cleavage of some cholesterol esters
AUTHOR(S): Gasparini, Frank; Wolfson, Adele; Hochberg, Richard; Lieberman, Seymour
CORPORATE SOURCE: Coll. Physicians Surg., Columbia Univ., New York, NY, 10032, USA
SOURCE: J. Biol. Chem. (1979), 254(14), 6650-6
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

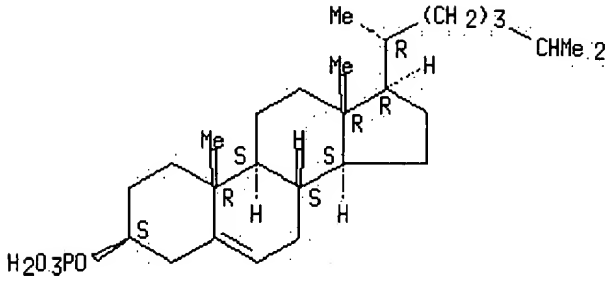
AB The side chain of cholesterol sulfate is cleaved by the cleavage enzyme system present in bovine adrenal mitochondria without prior hydrolysis of the sulfate moiety. Other inorg. esters as well as some org. esters of cholesterol were tested as substrates for this enzyme system. Cholesterol nitrate, cholesterol phosphate, and a series of acyl esters of cholesterol can also be cleaved by the enzyme system to their resp. pregnenolone derivs. without first being hydrolyzed to cholesterol. The rate of oxidn. of the carboxylic acid esters decreased as the size of the acyl groups increased. Cholesterol stearate and cholesterol phosphate were inhibitors of the side chain cleavage of cholesterol. Whereas digitonin inhibits the cleavage of cholesterol, it accelerates the oxidn. of both cholesterol sulfate and cholesterol nitrate. The results support the previously proposed hypothesis that >1 cholesterol side chain cleavage enzyme system exists in adrenal mitochondria.

IT **4358-16-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with cholesterol ester side chain cleaving enzyme system of adrenal mitochondria)

RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 51 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

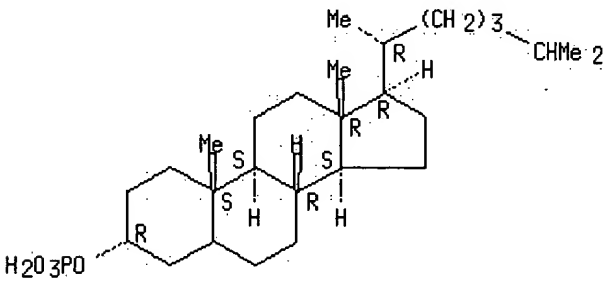
ACCESSION NUMBER: 1979:115185 CAPLUS
DOCUMENT NUMBER: 90:115185
TITLE: Toxicological studies of α-cholestanyl phosphate. 2. Effects of α-cholestanyl phosphate administered orally to pregnant mice upon pre- and post-natal development of their offspring
AUTHOR(S): Shinbo, Masafu; Kudo, Toshihiro; Suzaki, Kazuhiko; Yoshino, Kazuhiro; Nabeshima, Junzo; Haresaku, Mitsuru
CORPORATE SOURCE: Basic Res. Lab., Lion Dentifrice Co., Ltd., Kanagawa, Japan
SOURCE: Oyo Yakuri (1978), 16(3), 529-38
CODEN: OYYAA2; ISSN: 0369-8033
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB α-Cholestanyl phosphate (I) [**69260-88-4**] (5000-1200 mg/kg/day) administered to mice using a gastric tube from day 7 to 14 of gestation had no significant effects on fetal wt. and skeletal development and the growth of the newborns.

IT **69260-88-4**
RL: BIOL (Biological study)
(embryo and newborn development in response to)

RN 69260-88-4 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, monosodium salt, (3α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Na

L6 ANSWER 52 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1979:109993 CAPLUS
DOCUMENT NUMBER: 90:109993
TITLE: Anticholesteremics and hypolipemics
INVENTOR(S): Kudo, Toshihiro; Yoshino, Kazuhiro; Suzaki, Kazuhiko
PATENT ASSIGNEE(S): Lion Dentifrice Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

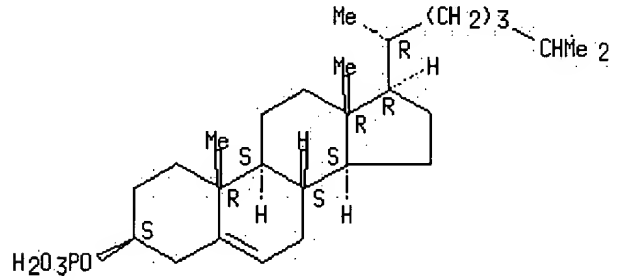
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53133638	A2	19781121	JP 1977-47122	19770423

AB Anticholesteremics and hypolipemics comprise cholesterol phosphate (I phosphate) [**4358-16-1**] or its salts as active ingredient. Thus, capsules were prepd. contg. I phosphate 1.0, and starch 1.0 g. The effectiveness of the active ingredient was tested and confirmed in rats.

IT **4358-16-1** **69442-89-3** **69442-90-6**
RL: BIOL (Biological study)
(anticholesteremic and hypolipemic compns. contg.)

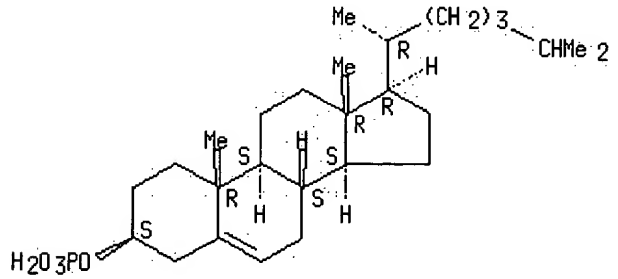
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 69442-89-3 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, monosodium salt (9CI) (CA INDEX NAME)

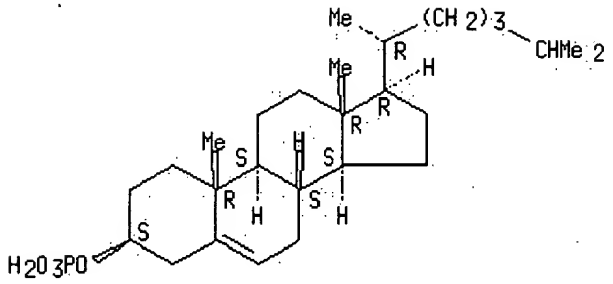
Absolute stereochemistry.



Na

RN 69442-90-6 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, monopotassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



K

L6 ANSWER 53 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1979:109992 CAPLUS
DOCUMENT NUMBER: 90:109992
TITLE: Anticholesteremic and hypolipemics
INVENTOR(S): Yoshino, Kazuhiro; Suzaki, Kazuhiko; Kudo, Toshihiro
PATENT ASSIGNEE(S): Lion Dentifrice Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

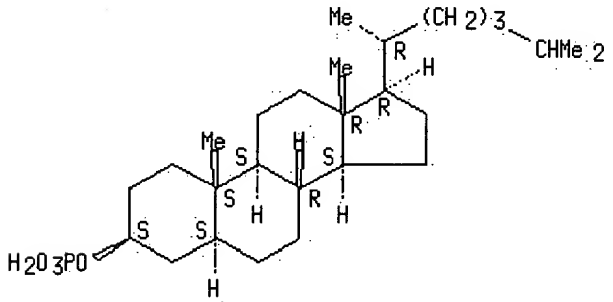
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53133639	A2	19781121	JP 1977-47123	19770423

AB Anticholesteremic and hypolipemic contain cholestanol phosphate [**24352-57-6**] or its salts as active ingredient. Thus, tablets were prepd. contg. cholestanol phosphate 1.0, starch 0.03, lactose 0.16, and Mg stearate 0.01 g.

IT **24352-57-6** **65242-47-9**
RL: BIOL (Biological study)
(anticholesteremic and hypolipemic compns. contg.)

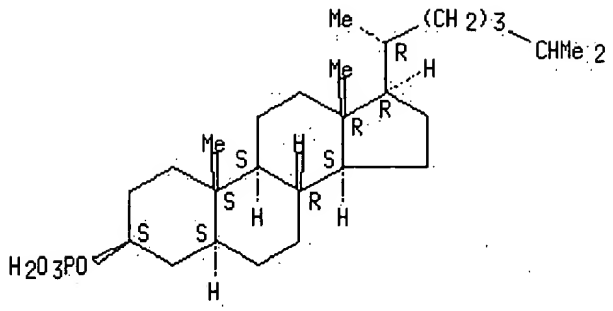
RN 24352-57-6 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, (3β,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 65242-47-9 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, monosodium salt, (3β,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Na

L6 ANSWER 54 OF 70 CAPLUS COPYRIGHT 2001 ACS

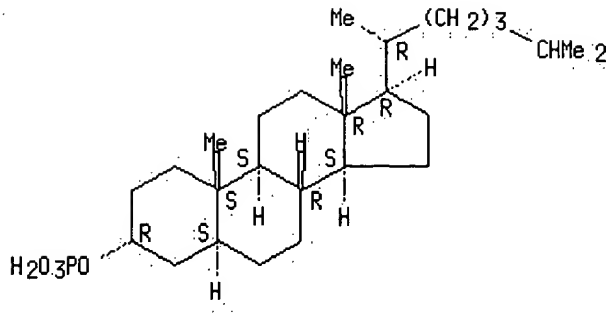
Full Text | Citing References

ACCESSION NUMBER: 1979:81034 CAPLUS
DOCUMENT NUMBER: 90:81034
TITLE: Toxicological studies of α-cholestanyl phosphate. 1. Acute and subacute toxicity studies of α-cholestanyl phosphate in rats
AUTHOR(S): Shinbo, Masafu; Kudo, Toshihiro; Suzaki, Kazuhiko; Yoshino, Kazuhiro; Nabeshima, Junzo; Tomono, Shiro; Iwasawa, Toshie; Sato, Ryuichi; Sato, Hiroshi
CORPORATE SOURCE: Basic Res. Lab., Lion Dentifrice Co., Ltd., Kanagawa, Japan
SOURCE: Oyo Yakuri (1978), 16(3), 521-7
CODEN: OYYAA2; ISSN: 0369-8033
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB The acute toxicity of Na 3α-cholestanyl phosphate (I) [**65242-46-8**] was low; the LD50's of I in rats and mice were higher than the max. amt. that could be fed, (20,000 and 12,000 mg/kg, suspended in 3% gum arabic). The mice showed no pathol. abnormalities in internal organs, whereas some rats had slightly enlarged suprarenal glands and testicles. A significant decrease in body wt. gain was obsd. in male rats receiving 2500 and 5000 mg I/kg/day for 13 wk, but not in females. The blood level of Cl was dose-dependently increased in males, whereas that of total protein, albumin, and cholesterol was slightly decreased in females. No histol. abnormalities were obsd. in all organs examd.

IT **65242-46-8**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (toxicity of)
RN 65242-46-8 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, monosodium salt, (3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Na

L6 ANSWER 55 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1979:43826 CAPLUS
DOCUMENT NUMBER: 90:43826
TITLE: Anticholesteremic and hypolipemic pharmaceuticals containing epicholestanol phosphates
INVENTOR(S): Suzaki, Kazuhiko; Kudo, Toshihiro; Yoshino, Kazuhiro
PATENT ASSIGNEE(S): Lion Dentifrice Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

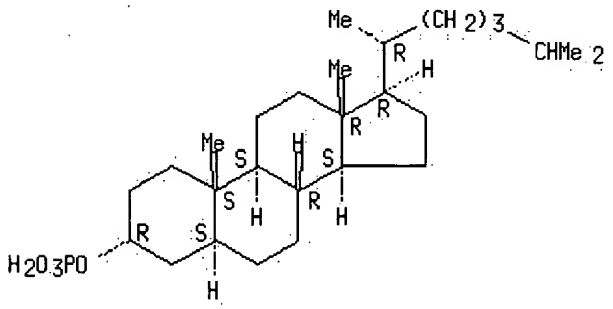
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53115824	A2	19781009	JP 1977-28937	19770316

AB Anticholesteremic and hypolipemic compns. contain epicholestanol phosphate (I) [**57700-45-5**] and salts as active ingredients. Thus, capsules were prepd. contg. I 1.0, and starch 1.0 g. I administered at 1250 mg/kg/day to rats for 3 mo decreased blood cholesterol and triglyceride levels more in the control than the exptl. animals.

IT **57700-45-5** **65242-46-8**
RL: BIOL (Biological study)
(as anticholesteremic and hypolipemic agent)

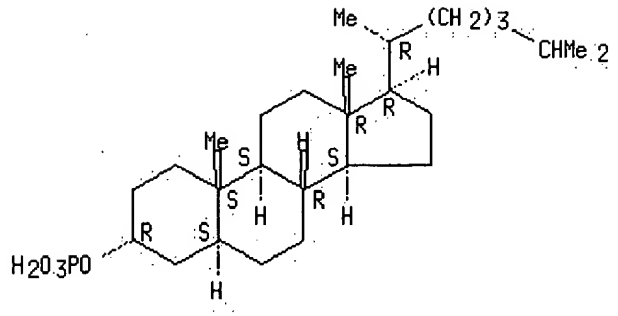
RN 57700-45-5 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, (3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 65242-46-8 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, monosodium salt, (3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Na

L6 ANSWER 56 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1978:509253 CAPLUS
DOCUMENT NUMBER: 89:109253
TITLE: New phosphorylation reagent reacting by "pseudorotation"
AUTHOR(S): Nguyen Thanh Thuong; Chabrier, Pierre
CORPORATE SOURCE: SAB Cent. "Marcel Delepine", CNRS, Orleans, Fr.
SOURCE: Bull. Soc. Chim. Fr. (1975), (9-10, Pt. 2), 2083-8
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French

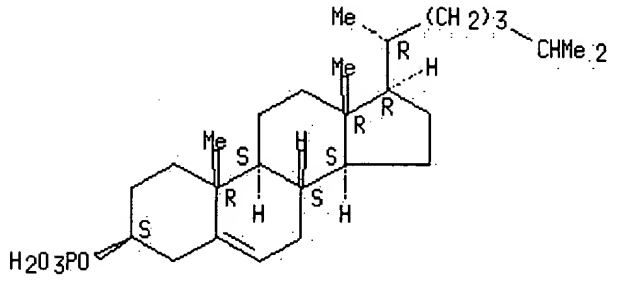
AB Chlorodioxaphospholane I (R = Cl) phosphorylates alcs., phenols, and amines in the presence of a HCl acceptor in nearly quant. yield to give I (R = e.g. Me2CHO, cyclohexyloxy, 4-MeC6H4CHMeO, nicotinyloxy, morpholinoethoxy, cholesteryl, geranyloxy, morpholino, PhNH). Treating I with 2 mol NaCN gave 60-93% RP(O)(ONa)2. Some intermediate RP(O)(ONa)OCH2CH2Cn were also isolated.

IT **65756-87-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 65756-87-8 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



2 Na

L6 ANSWER 57 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

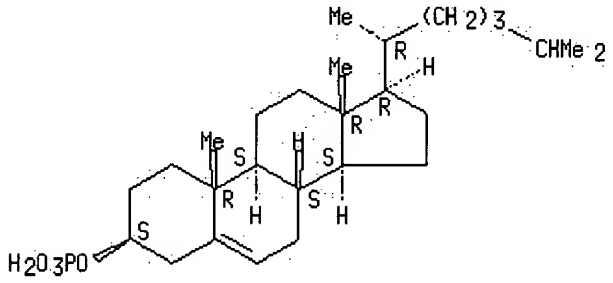
ACCESSION NUMBER: 1978:101396 CAPLUS
DOCUMENT NUMBER: 88:101396
TITLE: Sterol requirement for zoospore formation in the mosquito-parasitizing fungus Lagenidium giganteum
AUTHOR(S): Domnas, A. J.; Srebro, J. P.; Hicks, B. F.
CORPORATE SOURCE: Bot. Dep., Univ. North Carolina, Chapel Hill, N. C., USA
SOURCE: Mycologia (1977), 69(5), 875-86
CODEN: MYCOAE; ISSN: 0027-5514
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The oomycete L. giganteum, a facultative parasite of mosquito larvas, requires exogenous sterols for the genesis of zoospores when grown on defined or on usual mycol. media. Media prepd. from oil-rich materials such as soy or hemp seed were very effective inducers for zoopores, as were the crude oils obtained thereform when used in normal mycol. media. The best individual sterols for zoosporangial growth were sitosterol and campesterol, less effectively ergosterol and cholesterol. A no. of synthetic sterols such as cholesteryl phosphate and cholestan-3β-ol were good inducers; sitosteryl glucoside was also utilized. The sterol requirement and the parasitic mode of existence of L. giganteum were compared to those of species of Pythium and Phytophthora.

IT **4358-16-1** **65756-87-8**
RL: BIOL (Biological study)
(Lagenidium giganteum requirement for, zoospore formation in relation to)

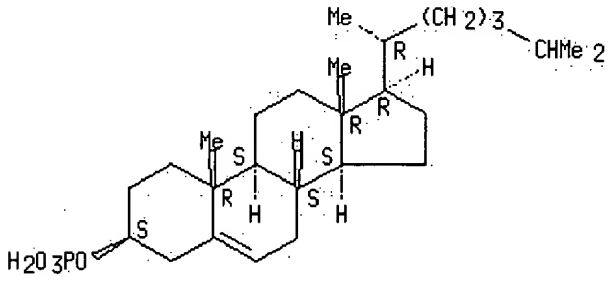
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 65756-87-8 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



2 Na

L6 ANSWER 58 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1978:54994 CAPLUS
DOCUMENT NUMBER: 88:54994
TITLE: Dentifrices for controlling oral diseases
INVENTOR(S): Sunazaki, Kazuhiko; Higo, Moriaki; Kudo, Toshihiko
PATENT ASSIGNEE(S): Lion Dentifrice Co., Ltd., Japan
SOURCE: Japan. Kokai, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52102441	A2	19770827	JP 1976-16671	19760218

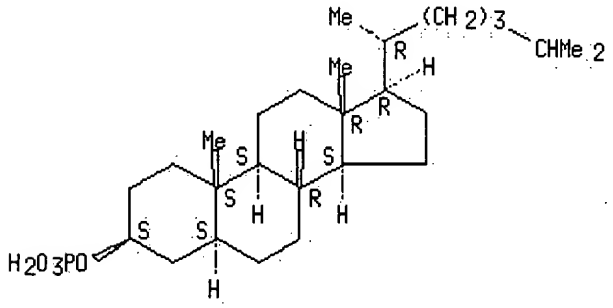
AB Dentifrices contg. cholestanol phosphate (I) [**24352-57-6**] or its salts and (or) epicholestanol phosphate [**57700-45-5**] or its salts are effective in preventing oral diseases, eps. alveolar blennorrhea. Thus, a prepn. comprises CaHPO4.2H2O 45, Na CM-cellulose 0.5, carrageenan 0.5, sorbitan 0.2, Na lauryl sulfate 2.0 Na epicholestanol phosphate [**65242-46-8**] 0.1, perfume 1.0 and H2O 20.7%.

IT **24352-57-6**
RL: BIOL (Biological study)
(dentifrices contg.)

RN 24352-57-6 CAPLUS

CN Cholestan-3-ol, dihydrogen phosphate, (3β,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

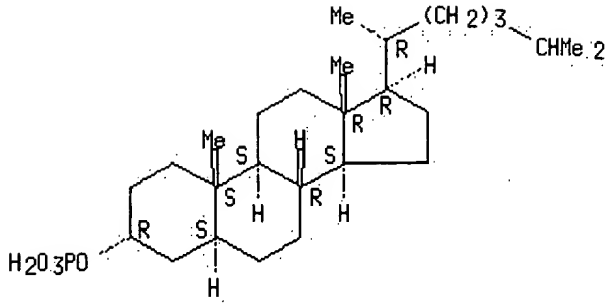


IT **57700-45-5** **65242-46-8** **65242-47-9**
65242-48-0 **65242-49-1** **65252-68-8**
RL: BIOL (Biological study)
(dentifrices contg., for preventing oral diseases)

RN 57700-45-5 CAPLUS

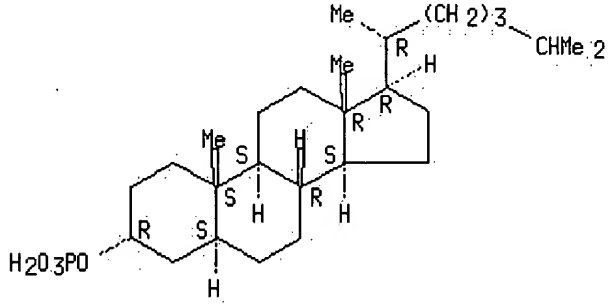
CN Cholestan-3-ol, dihydrogen phosphate, (3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 65242-46-8 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, monosodium salt, (3α,5α)- (9CI) (CA INDEX NAME)

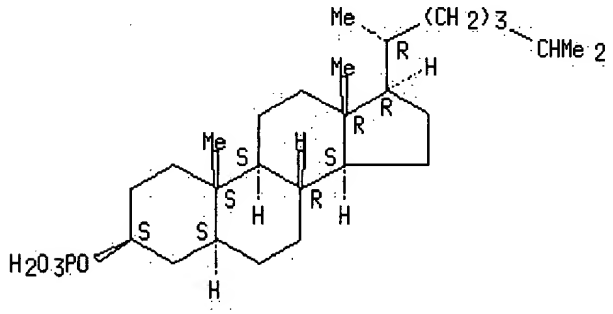
Absolute stereochemistry.



Na

RN 65242-47-9 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, monosodium salt, (3β,5α)- (9CI) (CA INDEX NAME)

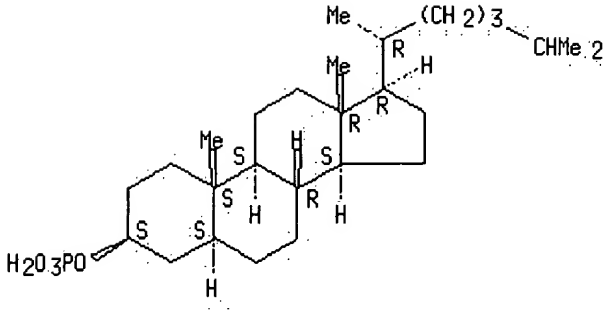
Absolute stereochemistry.



Na

RN 65242-48-0 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, dipotassium salt, (3β,5α)- (9CI) (CA INDEX NAME)

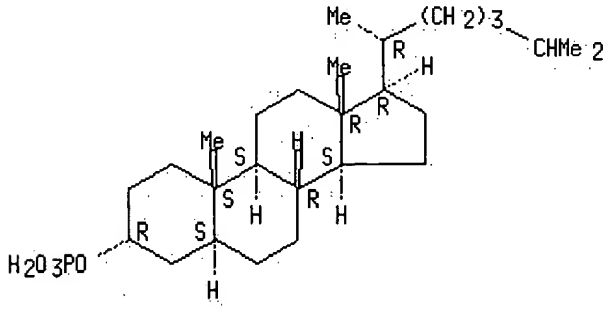
Absolute stereochemistry.



2 K

RN 65242-49-1 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, disodium salt, (3α,5α)- (9CI) (CA INDEX NAME)

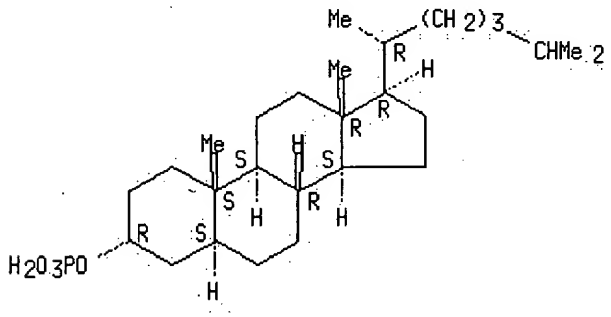
Absolute stereochemistry.



2 Na

RN 65252-68-8 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, dipotassium salt, (3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



2 K

L6 ANSWER 59 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1977:568255 CAPLUS
DOCUMENT NUMBER: 87:168255
TITLE: Studies on cholestanyl phosphate. I. Studies on the

synthesis and antiinflammatory activity of 3β- and 3α-cholestanyl phosphate

AUTHOR(S): Shinbo, Masafu; Higo, Moriaki; Kudo, Toshihiro; Suzaki, Kazuhiko; Yoshino, Kazuhiro

CORPORATE SOURCE: Basic Res. Lab., Lion Dentifrice Co., Ltd., Kanagawa, Japan

SOURCE: Yakugaku Zasshi (1977), 97(5), 528-32
CODEN: YKKZAJ

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

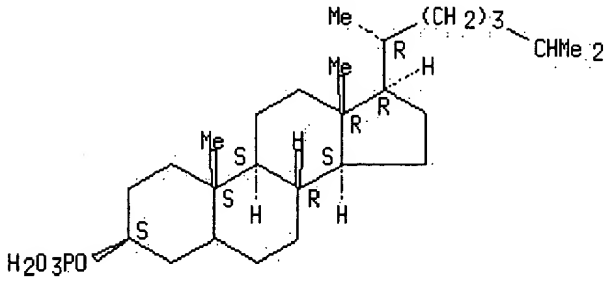
AB Antiinflammatory cholestan-3β-yl and cholestan-3α-yl phosphates (I, II) were prepd. by the reaction of cholestan-3β-ol (III) and cholestan-3α-ol (IV) with (PhO)2POCl, (PhCH2O)2POCl, and NCCH2CH2P(O)(OH)2 and subsequent hydrolysis. At low temp. the treatment of III and IV with POCl3 followed by hydrolysis gave 38% I and 56% II, resp.

IT **64200-13-1P** **64233-59-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiinflammatory activity of)

RN 64200-13-1 CAPLUS

CN Cholestan-3-ol, dihydrogen phosphate, (3β)- (9CI) (CA INDEX NAME)

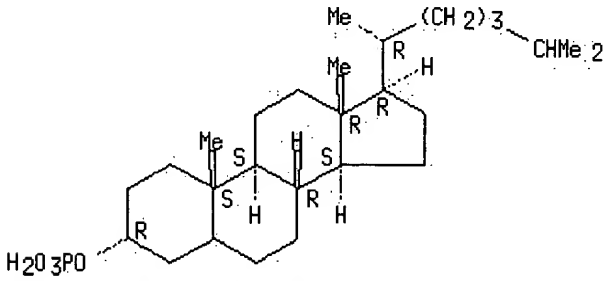
Absolute stereochemistry.



RN 64233-59-6 CAPLUS

CN Cholestan-3-ol, dihydrogen phosphate, (3α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 60 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1977:547501 CAPLUS

DOCUMENT NUMBER: 87:147501

TITLE: Cholesteryl sulfate and phosphate in the solid state and in aqueous systems

AUTHOR(S): Abrahamsson, J.; Abrahamsson, S.; Hellqvist, B.; Larsson, K.; Pascher, I.; Sundell, S.

CORPORATE SOURCE: Fac. Med., Univ. Goeteborg, Geoteborg, Swed.

SOURCE: Chem. Phys. Lipids (1977), 19(3), 213-22

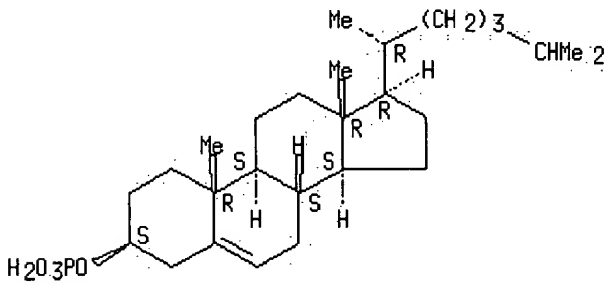
CODEN: CPLIA4
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cholesteryl Na sulfate (CS) crystd. as the dihydrate, the crystal structure of which is known. On heating the dihydrate, solid state phase transitions were obsd. at 65 and 95° and melting occurred at 165°. Cholesteryl dihydrogen phosphate (CP) was not isostructural with any phases of CS. It underwent a phase transition at 50° and melted at 190°. In systems with water CS was unstable, whereas it was possible to det. the phase diagram of CP. In most of the compn. range a cryst. hydrate was in equil. with a gel phase. The latter had remarkable properties in that lamellar order existed with the 46 Å lipid bilayer interleaved with water layers up to 1000 Å. The monofilm behavior of CS and CP at different pH levels is also reported.

IT **4358-16-1**
RL: PRP (Properties)
(crystal structure and phase transitions of)

RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 61 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1977:155858 CAPLUS
DOCUMENT NUMBER: 86:155858
TITLE: Raman spectroscopic studies of different forms of cholesterol and its derivatives in th crystalline state
AUTHOR(S): Faiman, Rosalind
CORPORATE SOURCE: Lipid Chem. Lab., Univ. Goteborg, Goteborg, Swed.
SOURCE: Chem. Phys. Lipids (1977), 18(1), 84-104
CODEN: CPLIA4
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The Raman spectra of the various cholesterols are highly complex. Three regions of the spectrum yield considerable information about the crystalline chain packing in each form. They are: (1) the low frequency region below 300 cm^{-1}, giving information on the inter- and intramol. vibrations in the cholesteryl moiety; (2) the methylene rocking-deformation region between 1400 and 1500 cm^{-1} giving information on chain packing in the crystalline state, and (3) the C-H stretching region between 2700 and 3100 cm^{-1} which indicates that there is a correlation between branching in the side chains of the cholesterols, polarity of the substituent groups in the various derivs. studied, and relative chain order in the packing arrangements in the crystalline state. The study of 2 branched chain aerosol derivs., bis(di-2-octyl)sodium sulphosuccinate and bis(di-2-ethylhexyl)sodium sulphosuccinate, indicate that branched chain amphiphiles are good Raman spectroscopic models for the cholesterols, similar to previous Raman spectroscopic studies which have found straight chain amphiphiles to be good models for more complex

phospholipids.

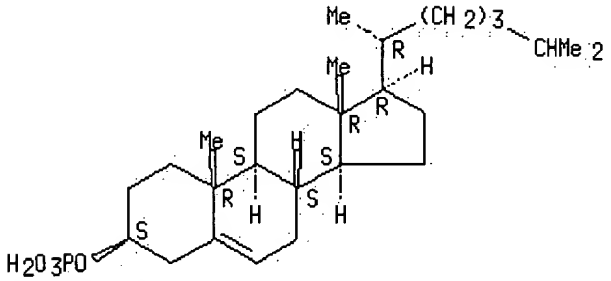
IT **4358-16-1**

RL: PRP (Properties)
(Raman spectrum of)

RN 4358-16-1 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 62 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1976:441191 CAPLUS
DOCUMENT NUMBER: 85:41191
TITLE: Structure-activity relationships in steroidal anesthetics
AUTHOR(S): Phillipps, G. H.
CORPORATE SOURCE: Scot.
SOURCE: Mol. Mech. Gen. Anaesth., Glaxo Symp. (1974), Meeting Date 1973, 32-47. Editor(s): Halsey, M. J.; Millar, Ronald Alexander, Sutton, J. A. Churchill-Livingstone: London, Engl.
CODEN: 32QIAP
DOCUMENT TYPE: Conference
LANGUAGE: English

AB The essential nature of an oxygen atom in the 3-position of hydroxysteroids and of the importance of the exact manner in which it projects from the A ring for anesthetic potency was studied in mice. Improved potency and decreased toxicity compared with alphaxalone [23930-19-0] was achieved with a no. of water sol. compds. related to the pregnane-20-ones (I). These compds. gave instantaneous anesthesia and did not show untoward effects.

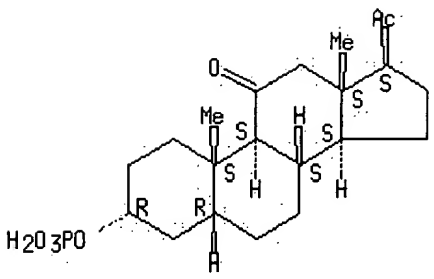
IT **910-27-0**

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anesthetic activity of)

RN 910-27-0 CAPLUS

CN Pregnane-11,20-dione, 3-(phosphonooxy)-, disodium salt, (3α,5β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



2 Na

L6 ANSWER 63 OF 70 CAPLUS COPYRIGHT 2001 ACS

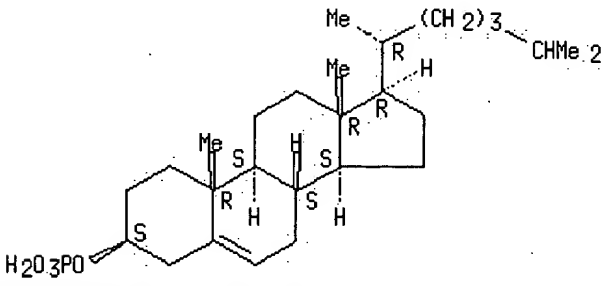
Full Text | Citing References

ACCESSION NUMBER: 1976:73583 CAPLUS
DOCUMENT NUMBER: 84:73583
TITLE: Irradiation of diaryl phosphates. Potentially useful new reaction for the preparation of monoalkyl phosphates
AUTHOR(S): Finnegan, Richard A.; Matson, James A.
CORPORATE SOURCE: Dep. Med. Chem., State Univ. New York, Buffalo, N. Y., USA
SOURCE: J. Chem. Soc., Chem. Commun. (1975), (23), 928-9
CODEN: JCCCAT
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Photolysis of (p-MeOC6H4O)2PO2R (R = H, Et, iso-Pr, Bu, cholesteryl) gave 91-100% ROPO3H2, together with 47-71% purified (p-MeOC6H4)2.

IT **4358-16-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 64 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1976:44544 CAPLUS
DOCUMENT NUMBER: 84:44544
TITLE: Phosphoric acid esters of cholestanol and epicholestanol and their salts
INVENTOR(S): Kudo, Toshihiro; Higo, Moriaki; Suzaki, Kazuhiko; Tomono, Shiro; Shinbo, Masafu
PATENT ASSIGNEE(S): Lion Dentifrice Co., Ltd., Japan
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2459985	A1	19750814	DE 1974-2459985	19741218
DE 2459985	C3	19791031		
DE 2459985	B2	19790215		
JP 50105656	A2	19750820	JP 1974-11760	19740130
FR 2282901	A1	19760326	FR 1974-40921	19741212
GB 1448181	A	19760902	GB 1974-54499	19741217
US 3974188	A	19760810	US 1974-533834	19741218
CH 606046	A	19781013	CH 1974-17352	19741220
SE 7416392	A	19750731	SE 1974-16392	19741230
SE 413247	B	19800512		
SE 413247	C	19800828		
AU 7476960	A1	19760701	AU 1974-76960	19741231
CA 1035351	A1	19780725	CA 1975-217880	19750114

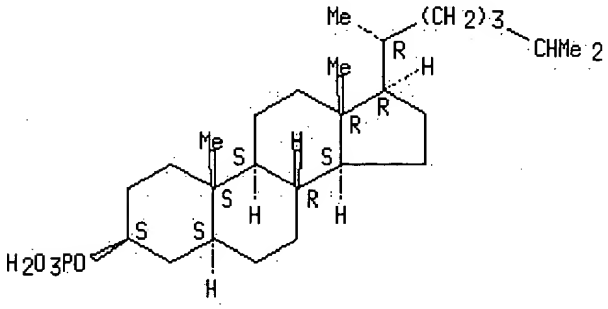
PRIORITY APPLN. INFO.: JP 1974-11760 19740130

AB Antiinflammatory cholestanyl phosphates I and II were prepd. by treatment of epimeric cholestanols with a.) POCl3 followed by hydrolysis or b.) (R2O)2POCl (R2 = Ph, PhCH2) followed by hydrogenolysis. Thus, 10 g III in 150 ml C5H5N was added dropwise over 2.5 hr to a soln. of 14.7 g POCl3 in 80 ml acetone cooled to -35 to -30°. The resulting IV (11.43 g) was dissolved in 0.25 N KOH and passed through a column of Amberlite IR-12B H type to give 9.5 g I. V was 21.5% effective in the inhibition of sarcoma in rats at 100 mg/kg and at 50 mg/kg gave 18% decrease in the permeability of blood vessels of rats.

IT **24352-57-6P 57700-45-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

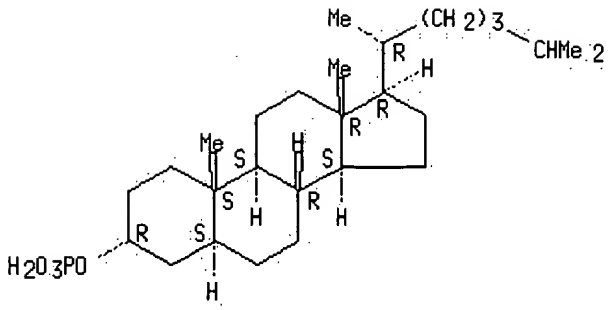
RN 24352-57-6 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, (3β,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 57700-45-5 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, (3α,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 65 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

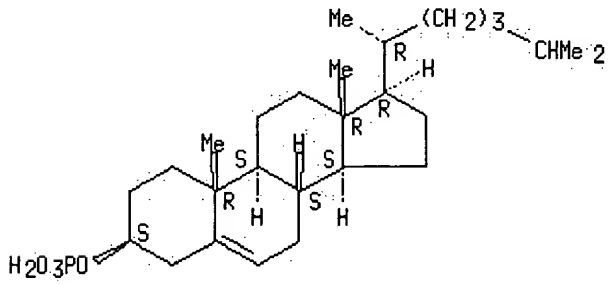
ACCESSION NUMBER: 1975:86502 CAPLUS
DOCUMENT NUMBER: 82:86502
TITLE: Steroid alcohol phosphates
INVENTOR(S): Suzaki, Kazuhiko; Higo, Moriaki; Shinpo, Masafu
PATENT ASSIGNEE(S): Lion Dentifrice Co., ltd.
SOURCE: Japan. Kokai, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49108065	A2	19741014	JP 1973-22419	19730224

AB Satd. hydroxy steroid phosphates or their salts were prepd. by catalytic redn. of the unsatd. steroid alc. phosphates or their salts. Thus, 2.5 g cholesterol phosphate was treated with H/PtO2 in AcOH to give 80% cholestan-3β-ol phosphate.

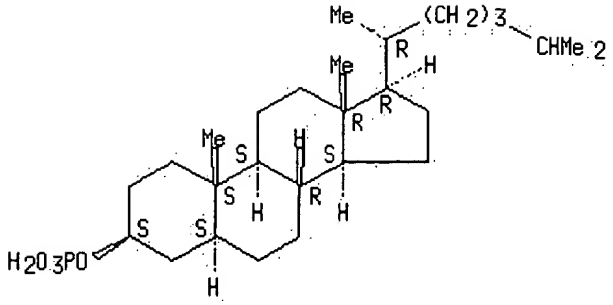
IT **4358-16-1**
RL: RCT (Reactant)
(catalytic hydrogenation of)
RN 4358-16-1 CAPLUS
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **24352-57-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 24352-57-6 CAPLUS
CN Cholestan-3-ol, dihydrogen phosphate, (3β,5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 66 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

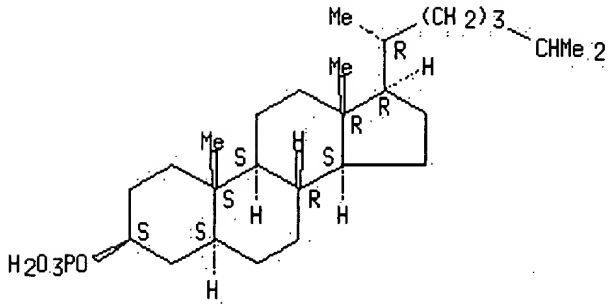
ACCESSION NUMBER: 1973:488735 CAPLUS
DOCUMENT NUMBER: 79:88735
TITLE: Inhibitors of human placental C19 and C21 3β-hydroxysteroid dehydrogenases
AUTHOR(S): Goldman, Allen S.; Sheth, Kishore
CORPORATE SOURCE: Div. Exp. Pathol., Child. Hosp., Philadelphia, Pa., USA
SOURCE: Biochim. Biophys. Acta (1973), 315(2), 233-49
CODEN: BBACAQ
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effect of several natural and synthetic steroids on the activity of Δ5,3β-hydroxy steroid dehydrogenase in homogenates of human placenta was measured by a method which detd. the conversion of labeled dehydroepiandrosterone to androstenedione, testosterone, 17β-estradiol, and estrone and of labeled pregnenolone to progesterone and 5α-pregnane-3,20-dione. The method utilized thin-layer chromatog. systems and radio-gas-liq. chromatog. which sepd. each steroidal product from each substrate. Enzymic activity was detd. rapidly and efficiently in multiple samples of very small amts. of tissue. It was demonstrated that nucleophilic substituents on, adjacent to, or at some distance from the site on the steroid mol. catalyzed by the enzyme may increase the inhibitory capacity of the parent steroid or confer inhibitory capacity to an inactive parent steroid. Selective inhibition of the conversion of pregnenolone by several steroids demonstrated substrate specificity of the C19- and C21-3β-hydroxy steroid dehydrogenases. The most potent of these selective inhibitors were, in descending order of inhibitory potency: 2α-bromo-17β-hydroxy-5α-androstan-3-one 17β-acetate; 3β,17α-dihydroxy-5-pregnene-3,20-dione-16α-nitrile; 3β-hydroxy-5α-pregnan-20-one-16α-nitrile; and 2α-bromo-5α-androstane-3,17-dione. The most potent inhibitors of both enzymes were 2α-cyano-4,4-dimethyl-2,3α-tetrahydrofuran-2-spiro-17,5-androsten-3-one and 6,16β-dimethyl-3β-hydroxy-5-pregnene-16α-nitrile. The usual form of cyanoketone (2α-cyano-17β-hydroxy-4,4,17α-trimethyl-5-androsten-3-one) did not inhibit either enzyme.

IT **50292-41-6** **50303-99-6**
RL: BIOL (Biological study)
(hydroxy steroid dehydrogenase inhibition by)

RN 50292-41-6 CAPLUS
CN Pregn-5-ene-16-carbonitrile, 20-oxo-3-(phosphonooxy)-, monosodium salt, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

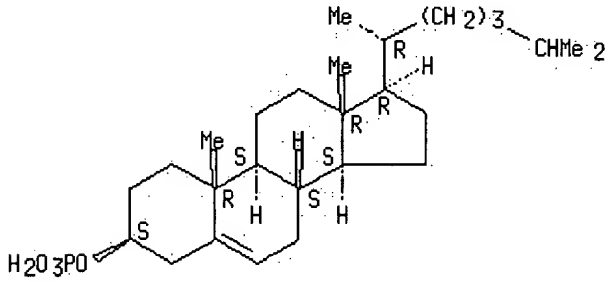


RN 32277-63-7 CAPLUS
CN Cholesterol, dihydrogen phosphate, compd. with pyridine (1:1) (8CI) (CA INDEX NAME)

CM 1

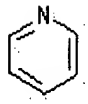
CRN 4358-16-1
CMF C27 H47 O4 P
CDES 4:3B.CHOLEST

Absolute stereochemistry.



CM 2

CRN 110-86-1
CMF C5 H5 N

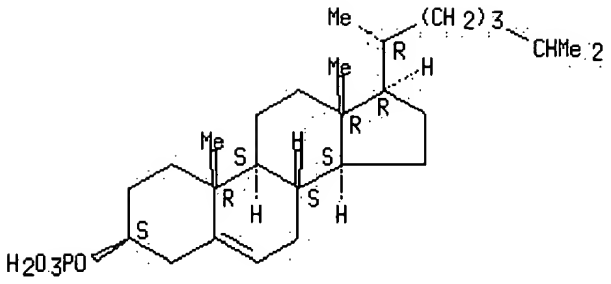


RN 32277-64-8 CAPLUS
CN Cholesterol, dihydrogen phosphate, compd. with pyridine (2:1) (8CI) (CA INDEX NAME)

CM 1

CRN 4358-16-1
CMF C27 H47 O4 P
CDES 4:3B.CHOLEST

Absolute stereochemistry.



CM 2

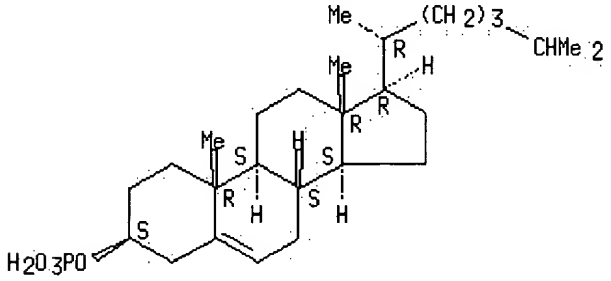
CRN 110-86-1
CMF C5 H5 N

RN 32329-90-1 CAPLUS
CN Cholesterol, dihydrogen phosphate, compd. with 2,6-lutidine (1:1) (8CI) (CA INDEX NAME)

CM 1

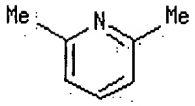
CRN 4358-16-1
CMF C27 H47 O4 P
CDES 4:3B.CHOLEST

Absolute stereochemistry.



CM 2

CRN 108-48-5
CMF C7 H9 N



L6 ANSWER 68 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1970:32148 CAPLUS
DOCUMENT NUMBER: 72:32148
TITLE: Manufacture of phosphate esters of steroids
PATENT ASSIGNEE(S): Upjohn Co.

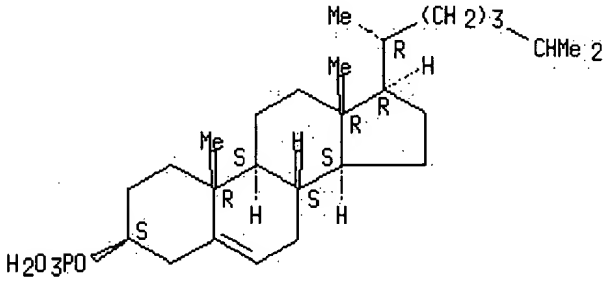
phosphoro-chloridates. The hydrolysis of cholesteryl phosphorodichloridate was examd. Reaction of thiophosphoryl chloride and cholesterol gave cholesteryl thionophosphorodichloridate but this could not be hydrolyzed to the phosphate. Treatment of cholesterol with P2S5 gave O,O-dicholesteryl hydrogen pho sphorodithioate contrary to previous reports. A study was made of the decompn. of cholesteryl phosphorodichloridate in inert org. solvents.

IT **4358-16-1P 24352-54-3P 24352-55-4P**
24352-57-6P 24352-60-1P 24352-61-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 4358-16-1 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



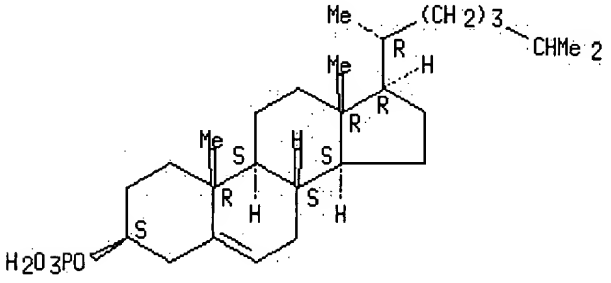
RN 24352-54-3 CAPLUS

CN Cholesterol, dihydrogen phosphate, compd. with cyclohexylamine (1:1) (8CI) (CA INDEX NAME)

CM 1

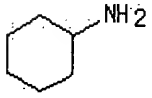
CRN 4358-16-1
CMF C27 H47 O4 P
CDES 4:3B.CHOLEST

Absolute stereochemistry.



CM 2

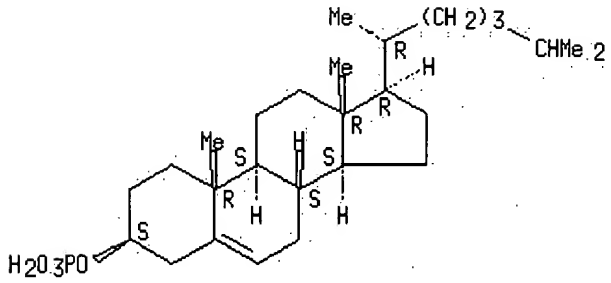
CRN 108-91-8
CMF C6 H13 N



RN 24352-55-4 CAPLUS

CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate, dilithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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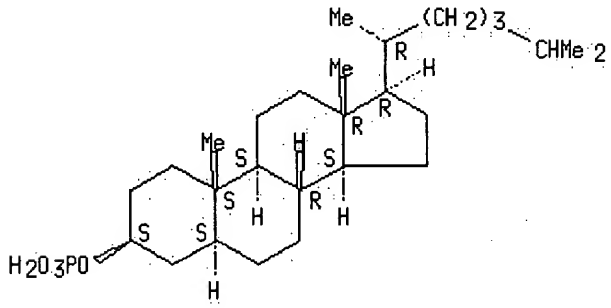
RN 24352-57-6 CAPLUS

CN Cholestan-3-ol, dihydrogen phosphate, (3β,5α)- (9CI) (CA INDEX NAME)

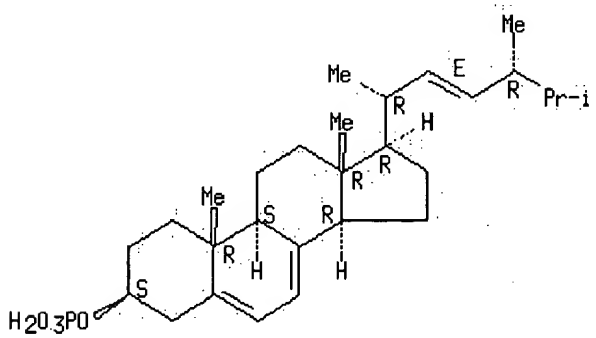
Absolute stereochemistry.



RN 24352-60-1 CAPLUS

CN Ergosta-5,7,22-trien-3-ol, dihydrogen phosphate, (3β,22E)- (9CI) (CA INDEX NAME)

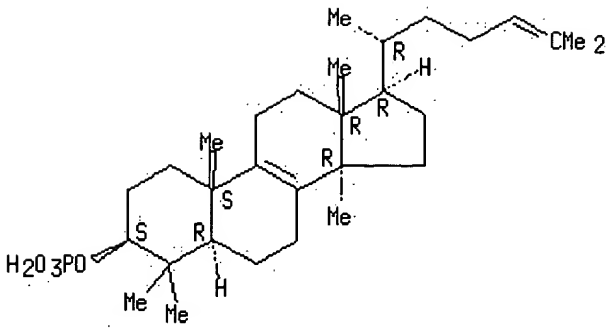
Absolute stereochemistry.
Double bond geometry as shown.



RN 24352-61-2 CAPLUS

CN Lanosta-8,24-dien-3-ol, dihydrogen phosphate, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 70 OF 70 CAPLUS COPYRIGHT 2001 ACS

Full Text | Citing References

ACCESSION NUMBER: 1967:115885 CAPLUS
DOCUMENT NUMBER: 66:115885
TITLE: Pregnane 20-guanylhydrazones
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Brit., 3 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1059614		19670222		
PRIORITY APPLN. INFO.:			DE	19640219

AB The title compds. (I), which have cardiotonic properties, are derivs. of pregnane 20-guanylhydrazone (II) in which position 3 is substituted or which have a pyrazole ring fused to the 2,3-position of ring A. Rings A and B can be satd. or unsatd. I were prepd. either from pregn-4-en-3,20-dione 20-guanylhydrazone (III) (CA 64, 11288f) or from a suitably substituted pregnan-20-ones. Thus, III was converted into the HCl salts of its 3-thiosemicarbazone, m. 327° (decompn.), 3-hydrazone, m. 310° (decompn.), 3-semicarbazone m. 302° (decompn.), and 3-oxime, m. 324-6° (decompn.), by known procedures. To 3 g. pregnenolone in 30 ml. anhyd. pyridine was added dropwise at -23° 6 ml. POCl3 in 60 ml. anhyd. pyridine. The mixt. was stirred until the temp. reached -10° and then poured onto ice to give pregnenolone 3-phosphate (IV), m. 169-82°. IV (0.8 g.) in 130 ml. abs. MeOH was treated with 0.25 g. aminoguanidine-HCl in 10 ml. MeOH, with addn. of 2 drops MeOH-HCl, for 40 hrs. at room temp. to give 0.5 g., pregnenolone 3-phosphate 20-guanylhydrazone hydrochloride, m. 230-7°. 3β-Aminopregnan-20-one (V) (0.2 g.) gave, on acetylation with Ac2O, 0.2 g. crude 3β-acetamidopregnan-20-one (VI). To 0.2 g. V in 3 ml. anhyd. CHCl3 was added 0.35 g. MeNCS and the mixt. refluxed 12 hrs. to give 0.2 g. crude N-methyl-N'-(20-oxo pregnan-3β-yl)thiourea (VII). Aminoguanidine hydrogen carbonate (0.4 g.) was dissolved in MeOH-HCl until the pH was 2, the soln. was added to 1 g. 20-oxo-4-pregneno[3,2-c]-1-carbamoylpyrazole in 30 ml. CHCl3 and 70 ml. MeOH, and kept 3 days under N at room temp. to give 0.7 g. corresponding guanylhydrazone hydrochloride, m. 270-2° (decompn.). The following I were prepd. similarly (compd. and m.p. given): 20-oxo-4-pregn[3,2-c]pyrazole guanylhydrazone-HCl, 283-5° (decompn.); 3β-acetamidopregnan-20-one guanylhydrazone-HCl (VIII.HCl), m. 143° (decompn.); and N-methyl-N'-20-one-(20-oxopregnan-3β-yl)thiourea-HCl guanyl hydrazone (from VII), m. 218-20° (decompn.).

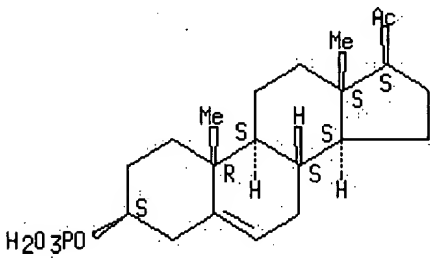
IT **13934-72-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 13934-72-0 CAPLUS

CN Pregn-5-en-20-one, 3β-hydroxy-, dihydrogen phosphate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



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